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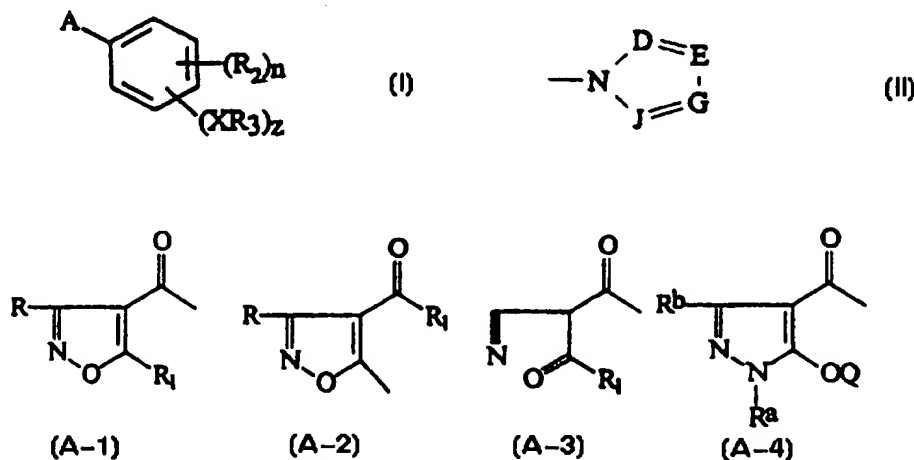
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(30) Priority Data: 9715160.9 18 July 1997 (18.07.97) GB 9715163.3 18 July 1997 (18.07.97) GB		(74) Agent: BRACHOTTE, Charles, Yves; Rhône-Poulenc Agro - DPI, Boîte postale 9163, F-69263 Lyon Cedex 09 (FR).	
(71) Applicant: RHÔNE-POULENC AGRICULTURE LIMITED [GB/GB]; Fyfield Road, Ongar, Essex CM5 OHW (GB).		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).	
(72) Inventors: ARAKI, Kouichi; 4-39, Kamiya 2-chome, Ushiku-shi, Ami-machi, Imashiki-gun, Ibaraki-ken 300-1216 (JP). BRETT, Takako; Apartment 901, 1-go, 11-ban, Kameari 3-chome, Katsushika-ku, Tokyo 125 (JP). GO, Atsushi; 1977-7, Osaka-machi, Ushiku-shi, Ibaraki-ken 300-1145 (JP). ITO, Masahito; 3-27, Kami-kashiwada 4-chome, Ushiku-shi, Ibaraki-ken 300-1232 (JP). MORRIS, John; Rhône-Poulenc Agriculture Ltd., Fyfield Road, Ongar, Essex CM5 OHW (GB). MUKAIDA, Hideshi; 25-74, Goshogaoka 5-chome, Moriya-machi, Souma-gun, Ibaraki-ken 302-0119 (JP). OE, Yukiko; Apartment 203, 61-1, Sakae-machi 3-chome, Ushiku-shi, Ibaraki-ken 300-1233 (JP). SASAKI, Norio; 33-go, 6-ban, Chuo 4-chome, Ami-machi, Inashiki-gun, Ibaraki-ken 300-03 (JP). GEACH, Neil, Jonathan;		Published With international search report.	

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## (57) Abstract

Compounds of formula (I) wherein A represents a group (A-1), (A-2), (A-3) or (A-4); z represents one or two; when z represents two the XR<sup>3</sup> groups may be the same or different; R<sup>3</sup> represents a 5-membered heteroaromatic ring of formula (II) in which D, E, G and J independently represent CR<sup>14</sup> or a nitrogen atom, with at least one of D, E, G and J representing CR<sup>14</sup> (when more than one CR<sup>14</sup> group is present they may be the same or different); or two adjacent groups CR<sup>14</sup> may form a phenyl or 5- to 7-membered heteroaromatic ring which is fused to the first ring and is optionally substituted by one or more groups R<sup>15</sup>; and when present the 5- to 7-membered heterocyclic ring contains from one to four heteroatoms in the ring which may be the same or different selected from nitrogen, oxygen and sulphur, X represents -(CR<sup>9</sup>R<sup>10</sup>)<sub>v</sub>-; and their use as herbicides.

no col C group in R<sup>3</sup>.

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## 4-BENZOYL-ISOXAZOLE- AND -PYRAZOLE DERIVATIVES AND 2-CYANO 1,3-DIONE DERIVATIVES AS HERBICIDES

This invention relates to novel 4-benzoylisoxazole derivatives, 5-phenylisoxazole derivatives, 2-cyano-1,3-dione derivatives, 4-benzoylpyrazole derivatives, compositions containing them, processes for their preparation, intermediates in their preparation and their use as herbicides.

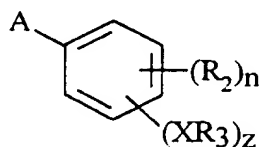
Herbicidal 4-benzoylisoxazoles are described in a number of patent publications for example European Patent Publication Numbers 0418175, 0487357, 0527036, 0527037, 0560482 and 0560483.

Herbicidal 2-cyano-1,3-diones are described in European Patent Publication Numbers 0213892, 0496630 and 0496631 and International Patent Publication No. WO 95/25099. Herbicidal 5-phenylisoxazoles are described in European Patent Publication Number 0524018.

Herbicidal 4-benzoylpyrazoles are described in European Patent Publication Numbers 0352543 and 0282944 as well as DE 19518054.

However none of the above publications disclose or suggest the presence of an aromatic heterocyclic ring linked by a ring nitrogen atom (optionally via methylene) to the benzoyl ring or the related compounds described and claimed hereinafter.

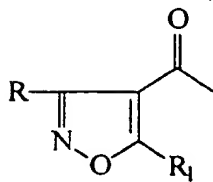
The present invention provides phenyl derivatives of formula (I):



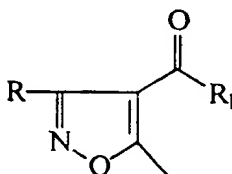
(I)

wherein:

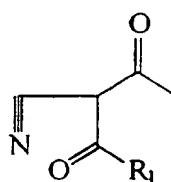
A represents a group (A-1), (A-2), (A-3) or (A-4):



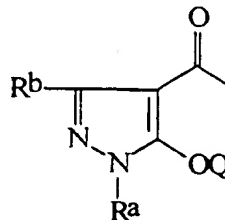
(A-1)



(A-2)



(A-3)



(A-4);

z represents one or two; when z represents two the  $XR^3$  groups may be the same or different;

R represents hydrogen or  $-CO_2R^4$ ;

$R^1$  represents:-

5 lower alkyl or lower haloalkyl; or

a cycloalkyl group containing from three to six carbon atoms optionally substituted by one or more  $R^{12}$  groups or one or more halogen atoms;

10  $R^a$  represents lower alkyl, lower haloalkyl, lower alkenyl or lower alkynyl;

$R^b$  represents hydrogen, lower alkyl, lower haloalkyl, lower alkoxy, halogen,  $-S(O)_xR^4$ ,  $-CO_2R^4$ ,  $-CH_2OR^4$ ,  $-CH_2SR^4$  or cyclopropyl;

x represents zero, one or two;

15  $R^2$  represents:-

halogen;

lower alkyl which is substituted by one or more groups  $-OR^5$ ;

20 a cycloalkyl group containing from three to six carbon atoms; or a group selected from nitro, cyano,  $-CO_2R^5$ ,  $-NR^5R^6$ ,  $-S(O)_pR^7$ ,  $-O(CH_2)_mOR^5$ ,  $-COR^5$ ,  $-N(R^8)SO_2R^7$ ,  $-OR^7$ ,  $-OH$ ,  $-OSO_2R^7$ ,  $-(CR^9R^{10})_tS(O)_qR^7$ ,  $-CONR^5R^6$ ,  $-N(R^8)-C(Z)=Y$ ,  $-C(R^9R^{10})NR^8R^{11}$ ,  $-CH_2P(=O)R^5aR^5b$ ,  $R^{12}$ ,  $SF_5$  and benzyl optionally substituted by from one to five groups  $R^{13}$  which may be the same or different;

25 or two groups  $R^2$ , together with adjacent carbon atoms of the phenyl ring form a second phenyl ring or a 5- or 6-membered saturated or unsaturated heterocyclic ring which is fused to the first ring and contains one or two oxygen or sulphur atoms and is optionally substituted by one or more halogen, lower alkyl, lower haloalkyl or  
30 lower alkoxy groups, or one of the ring carbon atoms of the heterocyclic ring forms part of a carbonyl group or an oxime or lower alkoxyimine derivative thereof; (examples of the optionally substituted fused ring systems when formed include thiochroman, chroman, 2H-thiochromene, 2H-chromene, 4H-thiochromene, 4H-chromene, isothiochroman,  
35 isochroman, isothiochromene, isochromene, 1,3-benzodithiole, 1,3-

benzodioxole, 1,3-benzoxathiole, 1,4-benzodithiin, 1,4-benzoxathiin, 1,4-benzoxathian, 1,3-benzoxathian, 3,1-benzoxathian and 1,3-benzodithian);

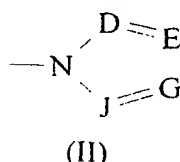
n represents zero or an integer from one to three; where n is greater than one the groups  $R^2$  may be the same or different;

m represents one, two or three;

p and q represent zero, one or two;

t represents one, two, three or four (preferably one); when t is more than one,  $R^9$  and  $R^{10}$  may be the same or different;

$R^3$  represents a 5-membered heteroaromatic ring of formula (II):



in which D, E, G and J independently represent  $CR^{14}$  or a nitrogen atom, with at least one of D, E, G and J representing  $CR^{14}$  (when more than one  $CR^{14}$  group is present they may be the same or different); or

two adjacent groups  $CR^{14}$  may form a phenyl or 5- to 7-membered heteroaromatic ring which is fused to the first ring and is optionally substituted by one or more groups  $R^{15}$ ; and when present the 5- to 7- membered heterocyclic ring contains from one to four heteroatoms in the ring which may be the same or different selected from nitrogen, oxygen and sulphur;

X represents  $-(CR^9R^{10})_v-$ ;

$R^4$  represents:-

lower alkyl or lower haloalkyl;

$R^5$  and  $R^6$  which may be the same or different, each represents hydrogen or  $R^{12}$ ;

$R^{5a}$  and  $R^{5b}$  which may be the same or different, each represents lower alkyl or lower alkoxy;

$R^7$  represents:-

$R^{12}$ ; or a cycloalkyl group containing from three to six carbon atoms; or a group  $-(CH_2)_w$ -[phenyl optionally substituted by from one to five groups  $R^{13}$  which may be the same or different];

w represents zero or one;

R<sup>8</sup> represents:-

hydrogen, R<sup>7</sup>, or OR<sup>16</sup>;

R<sup>9</sup> and R<sup>10</sup> independently represent hydrogen, lower alkyl or lower haloalkyl (preferably containing up to three carbon atoms);

R<sup>11</sup> represents -SO<sub>2</sub>R<sup>7</sup> or -C(Z)=Y;

R<sup>12</sup> represents:-

lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl or lower haloalkynyl;

R<sup>13</sup> represents a group selected from halogen, R<sup>17</sup>, nitro, cyano, -CO<sub>2</sub>R<sup>5</sup>, -S(O)<sub>p</sub>R<sup>16</sup>, -OR<sup>16</sup> and -NR<sup>5</sup>R<sup>6</sup>;

R<sup>14</sup> represents:-

a group selected from hydrogen, halogen, R<sup>17</sup>, nitro, cyano, -CO<sub>2</sub>R<sup>5</sup>, -S(O)<sub>p</sub>R<sup>16</sup>, -OR<sup>16</sup>, -NR<sup>5</sup>R<sup>6</sup> and cyclopropyl;

R<sup>15</sup> represents halogen, or R<sup>12</sup>;

R<sup>16</sup> represents lower alkyl or lower haloalkyl;

R<sup>17</sup> represents a straight- or branched- chain alkyl group containing one to three carbon atoms optionally substituted by one or more halogen atoms;

Y is oxygen or sulphur (preferably Y represents oxygen);

Z represents a group selected from R<sup>12</sup>, -NR<sup>18</sup>R<sup>19</sup>, -SR<sup>7</sup> and -OR<sup>7</sup>;

R<sup>18</sup> and R<sup>19</sup> independently represent hydrogen or R<sup>7</sup>;

Q represents hydrogen, lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl, lower haloalkynyl, -CH<sub>2</sub>CN, -SO<sub>2</sub>R<sup>4</sup>, -SO<sub>2</sub>R<sup>20</sup>, -(C<sub>5</sub>H<sub>2</sub>S)<sub>2</sub>C(O)R<sup>12</sup>, -(C<sub>4</sub>H<sub>2</sub>U)<sub>2</sub>C(O)R<sup>20</sup>, -CH<sub>2</sub>OCO<sub>2</sub>R<sup>12</sup>, -CH<sub>2</sub>NHC(O)R<sup>12</sup>, -CH<sub>2</sub>NHCO<sub>2</sub>R<sup>12</sup>, -COCH<sub>2</sub>OC(O)R<sup>16</sup>, -COCH<sub>2</sub>OR<sup>12</sup>, -COCH<sub>2</sub>OR<sup>20</sup>, -CONR<sup>5</sup>R<sup>6</sup>, -CONR<sup>20</sup>R<sup>21</sup>, -CONR<sup>22</sup>R<sup>23</sup>, -CO<sub>2</sub>R<sup>12</sup>, -CO<sub>2</sub>R<sup>20</sup>, -P(O)R<sup>5a</sup>R<sup>5b</sup> and lower alkyl substituted by a group selected from -CONR<sup>9</sup>R<sup>10</sup>, OR<sup>9</sup>, -NR<sup>5</sup>R<sup>6</sup>, -CO<sub>2</sub>R<sup>9</sup>, R<sup>20</sup> and -S(O)<sub>p</sub>R<sup>12</sup>;

R<sup>20</sup> represents phenyl optionally substituted by one to five groups selected from halogen, lower alkyl, lower haloalkyl, lower alkoxy, lower haloalkoxy, nitro, cyano, -S(O)<sub>p</sub>R<sup>7</sup> and -NR<sup>5</sup>R<sup>6</sup>;

R<sup>21</sup> represents R<sup>5</sup> or R<sup>20</sup>;

R<sup>22</sup> and R<sup>23</sup> together with the adjacent nitrogen atom represent a piperidine, pyrrolidine, piperazine or morpholine ring;

s and u represent zero or one to six;

and wherein v represents the value zero when A represents a formula (A-1), (A-2) or (A-3); or when A represents a formula (A-4), v represents the value zero or one;

and agriculturally acceptable salts and metal complexes thereof, which possess valuable herbicidal properties.

In the description unless otherwise specified the following terms are generally defined thus:-

'lower alkyl' means a straight- or branched- chain alkyl group having one to six carbon atoms;

'lower haloalkyl' means a straight- or branched- chain alkyl group having one to six carbon atoms, substituted by one or more halogens;

'lower alkoxy' means a straight- or branched- chain alkoxy group having one to six carbon atoms;

'lower haloalkoxy' means a straight- or branched- chain alkoxy group having one to six carbon atoms, substituted by one or more halogens;

'lower alkenyl' means a straight- or branched- chain alkenyl group having two to six carbon atoms;

'lower haloalkenyl' means a straight- or branched- chain alkenyl group having two to six carbon atoms, substituted by one or more halogens;

'lower alkynyl' means a straight- or branched- chain alkynyl group having three to six carbon atoms;

'lower haloalkynyl' means a straight- or branched- chain alkynyl group having three to six carbon atoms, substituted by one or more halogens;

'halogen' means a fluorine, chlorine, bromine or iodine atom.

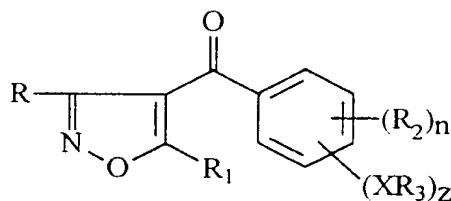
By the term "agriculturally acceptable salts" is meant salts the cations or anions of which are known and accepted in the art for the formation of salts for agricultural or horticultural use. Preferably the salts are water-soluble. Suitable salts with bases include alkali metal (eg. sodium and potassium), alkaline earth metal (eg. calcium and magnesium), ammonium and amine (eg. diethanolamine,

triethanolamine, octylamine, morpholine and dioctylmethylamine) salts. Suitable acid addition salts, formed by compounds of formula (I) containing an amino group, include salts with inorganic acids, for example hydrochlorides, sulphates, phosphates and nitrates and salts with organic acids, for example acetic acid.

Furthermore in certain cases the substituents R and R<sup>1</sup> to R<sup>23</sup> may contribute to optical isomerism and/or stereoisomerism. All such forms are embraced by the present invention.

The compounds of the invention, in certain aspects of their properties, for example their control of weeds found in maize and soya such as Abutilon theophrasti, or in rice for example Echinochloa oryzicola and Lindernia procumbens, show advantageous properties over known compounds.

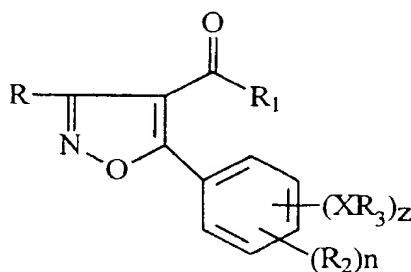
The present invention includes 4-benzoylisoxazole derivatives of formula (Ia):



(Ia)

wherein X represents  $-(CR^9R^{10})_v-$  and v represents zero.

The present invention includes 5-phenylisoxazole derivatives of formula (Ib):

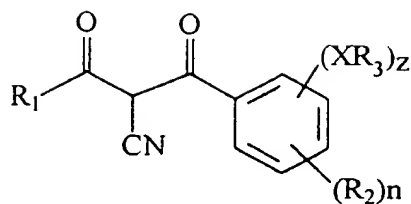


(Ib)

wherein X represents  $-(CR^9R^{10})_v-$  and v represents zero.

The present invention includes 2-cyano-1,3-dione derivatives of formula (Ic):

- 7 -



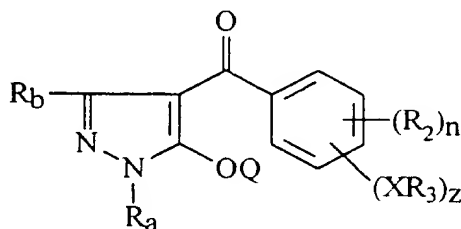
(Ic)

wherein X represents  $-(CR^9R^{10})_v-$  and v represents zero.

Compounds of formula (Ic) may exist in enolic tautomeric forms that may give rise to geometric isomers around the enolic double bond.

By the term "metal complexes" is meant compounds in which one or both of the oxygen atoms of the 1,3-dione of formula (Ic) act as chelating agents to a metal cation. Examples of such cations include zinc, manganese, cupric, cuprous, ferric, ferrous, titanium and aluminium.

The present invention also includes 4-benzoylpyrazole derivatives of formula (Id):



(Id)

wherein X represents  $-(CR^9R^{10})_v-$  and v represents zero or one.

In the description that follows, reference to compounds of formula (I) means reference to a compound of formula (Ia), (Ib), (Ic) or (Id).

Compounds of formula (Ia) above are preferred.

Compounds in which one  $XR^3$  group is present are preferred (preferably the  $XR^3$  group is at the 2- or 4- position on phenyl).

Compounds in which the 2- and 4-positions of phenyl are substituted are also preferred.

Compounds wherein X represents  $-(CH_2)_v-$  are preferred.

Compounds in which v represents zero are especially preferred.

Preferably  $R^3$  is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl and

1,2,3,4-tetrazol-1-yl (1,2,3-triazol-1-yl and 1,2,3-triazol-2-yl are more preferred, and 1,2,4-triazol-1-yl is most preferred).

Preferably  $R^3$  is a ring of formula (II) wherein  $R^{14}$  represents hydrogen, halogen or  $R^{17}$ .

5 In formula (I) above, preferably the 5- and 6- positions of phenyl are unsubstituted.

Preferably R represents hydrogen or  $CO_2R^4$  wherein  $R^4$  represents a straight- or branched- chain alkyl group containing up to three carbon atoms.

10 Preferably  $R^1$  represents cyclopropyl or 1-methylcyclopropyl (cyclopropyl is especially preferred).

Preferably  $R^2$  represents halogen, a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; a group selected from nitro, cyano,  
15  $-S(O)_pR^7$ ,  $-OR^7$  and  $-CH_2S(O)_qR^7$  wherein  $R^7$  represents lower alkyl or lower haloalkyl; or benzyl optionally substituted by  $-S(O)_pR^{16}$  wherein  $R^{16}$  represents lower alkyl; or two groups  $R^2$  together with adjacent carbon atoms of the phenyl ring form a second phenyl ring.

Preferably n represents one or two.

20 Compounds of formula (Id) wherein Q represents  $-SO_2R^{20}$ ,  $CH_2COR^{20}$  or  $CH_2R^{20}$  are preferred.

Compounds of formula (Id) wherein  $R^a$  represents methyl or ethyl are preferred.

25 Compounds of formula (Id) wherein  $R^b$  represents hydrogen,  $C_{1-3}$  alkyl, haloalkyl or cyclopropyl are preferred (methyl is especially preferred).

A preferred class of compounds of formula (Ia) above are those wherein:-

30 R represents hydrogen or  $-CO_2R^4$ ;

$R^1$  represents cyclopropyl or 1-methylcyclopropyl;

$R^2$  represents:-

a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or



a group selected from halogen, nitro,  $-S(O)_pR^7$ ,  $-OR^7$ ,  $-CH_2S(O)_qR^7$ ,  $-CH_2NR^8R^{11}$ ,  $-N(R^8)SO_2R^7$ ,  $-N(R^8)CO_2R^7$ ,  $-CH_2P(O)R^{5a}R^{5b}$  and benzyl optionally substituted by  $-S(O)_pR^{16}$ ; or two groups  $R^2$  together with adjacent carbon atoms of the phenyl ring form a second phenyl ring;

n represents zero, one or two;

$R^3$  represents a 5-membered heteroaromatic ring of formula (II) which is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3,4-tetrazol-1-yl, indazol-1-yl, benzotriazol-1-yl, benzimidazol-1-yl and indol-1-yl, which are substituted by one or two groups  $R^{14}$  (and wherein one or two  $R^3$  groups are present);

$R^4$  represents methyl or ethyl;

$R^7$  and  $R^8$  independently represent lower alkyl or lower haloalkyl;

$R^{11}$  represents  $-SO_2R^7$  or  $CO_2R^7$ ;

$R^{14}$  represents hydrogen, halogen, a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or  $-S(O)_pR^{16}$ ,  $NO_2$  or  $CO_2R^5$  wherein  $R^5$  represents lower alkyl; and

$R^{16}$  represents lower alkyl.

A particularly preferred class of compounds of formula (Ia) above are those wherein:-

R represents hydrogen or  $-CO_2R^4$  wherein  $R^4$  represents ethyl;

$R^1$  represents cyclopropyl;

$R^2$  represents:-

halogen; a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or a group selected from  $-S(O)_pR^7$ ,  $-CH_2S(O)_qR^7$ ,  $-OR^7$  and benzyl optionally substituted by  $-S(O)_pR^{16}$ ; or two groups  $R^2$  together with adjacent carbon atoms of the phenyl ring form a second phenyl ring; or two groups  $R^2$  together with adjacent carbon atoms form a 1,4-benzoxathian ring;

$R^3$  represents a pyrazol-1-yl, imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl or benz-1,2,3-

triazol-1-yl ring substituted by one or two  $R^{14}$  groups, wherein  $R^{14}$  represents hydrogen, optionally halogenated methyl or  $-S(O)_pR^{16}$ ;

$R^7$  and  $R^{16}$  represent methyl or ethyl; and

$n$  represents 0, 1 or 2.

5 A further particularly preferred class of compounds of formula (Ia) above are those wherein:-

$R$  represents hydrogen or  $-CO_2R^4$  wherein  $R^4$  represents ethyl;

$R^1$  represents cyclopropyl;

$R^2$  represents:-

10 halogen; a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or a group selected from  $-S(O)_pR^7$ ,  $-CH_2S(O)_qR^7$ ,  $-OR^7$  and benzyl optionally substituted by  $-S(O)_pR^{16}$ ; or two groups  $R^2$  together with adjacent carbon atoms of the phenyl ring form a second phenyl ring;

15  $R^3$  represents a pyrazol-1-yl, imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,4-triazol-1-yl or 1,2,3,4-tetrazol-1-yl ring substituted by one or two  $R^{14}$  groups, wherein  $R^{14}$  represents hydrogen, optionally halogenated methyl or  $-S(O)_pR^{16}$ ;

20  $R^7$  and  $R^{16}$  represent methyl or ethyl; and

$n$  represents 0, 1 or 2.

A further particularly preferred class of compounds of formula (Ia) above are those wherein:-

$R$  represents hydrogen or  $-CO_2R^4$  wherein  $R^4$  represents ethyl;

25  $R^1$  represents cyclopropyl;

$R^2$  represents:-

halogen, optionally halogenated methyl,  $-S(O)_pCH_3$ ,  $OCH_3$  or benzyl optionally substituted by  $-S(O)_pCH_3$ ;

30  $R^3$  represents imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl or 1,2,4-triazol-1-yl, optionally substituted on the ring carbon atoms by one or two methyl groups; and

$n$  represents one or two.

A most preferred class of compounds of formula (Ia) above are those wherein:-

35  $R$  represents hydrogen or  $-CO_2R^4$  wherein  $R^4$  represents ethyl;

R<sup>1</sup> represents cyclopropyl;

R<sup>2</sup> represents 2-CF<sub>3</sub> and R<sup>3</sup> represents 4-(1,2,3-triazol-1-yl), 4-(1,2,3-triazol-2-yl) or 4-(1,2,4-triazol-1-yl); or

R<sup>2</sup> represents 4-CF<sub>3</sub> and R<sup>3</sup> represents 2-(1,2,3-triazol-1-yl), 2-(1,2,3-triazol-2-yl) or 2-(1,2,4-triazol-1-yl).

A preferred class of compounds of formula (Id) above are those wherein:-

R<sup>a</sup> represents methyl or ethyl;

Q represents a group selected from hydrogen, lower alkyl, lower alkenyl, lower alkynyl, -SO<sub>2</sub>R<sup>4</sup>, -SO<sub>2</sub>R<sup>20</sup>, -(C<sub>s</sub>H<sub>2s</sub>)C(O)R<sup>12</sup>, and -(C<sub>u</sub>H<sub>2u</sub>)C(O)R<sup>20</sup>; or methyl or ethyl substituted by CO<sub>2</sub>R<sup>9</sup> or R<sup>20</sup>;

s and u represent zero or one;

R<sup>2</sup> represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or

a group selected from halogen, nitro, -S(O)<sub>p</sub>R<sup>12</sup>, -OR<sup>12</sup>, -CH<sub>2</sub>S(O)<sub>q</sub>R<sup>12</sup>, -CH<sub>2</sub>NR<sup>8</sup>R<sup>11</sup>, -N(R<sup>8</sup>)SO<sub>2</sub>R<sup>12</sup>, and -N(R<sup>8</sup>)CO<sub>2</sub>R<sup>12</sup>; or benzyl optionally substituted by -S(O)<sub>p</sub>R<sup>16</sup>;

n represents zero, one or two;

X represents -(CH<sub>2</sub>)<sub>v</sub>-;

R<sup>3</sup> represents a 5-membered heteroaromatic ring of formula (II) which is selected from pyrazol-1-yl, imidazol-1-yl,

1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3,4-tetrazol-1-yl and benzimidazol-1-yl, the ring systems of which are substituted by one or two R<sup>14</sup> groups;

z represents one;

R<sup>b</sup> represents hydrogen or methyl;

R<sup>8</sup>, R<sup>9</sup> and R<sup>12</sup> independently represent C<sub>1-4</sub> alkyl or haloalkyl;

R<sup>11</sup> represents -SO<sub>2</sub>R<sup>12</sup> or CO<sub>2</sub>R<sup>12</sup>;

R<sup>16</sup> represents C<sub>1-4</sub> alkyl; and

R<sup>17</sup> represents phenyl optionally substituted by C<sub>1-3</sub> alkyl.

A particularly preferred class of compounds of formula (Id) above are those wherein:-

R<sup>a</sup> represents methyl;

Q represents a group selected from hydrogen, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, C<sub>3-4</sub> alkynyl, -SO<sub>2</sub>R<sup>4</sup>, -SO<sub>2</sub>R<sup>20</sup>, -(C<sub>5</sub>H<sub>2</sub>S)C(O)R<sup>12</sup>, and -(C<sub>u</sub>H<sub>2u</sub>)C(O)R<sup>20</sup>; or methyl or ethyl substituted by a group selected from CO<sub>2</sub>R<sup>9</sup> and R<sup>20</sup>;

5 s and u represent zero or one;

R<sup>2</sup> represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or

10 a group selected from halogen, nitro, -S(O)<sub>p</sub>R<sup>12</sup>, -OR<sup>12</sup> and -CH<sub>2</sub>S(O)<sub>q</sub>R<sup>12</sup>; or benzyl optionally substituted by -S(O)<sub>p</sub>R<sup>16</sup>;

n represents one;

X represents a bond;

R<sup>3</sup> is selected from pyrazol-1-yl, imidazol-1-yl,

15 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl and 1,2,3,4-tetrazol-1-yl, the ring systems of which are substituted by one or two R<sup>14</sup> groups;

z represents one;

R<sup>b</sup> represents hydrogen or methyl;

R<sup>9</sup>, R<sup>12</sup>, R<sup>14</sup> and R<sup>16</sup> represent C<sub>1-4</sub> alkyl; and

20 R<sup>20</sup> represents phenyl optionally substituted by methyl.

A further particularly preferred class of compounds of formula (Id) above are those wherein:-

R<sup>a</sup> represents methyl;

R<sup>b</sup> represents hydrogen or methyl;

25 Q represents a group selected from hydrogen, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, C<sub>3-4</sub> alkynyl, -SO<sub>2</sub>R<sup>4</sup>, -SO<sub>2</sub>R<sup>20</sup>, -(CH<sub>2</sub>)<sub>s</sub>C(O)R<sup>12</sup>, and -(CH<sub>2</sub>)<sub>u</sub>C(O)R<sup>20</sup>; or methyl or ethyl substituted by a group selected from CO<sub>2</sub>R<sup>9</sup> and R<sup>20</sup>;

s and u represent zero or one;

30 R<sup>2</sup> represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms;

n represents one;

X represents a bond;

35 R<sup>3</sup> represents a 1,2,4-triazol-1-yl ring;

z represents one;

R<sup>9</sup> and R<sup>12</sup> represent C<sub>1-4</sub> alkyl; and

R<sup>20</sup> represents phenyl optionally substituted by methyl.

A further particularly preferred class of compounds of formula

5 (Id) above are those wherein:-

R<sup>a</sup> represents methyl;

R<sup>b</sup> represents hydrogen or methyl;

Q represents a group selected from hydrogen, C<sub>1-4</sub> alkyl, C<sub>2-4</sub>  
10 alkenyl, C<sub>3-4</sub> alkynyl, -SO<sub>2</sub>R<sup>4</sup>, -SO<sub>2</sub>R<sup>20</sup>, -C(O)R<sup>12</sup>, and  
-(CH<sub>2</sub>)<sub>u</sub>C(O)R<sup>20</sup>; or -CH<sub>2</sub>R<sup>20</sup>;

u represents zero or one;

R<sup>2</sup> represents:-

halogen or a straight- or branched- chain alkyl group containing  
up to three carbon atoms substituted by one or more halogen atoms;

15 n and z represent one;

X represents a bond;

R<sup>3</sup> represents a 1,2,4-triazol-1-yl ring;

R<sup>12</sup> and R<sup>16</sup> represent C<sub>1-4</sub> alkyl; and

R<sup>20</sup> represents phenyl optionally substituted by methyl.

20 A further particularly preferred class of compounds of formula

(Id) above are those wherein:-

R<sup>a</sup> represents methyl;

R<sup>b</sup> represents hydrogen or methyl;

R<sup>2</sup> represents:-

25 optionally halogenated methyl;

n represents one;

Q represents -SO<sub>2</sub>R<sup>20</sup>, CH<sub>2</sub>COR<sup>20</sup> or CH<sub>2</sub>R<sup>20</sup>;

X represents a bond;

R<sup>3</sup> represents a 1,2,4-triazol-1-yl ring;

30 z represents one; and

R<sup>20</sup> represents phenyl optionally substituted by methyl.

Particularly important compounds of the invention include:

ethyl 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-  
35 trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 1)

ethyl 5-cyclopropyl-4-[4-(3-methylthio-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 2)

ethyl 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)naphth-1-oyl]isoxazole-3-carboxylate (Compound 3)

5 ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 4),

ethyl 5-cyclopropyl-4-[2-iodo-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 5)

10 ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 6)

ethyl 5-cyclopropyl-4-[2-benzyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 7)

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 8)

15 ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)naphth-1-oyl]isoxazole-3-carboxylate (Compound 9)

ethyl 5-cyclopropyl-4-[2-chloro-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 10)

20 ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)-2-iodobenzoyl]isoxazole-3-carboxylate (Compound 11)

ethyl 5-cyclopropyl-4-[4-(pyrazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 12)

ethyl 5-cyclopropyl-4-[2-chloro-4-(pyrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 13)

25 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole (Compound 14)

5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 15)

30 5-cyclopropyl-4-[2-(pyrazol-1-yl)benzoyl]isoxazole (Compound 16)

ethyl 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 17)

ethyl 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 18)

35 ethyl 5-cyclopropyl-4-[4-(3-methylsulphinyl-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 19)

ethyl 5-cyclopropyl-4-[4-(3-methylsulfonyl-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 20)

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 21)

5 ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 22)

ethyl 5-cyclopropyl-4-[3-fluoro-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 23)

10 ethyl 5-cyclopropyl-4-[2-methylthio-3,4-bis-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 24)

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 25)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 26)

15 ethyl 5-cyclopropyl-4-[2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 27)

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 28)

20 ethyl 5-cyclopropyl-4-[2-isobutyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 29)

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 30)

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 31)

25 ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 32)

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 33)

30 ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 34)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 35)

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 36)

35 ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 37)

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(5-methyl-1,2,4-triazol-4-yl)benzoyl]isoxazole-3-carboxylate (Compound 38)

ethyl 5-cyclopropyl-4-[2-methylthiomethyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 39)

5 ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 40)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 41)

10 ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 42)

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 43)

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 44)

15 ethyl 5-cyclopropyl-4-[2-isobutyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 45)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 46)

20 ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 47)

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 48)

2-cyano-3-cyclopropyl-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione (Compound 49)

25 5-cyclopropyl-4-[2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 51)

5-cyclopropyl-4-[2-methyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 56)

30 5-cyclopropyl-4-[2-methylsulfinyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 91)

5-cyclopropyl-4-[2-methylsulfonyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 92)

ethyl 5-cyclopropyl-4-[3-fluoro-2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 116)

35 ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,3,4-tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 129)



ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3,4-tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 132)

ethyl 5-cyclopropyl-4-[2-trifluoromethyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 154)

5 ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 155)

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 158)

10 ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 160)

ethyl 5-cyclopropyl-4-[2-trifluoromethyl-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 167)

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 173)

15 ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-benzotriazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 184)

5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole (Compound 246)

20 ethyl 5-cyclopropyl-4-[2-(1,2,3,4-tetrazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 291)

ethyl 5-cyclopropyl-4-[2-(1,2,3-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 311)

ethyl 5-cyclopropyl-4-[2-(1,2,3-triazol-2-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 321)

25 2-cyano-3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylphenyl]propan-1,3-dione (Compound 424)

5-cyclopropyl-4-[8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole (Compound 428)

30 ethyl 5-cyclopropyl-4-[8-(1,2,3,4-tetrazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 429)

ethyl 5-cyclopropyl-4-[8-(1,2,3-triazol-2-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 430)

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 431)

35 ethyl 5-cyclopropyl-4-[8-(1,2,3-benzotriazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 432)

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 433)

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(5-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 434)

5 1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 501)

1,3-dimethyl-5-phenacyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 502)

10 1,3-dimethyl-5-methoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 503)

5-ethoxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 504)

1,3-dimethyl-5-isopropoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 505)

15 5-benzyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 506)

1,3-dimethyl-5-methoxycarbonylmethoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 507)

20 1,3-dimethyl-5-[1-(methoxycarbonyl)ethoxy]-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 508)

1,3-dimethyl-5-allyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 509)

1,3-dimethyl-5-propargyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 510)

25 5-benzenesulfonyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 511)

5-acetyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 512)

30 5-benzoyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 513)

1,3-dimethyl-5-pivaloyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 514)

1,3-dimethyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 515)

35 1,3-dimethyl-5-isopropylsulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 516)

5-hydroxy-1-methyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 517)

1,3-dimethyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyl]-1H-pyrazole (Compound 546)

5 1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyl]-1H-pyrazole (Compound 1116)

1-methyl-5-benzoyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1117)

10 1-methyl-5-pivaloyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1118)

1-methyl-5-benzenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1119)

1-methyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1120)

15 1,3-dimethyl-5-acetoxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1121)

1,3-dimethyl-5-pivaloyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1122)

20 1,3-dimethyl-5-benzenesulfonyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1123)

1,3-dimethyl-5-isopropylsulfonyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1124)

1,3-dimethyl-5-methoxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1125)

25 1,3-dimethyl-5-phenacyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1126)

1,3-dimethyl-5-benzyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1127)

30 1,3-dimethyl-5-propargyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1128)

1,3-dimethyl-5-allyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1129)

1-methyl-5-acetoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1130)

35 1-methyl-5-benzyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1131) and

1-methyl-5-allyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1132).

The following compounds of formula (Ia) in which R<sup>1</sup> represents cyclopropyl and the group (XR<sup>3</sup>)<sub>z</sub> is attached either to the 4-position of the phenyl ring (Table 1), or to the 2-position of the phenyl ring (Table 2), or to the 3-position of the phenyl ring (Table 3) form part of the present invention. Note that in the Tables that follow, Me means methyl, Et means ethyl, Pr means propyl, cPr means cyclopropyl, Bu means butyl, and Ph means phenyl. Where subscripts do not appear in the Table it will be understood that in appropriate cases they are present (e.g. CF<sub>3</sub> means CF<sub>3</sub> etc.). Where a second XR<sup>3</sup> group is present in the phenyl ring, its locant is shown in the Tables under the (XR<sup>3</sup>)<sub>z</sub> column.

TABLE 1

Cpd No.	R	(R <sup>2</sup> ) <sub>n</sub>	(XR <sup>3</sup> ) <sub>z</sub>
1	CO <sub>2</sub> Et	2-CF <sub>3</sub>	1,2,4-triazol-1-yl
2	CO <sub>2</sub> Et	2-CF <sub>3</sub>	3-SMe-1,2,4-triazol-1-yl
4	CO <sub>2</sub> Et	2-Cl	1,2,4-triazol-1-yl
5	CO <sub>2</sub> Et	2-I	1,2,4-triazol-1-yl
6	CO <sub>2</sub> Et	2-Me	1,2,4-triazol-1-yl
7	CO <sub>2</sub> Et	2-CH <sub>2</sub> Ph	1,2,4-triazol-1-yl
8	CO <sub>2</sub> Et	2-CF <sub>3</sub>	imidazol-1-yl
10	CO <sub>2</sub> Et	2-Cl	imidazol-1-yl
11	CO <sub>2</sub> Et	2-I	imidazol-1-yl
12	CO <sub>2</sub> Et	2-CF <sub>3</sub>	pyrazol-1-yl
13	CO <sub>2</sub> Et	2-Cl	pyrazol-1-yl
14	H	2-CF <sub>3</sub>	1,2,4-triazol-1-yl
19	CO <sub>2</sub> Et	2-CF <sub>3</sub>	3-SOMe-1,2,4-triazol-1-yl
20	CO <sub>2</sub> Et	2-CF <sub>3</sub>	3-SO <sub>2</sub> Me-1,2,4-triazol-1-yl
21	CO <sub>2</sub> Et	2-SMe-3-SMe	1,2,4-triazol-1-yl
22	CO <sub>2</sub> Et	2-SMe-3-SMe	imidazol-1-yl
23	CO <sub>2</sub> Et	2-SMe-3-F	1,2,4-triazol-1-yl
24	CO <sub>2</sub> Et	2-SMe	1,2,4-triazol-1-yl-3-(1,2,4-triazol-1-yl)
25	CO <sub>2</sub> Et	2-SMe-3-Br	1,2,4-triazol-1-yl
26	CO <sub>2</sub> Et	2-SMe	1,2,4-triazol-1-yl
27	CO <sub>2</sub> Et	2-SMe	imidazol-1-yl
28	CO <sub>2</sub> Et	2-Et-3-SMe	1,2,4-triazol-1-yl
29	CO <sub>2</sub> Et	2-iBu	1,2,4-triazol-1-yl
30	CO <sub>2</sub> Et	2-iBu	imidazol-1-yl

Cpd No.	R	(R2) <sub>n</sub>	(XR3) <sub>z</sub>
31	CO2Et	2-SMe-3-OMe	1,2,4-triazol-1-yl
32	CO2Et	2-SMe-3-SMe	1,2,3-triazol-2-yl
33	CO2Et	2-SMe-3-Br	1,2,3-triazol-2-yl
34	CO2Et	2-SMe-3-Br	1,2,3-triazol-1-yl
35	CO2Et	2-SMe	1,2,3-triazol-2-yl
36	CO2Et	2-SMe-3-SMe	3-Me-1,2,4-triazol-1-yl
37	CO2Et	2-SMe-3-Br	3-Me-1,2,4-triazol-1-yl
38	CO2Et	2-SMe-3-Br	5-Me-1,2,4-triazol-1-yl
39	CO2Et	2-CH2SMe	1,2,4-triazol-1-yl
40	CO2Et	2-SMe-3-SMe	tetrazol-1-yl
41	CO2Et	2-SMe	tetrazol-1-yl
42	CO2Et	2-Et-3-SMe	tetrazol-1-yl
43	CO2Et	2-Et-3-SMe	1,2,3-triazol-2-yl
44	CO2Et	2-Et-3-SMe	1,2,3-triazol-1-yl
45	CO2Et	2-iBu	1,2,3-triazol-1-yl
46	CO2Et	2-SMe	3-Me-1,2,4-triazol-1-yl
47	CO2Et	2-Et-3-SMe	3-Me-1,2,4-triazol-1-yl
48	CO2Et	2-iBu	3-Me-1,2,4-triazol-1-yl
50	CO2Et	2-CH2SMe	1,2,4-triazol-1-yl
51	H	2-SMe	1,2,4-triazol-1-yl
52	H	2-CH2SMe	1,2,4-triazol-1-yl
53	H	2-SMe-3-F	1,2,4-triazol-1-yl
54	H	2-Et-3-SMe	1,2,4-triazol-1-yl
55	H	2-iBu	1,2,4-triazol-1-yl
56	H	2-Me	1,2,4-triazol-1-yl
57	H	2-I	1,2,4-triazol-1-yl
58	H	2-SMe-3-Br	1,2,4-triazol-1-yl
59	H	2-Cl	1,2,4-triazol-1-yl
60	H	2-SMe-3-SMe	1,2,4-triazol-1-yl
61	CO2Et	2-NO2	1,2,4-triazol-1-yl
62	CO2Et	2-SOMe	1,2,4-triazol-1-yl
63	CO2Et	2-SO2Me	1,2,4-triazol-1-yl
64	CO2Et	2-CH2SOMe	1,2,4-triazol-1-yl
65	CO2Et	2-CH2SO2Me	1,2,4-triazol-1-yl
66	CO2Et	2-SMe-3-Cl	1,2,4-triazol-1-yl
67	CO2Et	2-SOMe-3-Cl	1,2,4-triazol-1-yl
68	CO2Et	2-SO2Me-3-Cl	1,2,4-triazol-1-yl
69	CO2Et	2-SOMe-3-OMe	1,2,4-triazol-1-yl
70	CO2Et	2-SO2Me-3-OMe	1,2,4-triazol-1-yl
71	CO2Et	2-Br	1,2,4-triazol-1-yl
72	CO2Et	2-OMe	1,2,4-triazol-1-yl

Cpd No.	R	(R2)n	(XR3)z
73	CO2Et	2-Me-3-SMe	1,2,4-triazol-1-yl
74	CO2Et	2-Me-3-SOMe	1,2,4-triazol-1-yl
75	CO2Et	2-Me-3-SO2Me	1,2,4-triazol-1-yl
76	CO2Et	2-OMe-3-SMe	1,2,4-triazol-1-yl
77	CO2Et	2-OMe-3-SOMe	1,2,4-triazol-1-yl
78	CO2Et	2-OMe-3-SO2Me	1,2,4-triazol-1-yl
79	CO2Et	2-NmeSO2Me	1,2,4-triazol-1-yl
80	CO2Et	2-NmeCO2Me	1,2,4-triazol-1-yl
81	CO2Et	2-CH2Ph	1,2,4-triazol-1-yl
82	CO2Et	2-CH2[(2-MeS)Ph]	1,2,4-triazol-1-yl
83	CO2Et	2-CH2[(2-MeSO)Ph]	1,2,4-triazol-1-yl
84	CO2Et	2-CH2[(2-MeSO2)Ph]	1,2,4-triazol-1-yl
85	CO2Et	2-CH2N(Me)SO2Me	1,2,4-triazol-1-yl
86	CO2Et	2-CH2N(Me)CO2Me	1,2,4-triazol-1-yl
87	CO2Et	2-CH2P(O)(OEt)2	1,2,4-triazol-1-yl
88	CO2Et	-	1,2,4-triazol-1-yl-2-(1,2,4-triazol-1-yl)
89	CO2Et	-	1,2,4-triazol-1-yl-2-(imidazol-1-yl)
90	CO2Et	2-NO2	1,2,4-triazol-1-yl
91	H	2-SOMe	1,2,4-triazol-1-yl
92	H	2-SO2Me	1,2,4-triazol-1-yl
93	H	2-CH2SOMe	1,2,4-triazol-1-yl
94	H	2-CH2SO2Me	1,2,4-triazol-1-yl
95	H	2-SMe-3-OMe	1,2,4-triazol-1-yl
96	H	2-SOMe-3-OMe	1,2,4-triazol-1-yl
97	H	2-SO2Me-3-OMe	1,2,4-triazol-1-yl
98	H	2-OMe-3-SMe	1,2,4-triazol-1-yl
99	H	2-OMe-3-SOMe	1,2,4-triazol-1-yl
100	H	2-OMe-3-SO2Me	1,2,4-triazol-1-yl
101	H	2-OMe	1,2,4-triazol-1-yl
102	H	-	1,2,4-triazol-1-yl-2-(1,2,4-triazol-1-yl)
103	H	-	1,2,4-triazol-1-yl-2-(imidazol-1-yl)
104	H	2-CF3	imidazol-1-yl
105	H	2-SMe	imidazol-1-yl
106	H	2-CH2SMe	imidazol-1-yl
107	H	2-SMe-3-F	imidazol-1-yl
108	H	2-Et-3-SMe	imidazol-1-yl
109	H	2-iBu	imidazol-1-yl
110	H	2-Me	imidazol-1-yl
111	H	2-I	imidazol-1-yl
112	H	2-SMe-3-Br	imidazol-1-yl
113	H	2-Cl	imidazol-1-yl

Cpd No.	R	(R2)n	(XR3)z
114	H	2-SMe-3-SMe	imidazol-1-yl
115	CO2Et	2-CH2SMe	imidazol-1-yl
116	CO2Et	2-SMe-3-F	imidazol-1-yl
117	CO2Et	2-Et-3-SMe	imidazol-1-yl
118	CO2Et	2-Me	imidazol-1-yl
119	CO2Et	2-SMe-3-Br	imidazol-1-yl
120	CO2Et	2-SMe	imidazol-1-yl-3-(1,2,4-triazol-1-yl)
121	H	2-CF3	imidazol-1-yl
122	H	2-SMe	imidazol-1-yl
123	H	2-CH2SMe	imidazol-1-yl
124	H	2-Me	imidazol-1-yl
125	CO2Et	2-CF3	tetrazol-1-yl
126	CO2Et	2-CH2SMe	tetrazol-1-yl
127	CO2Et	2-SMe-3-F	tetrazol-1-yl
128	CO2Et	2-iBu	tetrazol-1-yl
129	CO2Et	2-Me	tetrazol-1-yl
130	CO2Et	2-I	tetrazol-1-yl
131	CO2Et	2-SMe-3-Br	tetrazol-1-yl
132	CO2Et	2-Cl	tetrazol-1-yl
133	CO2Et	2-SMe	tetrazol-1-yl-3-(1,2,4-triazol-1-yl)
134	H	2-CF3	tetrazol-1-yl
135	H	2-SMe	tetrazol-1-yl
136	H	2-CH2SMe	tetrazol-1-yl
137	H	2-Me	tetrazol-1-yl
138	CO2Et	2-CF3	benzimidazol-1-yl
139	CO2Et	2-SMe	benzimidazol-1-yl
140	CO2Et	2-CH2SMe	benzimidazol-1-yl
141	CO2Et	2-SMe-3-F	benzimidazol-1-yl
142	CO2Et	2-Et-3-SMe	benzimidazol-1-yl
143	CO2Et	2-iBu	benzimidazol-1-yl
144	CO2Et	2-Me	benzimidazol-1-yl
145	CO2Et	2-I	benzimidazol-1-yl
146	CO2Et	2-SMe-3-Br	benzimidazol-1-yl
147	CO2Et	2-Cl	benzimidazol-1-yl
148	CO2Et	2-SMe-3-SMe	benzimidazol-1-yl
149	CO2Et	2-SMe	benzimidazol-1-yl-3-(1,2,4-triazol-1-yl)
150	H	2-CF3	benzimidazol-1-yl
151	H	2-SMe	benzimidazol-1-yl
152	H	2-CH2SMe	benzimidazol-1-yl
153	H	2-Me	benzimidazol-1-yl
154	CO2Et	2-CF3	1,2,3-triazol-1-yl

Cpd No.	R	(R2)n	(XR3)z
155	CO2Et	2-SMe	1,2,3-triazol-1-yl
156	CO2Et	2-CH2SMe	1,2,3-triazol-1-yl
157	CO2Et	2-SMe-3-F	1,2,3-triazol-1-yl
158	CO2Et	2-Me	1,2,3-triazol-1-yl
159	CO2Et	2-I	1,2,3-triazol-1-yl
160	CO2Et	2-Cl	1,2,3-triazol-1-yl
161	CO2Et	2-SMe-3-SMe	1,2,3-triazol-1-yl
162	CO2Et	2-SMe	1,2,3-triazol-1-yl-3-(1,2,4-triazol-1-yl)
163	H	2-CF3	1,2,3-triazol-1-yl
164	H	2-SMe	1,2,3-triazol-1-yl
165	H	2-CH2SMe	1,2,3-triazol-1-yl
166	H	2-Me	1,2,3-triazol-1-yl
167	CO2Et	2-CF3	1,2,3-triazol-2-yl
168	CO2Et	2-CH2SMe	1,2,3-triazol-2-yl
169	CO2Et	2-SMe-3-F	1,2,3-triazol-2-yl
170	CO2Et	2-iBu	1,2,3-triazol-2-yl
171	CO2Et	2-Me	1,2,3-triazol-2-yl
172	CO2Et	2-I	1,2,3-triazol-2-yl
173	CO2Et	2-Cl	1,2,3-triazol-2-yl
174	CO2Et	2-SMe	1,2,3-triazol-2-yl-3-(1,2,4-triazol-1-yl)
175	H	2-CF3	1,2,3-triazol-2-yl
176	H	2-SMe	1,2,3-triazol-2-yl
177	H	2-CH2SMe	1,2,3-triazol-2-yl
178	H	2-Me	1,2,3-triazol-2-yl
179	CO2Et	2-CF3	3-Methylpyrazol-1-yl
180	CO2Et	2-SMe	3-Methylpyrazol-1-yl
181	CO2Et	2-CH2SMe	3-Methylpyrazol-1-yl
182	CO2Et	2-Me	3-Methylpyrazol-1-yl
183	CO2Et	2-CF3	benzotriazol-1-yl
184	CO2Et	2-SMe	benzotriazol-1-yl
185	CO2Et	2-CH2SMe	benzotriazol-1-yl
186	CO2Et	2-Me	benzotriazol-1-yl
187	CO2Et	2-CF3	benzotriazol-2-yl
188	CO2Et	2-SMe	benzotriazol-2-yl
189	CO2Et	2-CH2SMe	benzotriazol-2-yl
190	CO2Et	2-Me	benzotriazol-2-yl
191	CO2Et	2-CF3	5-MeS-tetrazol-1-yl
192	CO2Et	2-SMe	5-MeS-tetrazol-1-yl
193	CO2Et	2-CH2SMe	5-MeS-tetrazol-1-yl
194	CO2Et	2-Me	5-MeS-tetrazol-1-yl
195	CO2Et	2-CF3	5-MeS-tetrazol-1-yl



Cpd No.	R	(R2)n	(XR3)z
196	CO2Et	2-SMe	5-MeS-tetrazol-1-yl
197	CO2Et	2-CH2SMe	5-MeS-tetrazol-1-yl
198	CO2Et	2-Me	5-MeS-tetrazol-1-yl
199	CO2Et	2-CF3	indol-1-yl
200	CO2Et	2-SMe	indol-1-yl
201	CO2Et	2-CH2SMe	indol-1-yl
202	CO2Et	2-Me	indol-1-yl
203	CO2Et	2-SMe	pyrazol-1-yl
204	CO2Et	2-CH2SMe	pyrazol-1-yl
205	CO2Et	2-Me	pyrazol-1-yl
206	CO2Et	2-CF3	2-NO2-imidazol-1-yl
207	CO2Et	2-CF3	4-NO2-imidazol-1-yl
208	CO2Et	2-CF3	3-Et-imidazol-1-yl
209	CO2Et	2-CF3	4-CO2Me-imidazol-1-yl
210	CO2Et	2-CF3	5-SMe-tetrazol-1-yl
211	CO2Et	2-CF3	indazol-1-yl
428	H	2,3-SCH2CH2O-	1,2,4-triazol-1-yl
429	CO2Et	2,3-SCH2CH2O-	1,2,3,4-tetrazol-1-yl
430	CO2Et	2,3-SCH2CH2O-	1,2,3-triazol-1-yl
431	CO2Et	2-SMe-3-OMe	1,2,3-triazol-1-yl
432	CO2Et	2,3-SCH2CH2O-	benz-1,2,3-triazol-1-yl
433	CO2Et	2-SMe-3-OMe	imidazol-1-yl
434	CO2Et	2-iBu	5-Me-1,2,4-triazol-1-yl
435	CO2Et	2-F	1,2,4-triazol-1-yl
436	H	2-F	1,2,4-triazol-1-yl
437	H	2-Br	1,2,4-triazol-1-yl

TABLE 2

Cpd. No.	R	(R2)n	(XR3)z
15	H	-	1,2,4-triazol-1-yl
16	H	-	pyrazol-1-yl
17	CO2Et	-	1,2,4-triazol-1-yl
18	CO2Et	4-CF3	1,2,4-triazol-1-yl
212	CO2Et	4-SMe	1,2,4-triazol-1-yl
213	CO2Et	4-F	1,2,4-triazol-1-yl
214	CO2Et	4-Cl	1,2,4-triazol-1-yl
215	CO2Et	4-Br	1,2,4-triazol-1-yl
216	CO2Et	4-I	1,2,4-triazol-1-yl
217	CO2Et	4-Me	1,2,4-triazol-1-yl
218	CO2Et	4-OMe	1,2,4-triazol-1-yl

Cpd. No.	R	(R2)n	(XR3)z
219	CO2Et	4-SOMe	1,2,4-triazol-1-yl
220	CO2Et	4-SO2Me	1,2,4-triazol-1-yl
221	CO2Et	3-SMe	1,2,4-triazol-1-yl
222	CO2Et	3-CF3	1,2,4-triazol-1-yl
223	CO2Et	3-SMe-4-F	1,2,4-triazol-1-yl
224	CO2Et	3-F	1,2,4-triazol-1-yl
225	CO2Et	3-Cl	1,2,4-triazol-1-yl
226	CO2Et	3-Br	1,2,4-triazol-1-yl
227	CO2Et	3-I	1,2,4-triazol-1-yl
228	CO2Et	3-Me	1,2,4-triazol-1-yl
229	CO2Et	3-OMe	1,2,4-triazol-1-yl
230	CO2Et	3-SOMe	1,2,4-triazol-1-yl
231	CO2Et	3-SO2Me	1,2,4-triazol-1-yl
232	CO2Et	3-SOMe-4-F	1,2,4-triazol-1-yl
233	CO2Et	3-SO2Me-4-F	1,2,4-triazol-1-yl
234	CO2Et	3-SMe-4-OMe	1,2,4-triazol-1-yl
235	CO2Et	3-SOMe-4-OMe	1,2,4-triazol-1-yl
236	CO2Et	3-SO2Me-4-OMe	1,2,4-triazol-1-yl
237	CO2Et	3-SMe-4-SMe	1,2,4-triazol-1-yl
238	CO2Et	3-SO2Me-4-SO2Me	1,2,4-triazol-1-yl
239	CO2Et	3-Me-4-F	1,2,4-triazol-1-yl
240	CO2Et	3-Me-4-SMe	1,2,4-triazol-1-yl
241	CO2Et	3-CH2SOMe	1,2,4-triazol-1-yl
242	CO2Et	3-CH2SO2Me	1,2,4-triazol-1-yl
243	CO2Et	3,4-Cl2	1,2,4-triazol-1-yl
244	CO2Et	3,4-F2	1,2,4-triazol-1-yl
245	CO2Et	3-CH2SO2Me	1,2,4-triazol-1-yl
246	H	4-CF3	1,2,4-triazol-1-yl
247	H	4-SMe	1,2,4-triazol-1-yl
248	H	4-F	1,2,4-triazol-1-yl
249	H	4-Cl	1,2,4-triazol-1-yl
250	H	4-Br	1,2,4-triazol-1-yl
251	H	4-I	1,2,4-triazol-1-yl
252	H	4-Me	1,2,4-triazol-1-yl
253	H	4-OMe	1,2,4-triazol-1-yl
254	H	4-SOMe	1,2,4-triazol-1-yl
255	H	4-SO2Me	1,2,4-triazol-1-yl
256	H	3-SMe	1,2,4-triazol-1-yl
257	H	3-CF3	1,2,4-triazol-1-yl
258	H	3-SMe-4-F	1,2,4-triazol-1-yl

Cpd. No.	R	(R2)n	(XR3)z
259	H	3-F	1,2,4-triazol-1-yl
260	H	3-Cl	1,2,4-triazol-1-yl
261	H	3-Br	1,2,4-triazol-1-yl
262	H	3-I	1,2,4-triazol-1-yl
263	H	3-Me	1,2,4-triazol-1-yl
264	H	3-OMe	1,2,4-triazol-1-yl
265	H	3-SOMe	1,2,4-triazol-1-yl
266	H	3-SO2Me	1,2,4-triazol-1-yl
267	H	3-SOMe-4-F	1,2,4-triazol-1-yl
268	H	3-SO2Me-4-F	1,2,4-triazol-1-yl
269	H	3-SMe-4-OMe	1,2,4-triazol-1-yl
270	H	3-SOMe-4-OMe	1,2,4-triazol-1-yl
271	H	3-SO2Me-4-OMe	1,2,4-triazol-1-yl
272	H	3-SMe-4-SMe	1,2,4-triazol-1-yl
273	H	3-SO2Me-4-SO2Me	1,2,4-triazol-1-yl
274	H	3-Me-4-F	1,2,4-triazol-1-yl
275	H	3-Me-4-SMe	1,2,4-triazol-1-yl
276	H	3-CH2SOMe	1,2,4-triazol-1-yl
277	H	3-CH2SO2Me	1,2,4-triazol-1-yl
278	H	3,4-Cl2	1,2,4-triazol-1-yl
279	H	3,4-F2	1,2,4-triazol-1-yl
280	H	3-CH2SO2Me	1,2,4-triazol-1-yl
281	CO2Et	4-CF3	imidazol-1-yl
282	CO2Et	4-SMe	imidazol-1-yl
283	CO2Et	4-F	imidazol-1-yl
284	CO2Et	4-Cl	imidazol-1-yl
285	CO2Et	4-Br	imidazol-1-yl
286	H	4-CF3	imidazol-1-yl
287	H	4-SMe	imidazol-1-yl
288	H	4-F	imidazol-1-yl
289	H	4-Cl	imidazol-1-yl
290	H	4-Br	imidazol-1-yl
291	CO2Et	4-CF3	tetrazol-1-yl
292	CO2Et	4-SMe	tetrazol-1-yl
293	CO2Et	4-F	tetrazol-1-yl
294	CO2Et	4-Cl	tetrazol-1-yl
295	CO2Et	4-Br	tetrazol-1-yl
296	H	4-CF3	tetrazol-1-yl
297	H	4-SMe	tetrazol-1-yl
298	H	4-F	tetrazol-1-yl

Cpd. No.	R	(R2)n	(XR3)z
299	H	4-Cl	tetrazol-1-yl
300	H	4-Br	tetrazol-1-yl
301	CO2Et	4-CF3	benzimidazol-1-yl
302	CO2Et	4-SMe	benzimidazol-1-yl
303	CO2Et	4-F	benzimidazol-1-yl
304	CO2Et	4-Cl	benzimidazol-1-yl
305	CO2Et	4-Br	benzimidazol-1-yl
306	H	4-CF3	benzimidazol-1-yl
307	H	4-SMe	benzimidazol-1-yl
308	H	4-F	benzimidazol-1-yl
309	H	4-Cl	benzimidazol-1-yl
310	H	4-Br	benzimidazol-1-yl
311	CO2Et	4-CF3	1,2,3-triazol-1-yl
312	CO2Et	4-SMe	1,2,3-triazol-1-yl
313	CO2Et	4-F	1,2,3-triazol-1-yl
314	CO2Et	4-Cl	1,2,3-triazol-1-yl
315	CO2Et	4-Br	1,2,3-triazol-1-yl
316	H	4-CF3	1,2,3-triazol-1-yl
317	H	4-SMe	1,2,3-triazol-1-yl
318	H	4-F	1,2,3-triazol-1-yl
319	H	4-Cl	1,2,3-triazol-1-yl
320	H	4-Br	1,2,3-triazol-1-yl
321	CO2Et	4-CF3	1,2,3-triazol-2-yl
322	CO2Et	4-SMe	1,2,3-triazol-2-yl
323	CO2Et	4-F	1,2,3-triazol-2-yl
324	CO2Et	4-Cl	1,2,3-triazol-2-yl
325	CO2Et	4-Br	1,2,3-triazol-2-yl
326	H	4-CF3	1,2,3-triazol-2-yl
327	H	4-SMe	1,2,3-triazol-2-yl
328	H	4-F	1,2,3-triazol-2-yl
329	H	4-Cl	1,2,3-triazol-2-yl
330	H	4-Br	1,2,3-triazol-2-yl

TABLE 3

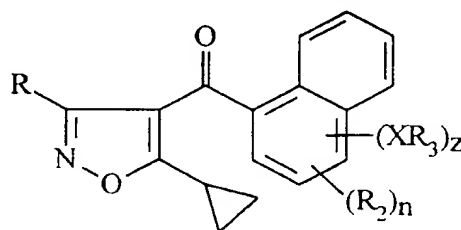
Cpd No.	R	(R2)n	(XR3)z
331	H	-	1,2,4-triazol-1-yl
332	CO2Et	-	1,2,4-triazol-1-yl
333	CO2Et	2-CF3	1,2,4-triazol-1-yl

Cpd No.	R	(R2)n	(XR3)z
334	CO2Et	2-SMe	1,2,4-triazol-1-yl
335	CO2Et	2-F	1,2,4-triazol-1-yl
336	CO2Et	2-Cl	1,2,4-triazol-1-yl
337	CO2Et	2-Br	1,2,4-triazol-1-yl
338	CO2Et	2-SOMe	1,2,4-triazol-1-yl
339	CO2Et	2-SO2Me	1,2,4-triazol-1-yl
340	CO2Et	-	1,2,4-triazol-1-yl-2-(1,2,4-triazol-1-yl)
341	CO2Et	2-SMe-4-CF3	1,2,4-triazol-1-yl
342	CO2Et	2-SMe-4-F	1,2,4-triazol-1-yl
343	CO2Et	2-SMe-4-Br	1,2,4-triazol-1-yl
344	CO2Et	2-SMe-4-Cl	1,2,4-triazol-1-yl
345	CO2Et	4-Cl	1,2,4-triazol-1-yl-2-(1,2,4-triazol-1-yl)
346	CO2Et	2-SO2Me-4-SO2Me	1,2,4-triazol-1-yl
347	CO2Et	2-SMe-4-SMe	1,2,4-triazol-1-yl
348	CO2Et	2-CH2SMe	1,2,4-triazol-1-yl
349	H	2-CF3	1,2,4-triazol-1-yl
350	H	2-SMe	1,2,4-triazol-1-yl
351	H	2-SO2Me	1,2,4-triazol-1-yl
352	H	-	imidazol-1-yl
353	CO2Et	-	imidazol-1-yl
354	CO2Et	2-CF3	imidazol-1-yl
355	CO2Et	2-SMe	imidazol-1-yl
356	CO2Et	2-F	imidazol-1-yl
357	CO2Et	2-Cl	imidazol-1-yl
358	CO2Et	2-Br	imidazol-1-yl
359	CO2Et	2-SOMe	imidazol-1-yl
360	CO2Et	2-SO2Me	imidazol-1-yl
361	CO2Et	-	imidazol-1-yl-2-(1,2,4-triazol-1-yl)
362	CO2Et	2-SMe-4-CF3	imidazol-1-yl
363	CO2Et	2-SMe-4-F	imidazol-1-yl
364	CO2Et	2-SMe-4-Br	imidazol-1-yl
365	CO2Et	2-SMe-4-Cl	imidazol-1-yl
366	CO2Et	4-Cl	imidazol-1-yl-2-(1,2,4-triazol-1-yl)
367	CO2Et	2-SO2Me-4-SO2Me	imidazol-1-yl
368	CO2Et	2-SMe-4-SMe	imidazol-1-yl
369	CO2Et	2-CH2SMe	imidazol-1-yl
370	H	2-CF3	imidazol-1-yl
371	H	2-SMe	imidazol-1-yl
372	H	2-SO2Me	imidazol-1-yl
373	H	-	tetrazol-1-yl

Cpd No.	R	(R2)n	(XR3)z
374	CO2Et	-	tetrazol-1-yl
375	CO2Et	2-CF3	tetrazol-1-yl
376	CO2Et	2-SMe	tetrazol-1-yl
377	CO2Et	2-F	tetrazol-1-yl
378	CO2Et	2-Cl	tetrazol-1-yl
379	CO2Et	2-Br	tetrazol-1-yl
380	CO2Et	2-SOMe	tetrazol-1-yl
381	CO2Et	2-SO2Me	tetrazol-1-yl
382	CO2Et	-	tetrazol-1-yl-2-(1,2,4-triazol-1-yl)
383	CO2Et	2-SMe-4-CF3	tetrazol-1-yl
384	CO2Et	2-SMe-4-F	tetrazol-1-yl
385	CO2Et	2-SMe-4-Br	tetrazol-1-yl
386	CO2Et	2-SMe-4-Cl	tetrazol-1-yl
387	CO2Et	4-Cl	tetrazol-1-yl-2-(1,2,4-triazol-1-yl)
388	CO2Et	2-SO2Me-4-SO2Me	tetrazol-1-yl
389	CO2Et	2-SMe-4-SMe	tetrazol-1-yl
390	CO2Et	2-CH2SMe	tetrazol-1-yl
391	H	2-CF3	tetrazol-1-yl
392	H	2-SMe	tetrazol-1-yl
393	H	2-SO2Me	tetrazol-1-yl
394	H	-	1,2,3-triazol-1-yl
395	CO2Et	-	1,2,3-triazol-1-yl
396	CO2Et	2-CF3	1,2,3-triazol-1-yl
397	CO2Et	2-SMe	1,2,3-triazol-1-yl
398	CO2Et	2-F	1,2,3-triazol-1-yl
399	CO2Et	2-Cl	1,2,3-triazol-1-yl
400	CO2Et	2-Br	1,2,3-triazol-1-yl
401	CO2Et	2-SOMe	1,2,3-triazol-1-yl
402	CO2Et	2-SO2Me	1,2,3-triazol-1-yl
403	CO2Et	-	1,2,3-triazol-1-yl-2-(1,2,4-triazol-1-yl)
404	CO2Et	2-SMe-4-CF3	1,2,3-triazol-1-yl
405	CO2Et	2-SMe-4-F	1,2,3-triazol-1-yl
406	CO2Et	2-SMe-4-Br	1,2,3-triazol-1-yl
407	CO2Et	2-SMe-4-Cl	1,2,3-triazol-1-yl
408	CO2Et	4-Cl	1,2,3-triazol-1-yl-2-(1,2,4-triazol-1-yl)
409	CO2Et	2-SO2Me-4-SO2Me	1,2,3-triazol-1-yl
410	CO2Et	2-SMe-4-SMe	1,2,3-triazol-1-yl
411	CO2Et	2-CH2SMe	1,2,3-triazol-1-yl
412	H	2-CF3	1,2,3-triazol-1-yl
413	H	2-SMe	1,2,3-triazol-1-yl

Cpd No.	R	(R <sub>2</sub> ) <sub>n</sub>	(XR <sub>3</sub> ) <sub>z</sub>
414	H	2-SO <sub>2</sub> Me	1,2,3-triazol-1-yl

The following compounds of formula (Ie) wherein n represents 0 or 1 shown in Table 4 also form part of the invention.



(Ie)

**TABLE 4**

Cpd.No.	R	(R <sub>2</sub> ) <sub>n</sub>	(XR <sub>3</sub> ) <sub>z</sub>
3	CO <sub>2</sub> Et	H	4-(1,2,4-triazol-1-yl)
9	CO <sub>2</sub> Et	H	4-(imidazol-1-yl)
415	CO <sub>2</sub> Et	H	2-(1,2,4-triazol-1-yl)
416	CO <sub>2</sub> Et	H	3-(1,2,4-triazol-1-yl)
417	H	H	4-(1,2,4-triazol-1-yl)
418	H	H	4-(imidazol-1-yl)
419	H	H	2-(1,2,4-triazol-1-yl)
420	H	H	3-(1,2,4-triazol-1-yl)

The following compounds of formula (Ic) shown in Table 5 wherein R<sup>1</sup> represents cyclopropyl also form part of the invention.

**TABLE 5**

Cpd.No.	(R <sub>2</sub> ) <sub>n</sub>	(R <sub>3</sub> ) <sub>x</sub>
49	2-CF <sub>3</sub>	4-(1,2,4-triazol-1-yl)
421	2-SMe	4-(1,2,4-triazol-1-yl)
422	2-Cl	4-(1,2,4-triazol-1-yl)
423	2-Me	4-(1,2,4-triazol-1-yl)
424	4-CF <sub>3</sub>	2-(1,2,4-triazol-1-yl)
425	4-SMe	2-(1,2,4-triazol-1-yl)
426	4-Cl	2-(1,2,4-triazol-1-yl)
427	4-Me	2-(1,2,4-triazol-1-yl)

The following compounds of formula (Id) in which R<sup>a</sup> represents methyl, and the group (XR<sup>3</sup>)<sub>z</sub> is attached either to the 4-position of the phenyl ring (Table 6), or to the 2-position of the phenyl ring (Table 7), or to the 3-position of the phenyl ring (Table 8), also form part of the present invention.

5

**TABLE 6**

Cpd No.	Rb	Q	(R2) <sub>n</sub>	(XR3) <sub>z</sub>
501	Me	H	2-CF3	1,2,4-triazol-1-yl
502	Me	CH <sub>2</sub> COPh	2-CF3	1,2,4-triazol-1-yl
503	Me	Me	2-CF3	1,2,4-triazol-1-yl
504	Me	Et	2-CF3	1,2,4-triazol-1-yl
505	Me	iPr	2-CF3	1,2,4-triazol-1-yl
506	Me	CH <sub>2</sub> Ph	2-CF3	1,2,4-triazol-1-yl
507	Me	CH <sub>2</sub> CO <sub>2</sub> Me	2-CF3	1,2,4-triazol-1-yl
508	Me	CH(CO <sub>2</sub> Me)Me	2-CF3	1,2,4-triazol-1-yl
509	Me	CH <sub>2</sub> CH=CH <sub>2</sub>	2-CF3	1,2,4-triazol-1-yl
510	Me	prop-2-ynyl	2-CF3	1,2,4-triazol-1-yl
511	Me	SO <sub>2</sub> Ph	2-CF3	1,2,4-triazol-1-yl
512	Me	COMe	2-CF3	1,2,4-triazol-1-yl
513	Me	COPh	2-CF3	1,2,4-triazol-1-yl
514	Me	COtBu	2-CF3	1,2,4-triazol-1-yl
515	Me	SO <sub>2</sub> (4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
516	Me	SO <sub>2</sub> iPr	2-CF3	1,2,4-triazol-1-yl
517	H	H	2-CF3	1,2,4-triazol-1-yl
518	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
519	Me	SO <sub>2</sub> (4-Me Ph)	2-CF3	1,2,3-triazol-1-yl
520	Me	SO <sub>2</sub> (4-Me Ph)	2-CH <sub>2</sub> SMe	1,2,3-triazol-1-yl
521	Me	SO <sub>2</sub> (4-Me Ph)	2-Cl	1,2,3-triazol-1-yl
522	Me	SO <sub>2</sub> (4-Me Ph)	2-Me	1,2,3-triazol-1-yl
523	Me	SO <sub>2</sub> (4-Me Ph)	2-SMe	1,2,3-triazol-1-yl
524	Me	SO <sub>2</sub> (4-Me Ph)	2-SMe-3-Br	1,2,3-triazol-1-yl
525	Me	SO <sub>2</sub> (4-Me Ph)	2-SMe-3-F	1,2,3-triazol-1-yl
526	Me	SO <sub>2</sub> (4-Me Ph)	2-SMe-3-SMe	1,2,3-triazol-1-yl
527	Me	SO <sub>2</sub> (4-Me Ph)	2-CF3	1,2,3-triazol-2-yl
528	Me	SO <sub>2</sub> (4-Me Ph)	2-CH <sub>2</sub> SMe	1,2,3-triazol-2-yl
529	Me	SO <sub>2</sub> (4-Me Ph)	2-Cl	1,2,3-triazol-2-yl
530	Me	SO <sub>2</sub> (4-Me Ph)	2-Me	1,2,3-triazol-2-yl
531	Me	SO <sub>2</sub> (4-Me Ph)	2-SMe	1,2,3-triazol-2-yl
532	Me	SO <sub>2</sub> (4-Me Ph)	2-SMe-3-Br	1,2,3-triazol-2-yl



Cpd No.	Rb	Q	(R2)n	(XR3)z
533	Me	SO2(4-Me Ph)	2-SMe-3-F	1,2,3-triazol-2-yl
534	Me	SO2(4-Me Ph)	2-SMe-3-SMe	1,2,3-triazol-2-yl
535	Me	SO2(4-Me Ph)	2-Br	1,2,4-triazol-1-yl
536	Me	SO2(4-Me Ph)	2-CH2[(2-MeS)Ph]	1,2,4-triazol-1-yl
537	Me	SO2(4-Me Ph)	2-CH2[(2-MeSO)Ph]	1,2,4-triazol-1-yl
538	Me	SO2(4-Me Ph)	2-CH2[(2-MeSO2)Ph]	1,2,4-triazol-1-yl
539	Me	SO2(4-Me Ph)	2-CH2N(Me)CO2Me	1,2,4-triazol-1-yl
540	Me	SO2(4-Me Ph)	2-CH2N(Me)SO2Me	1,2,4-triazol-1-yl
541	Me	SO2(4-Me Ph)	2-CH2Ph	1,2,4-triazol-1-yl
542	Me	SO2(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
543	Me	CH2CO(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
544	Me	SO2(4-Me Ph)	2-CH2SO2Me	1,2,4-triazol-1-yl
545	Et	SO2(4-Me Ph)	2-CH2SOMe	1,2,4-triazol-1-yl
546	Me	SO2(4-Me Ph)	2-Cl	1,2,4-triazol-1-yl
547	Me	SO2(4-Me Ph)	2-Me	1,2,4-triazol-1-yl
548	Me	SO2(4-Me Ph)	2-Me-3-SMe	1,2,4-triazol-1-yl
549	Me	SO2(4-Me Ph)	2-Me-3-SO2Me	1,2,4-triazol-1-yl
550	Me	SO2(4-Me Ph)	2-Me-3-SOMe	1,2,4-triazol-1-yl
551	Me	SO2(4-Me Ph)	2-NMeCO2Me	1,2,4-triazol-1-yl
552	Me	SO2(4-Me Ph)	2-NMeSO2Me	1,2,4-triazol-1-yl
553	Me	SO2(4-Me Ph)	2-OMe	1,2,4-triazol-1-yl
554	Me	SO2(4-Me Ph)	2-OMe-3-SMe	1,2,4-triazol-1-yl
555	Me	SO2(4-Me Ph)	2-OMe-3-SO2Me	1,2,4-triazol-1-yl
556	Me	SO2(4-Me Ph)	2-OMe-3-SOMe	1,2,4-triazol-1-yl
557	Me	SO2(4-Me Ph)	2-SMe	1,2,4-triazol-1-yl
558	Me	SO2(4-Me Ph)	2-SMe-3-Br	1,2,4-triazol-1-yl
559	Me	SO2(4-Me Ph)	2-SMe-3-Cl	1,2,4-triazol-1-yl
560	Me	SO2(4-Me Ph)	2-SMe-3-F	1,2,4-triazol-1-yl
561	Me	SO2(4-Me Ph)	2-SMe-3-OMe	1,2,4-triazol-1-yl
562	Me	SO2(4-Me Ph)	2-SMe-3-SMe	1,2,4-triazol-1-yl
563	Me	SO2(4-Me Ph)	2-SO2Me	1,2,4-triazol-1-yl
564	Me	SO2(4-Me Ph)	2-SO2Me-3-Cl	1,2,4-triazol-1-yl
565	Me	SO2(4-Me Ph)	2-SO2Me-3-OMe	1,2,4-triazol-1-yl
566	Me	SO2(4-Me Ph)	2-SOMe	1,2,4-triazol-1-yl
567	Me	SO2(4-Me Ph)	2-SOMe-3-Cl	1,2,4-triazol-1-yl
568	Me	SO2(4-Me Ph)	2-SOMe-3-OMe	1,2,4-triazol-1-yl
569	Me	SO2(4-Me Ph)	2-NO2	1,2,4-triazol-1-yl
570	Me	SO2(4-Me Ph)	2-CF3	imidazol-1-yl
571	Me	SO2(4-Me Ph)	2-CH2SMe	imidazol-1-yl
572	Me	SO2(4-Me Ph)	2-Cl	imidazol-1-yl
573	Me	SO2(4-Me Ph)	2-Me	imidazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
574	Me	SO2(4-Me Ph)	2-SMe	imidazol-1-yl
575	Me	SO2(4-Me Ph)	2-SMe-3-Br	imidazol-1-yl
576	Me	SO2(4-Me Ph)	2-SMe-3-F	imidazol-1-yl
577	Me	SO2(4-Me Ph)	2-SMe-3-SMe	imidazol-1-yl
578	Me	SO2(4-Me Ph)	2-CF3	pyrazol-1-yl
579	Me	SO2(4-Me Ph)	2-CH2SMe	pyrazol-1-yl
580	Me	SO2(4-Me Ph)	2-Cl	pyrazol-1-yl
581	Me	SO2(4-Me Ph)	2-Me	pyrazol-1-yl
582	Me	SO2(4-Me Ph)	2-SMe	pyrazol-1-yl
583	Me	SO2(4-Me Ph)	2-CF3	tetrazol-1-yl
584	Me	SO2(4-Me Ph)	2-SMe	tetrazol-1-yl
585	Me	SO2(4-Me Ph)	2-SMe-3-SMe	tetrazol-1-yl
586	Me	CH2CO(4-Me Ph)	2-CF3	1,2,3-triazol-1-yl
587	Me	CH2CO(4-Me Ph)	2-CH2SMe	1,2,3-triazol-1-yl
588	Me	CH2CO(4-Me Ph)	2-Cl	1,2,3-triazol-1-yl
589	Me	CH2CO(4-Me Ph)	2-Me	1,2,3-triazol-1-yl
590	Me	CH2CO(4-Me Ph)	2-SMe	1,2,3-triazol-1-yl
591	Me	CH2CO(4-Me Ph)	2-SMe-3-Br	1,2,3-triazol-1-yl
592	Me	CH2CO(4-Me Ph)	2-SMe-3-F	1,2,3-triazol-1-yl
593	Me	CH2CO(4-Me Ph)	2-SMe-3-SMe	1,2,3-triazol-1-yl
594	Me	CH2CO(4-Me Ph)	2-CF3	1,2,3-triazol-2-yl
595	Me	CH2CO(4-Me Ph)	2-CH2SMe	1,2,3-triazol-2-yl
596	Me	CH2CO(4-Me Ph)	2-Cl	1,2,3-triazol-2-yl
597	Me	CH2CO(4-Me Ph)	2-Me	1,2,3-triazol-2-yl
598	Me	CH2CO(4-Me Ph)	2-SMe	1,2,3-triazol-2-yl
599	Me	CH2CO(4-Me Ph)	2-SMe-3-Br	1,2,3-triazol-2-yl
600	Me	CH2CO(4-Me Ph)	2-SMe-3-F	1,2,3-triazol-2-yl
601	Me	CH2CO(4-Me Ph)	2-SMe-3-SMe	1,2,3-triazol-2-yl
602	Me	CH2CO(4-Me Ph)	2-Br	1,2,4-triazol-1-yl
603	Et	SO2(4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
604	Me	CH2CO(4-Me Ph)	2-CH2[(2-MeS)Ph]	1,2,4-triazol-1-yl
605	Me	CH2CO(4-Me Ph)	2-CH2[(2-MeSO)Ph]	1,2,4-triazol-1-yl
606	Me	CH2CO(4-Me Ph)	2-CH2[(2-MeSO2)Ph]	1,2,4-triazol-1-yl
607	Me	CH2CO(4-Me Ph)	2-CH2N(Me)CO2Me	1,2,4-triazol-1-yl
608	Me	CH2CO(4-Me Ph)	2-CH2N(Me)SO2Me	1,2,4-triazol-1-yl
609	Me	CH2CO(4-Me Ph)	2-CH2Ph	1,2,4-triazol-1-yl
610	Me	CH2(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
611	Et	SO2(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
612	Me	CH2CO(4-Me Ph)	2-CH2SO2Me	1,2,4-triazol-1-yl
613	Et	CH2CO(4-Me Ph)	2-CH2SOMe	1,2,4-triazol-1-yl
614	Me	CH2CO(4-Me Ph)	2-Cl	1,2,4-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
615	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Me	1,2,4-triazol-1-yl
616	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Me-3-SMe	1,2,4-triazol-1-yl
617	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Me-3-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
618	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Me-3-SOMe	1,2,4-triazol-1-yl
619	Me	CH <sub>2</sub> CO(4-Me Ph)	2-NMeCO <sub>2</sub> Me	1,2,4-triazol-1-yl
620	Me	CH <sub>2</sub> CO(4-Me Ph)	2-NMeSO <sub>2</sub> Me	1,2,4-triazol-1-yl
621	Me	CH <sub>2</sub> CO(4-Me Ph)	2-OMe	1,2,4-triazol-1-yl
622	Me	CH <sub>2</sub> CO(4-Me Ph)	2-OMe-3-SMe	1,2,4-triazol-1-yl
623	Me	CH <sub>2</sub> CO(4-Me Ph)	2-OMe-3-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
624	Me	CH <sub>2</sub> CO(4-Me Ph)	2-OMe-3-SOMe	1,2,4-triazol-1-yl
625	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe	1,2,4-triazol-1-yl
626	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-Br	1,2,4-triazol-1-yl
627	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-Cl	1,2,4-triazol-1-yl
628	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-F	1,2,4-triazol-1-yl
629	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-OMe	1,2,4-triazol-1-yl
630	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-SMe	1,2,4-triazol-1-yl
631	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
632	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me-3-Cl	1,2,4-triazol-1-yl
633	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me-3-OMe	1,2,4-triazol-1-yl
634	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SOMe	1,2,4-triazol-1-yl
635	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SOMe-3-Cl	1,2,4-triazol-1-yl
636	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SOMe-3-OMe	1,2,4-triazol-1-yl
637	Me	CH <sub>2</sub> CO(4-Me Ph)	2-NO <sub>2</sub>	1,2,4-triazol-1-yl
638	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CF <sub>3</sub>	imidazol-1-yl
639	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CH <sub>2</sub> SMe	imidazol-1-yl
640	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Cl	imidazol-1-yl
641	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Me	imidazol-1-yl
642	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe	imidazol-1-yl
643	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-Br	imidazol-1-yl
644	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-F	imidazol-1-yl
645	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-SMe	imidazol-1-yl
646	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CF <sub>3</sub>	pyrazol-1-yl
647	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CH <sub>2</sub> SMe	pyrazol-1-yl
648	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Cl	pyrazol-1-yl
649	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Me	pyrazol-1-yl
650	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe	pyrazol-1-yl
651	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CF <sub>3</sub>	tetrazol-1-yl
652	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe	tetrazol-1-yl
653	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-3-SMe	tetrazol-1-yl
654	Me	CH <sub>2</sub> (4-Me Ph)	2-CF <sub>3</sub>	1,2,3-triazol-1-yl
655	Me	CH <sub>2</sub> (4-Me Ph)	2-CH <sub>2</sub> SMe	1,2,3-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
656	Me	CH2(4-Me Ph)	2-Cl	1,2,3-triazol-1-yl
657	Me	CH2(4-Me Ph)	2-Me	1,2,3-triazol-1-yl
658	Me	CH2(4-Me Ph)	2-SMe	1,2,3-triazol-1-yl
659	Me	CH2(4-Me Ph)	2-SMe-3-Br	1,2,3-triazol-1-yl
660	Me	CH2(4-Me Ph)	2-SMe-3-F	1,2,3-triazol-1-yl
661	Me	CH2(4-Me Ph)	2-SMe-3-SMe	1,2,3-triazol-1-yl
662	Me	CH2(4-Me Ph)	2-CF3	1,2,3-triazol-2-yl
663	Me	CH2(4-Me Ph)	2-CH2SMe	1,2,3-triazol-2-yl
664	Me	CH2(4-Me Ph)	2-Cl	1,2,3-triazol-2-yl
665	Me	CH2(4-Me Ph)	2-Me	1,2,3-triazol-2-yl
666	Me	CH2(4-Me Ph)	2-SMe	1,2,3-triazol-2-yl
667	Me	CH2(4-Me Ph)	2-SMe-3-Br	1,2,3-triazol-2-yl
668	Me	CH2(4-Me Ph)	2-SMe-3-F	1,2,3-triazol-2-yl
669	Me	CH2(4-Me Ph)	2-SMe-3-SMe	1,2,3-triazol-2-yl
670	Me	CH2(4-Me Ph)	2-Br	1,2,4-triazol-1-yl
671	Me	CH2(4-Me Ph)	2-CH2[(2-MeS)Ph]	1,2,4-triazol-1-yl
672	Me	CH2(4-Me Ph)	2-CH2[(2-MeSO)Ph]	1,2,4-triazol-1-yl
673	Me	CH2(4-Me Ph)	2-CH2[(2-MeSO2)Ph]	1,2,4-triazol-1-yl
674	Me	CH2(4-Me Ph)	2-CH2N(Me)CO2Me	1,2,4-triazol-1-yl
675	Me	CH2(4-Me Ph)	2-CH2N(Me)SO2Me	1,2,4-triazol-1-yl
676	Me	CH2(4-Me Ph)	2-CH2Ph	1,2,4-triazol-1-yl
677	Et	CH2CO(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
678	Et	CH2(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
679	Me	CH2(4-Me Ph)	2-CH2SO2Me	1,2,4-triazol-1-yl
680	Et	CH2(4-Me Ph)	2-CH2SOMe	1,2,4-triazol-1-yl
681	Me	CH2(4-Me Ph)	2-Cl	1,2,4-triazol-1-yl
682	Me	CH2(4-Me Ph)	2-Me	1,2,4-triazol-1-yl
683	Me	CH2(4-Me Ph)	2-Me-3-SMe	1,2,4-triazol-1-yl
684	Me	CH2(4-Me Ph)	2-Me-3-SO2Me	1,2,4-triazol-1-yl
685	Me	CH2(4-Me Ph)	2-Me-3-SOMe	1,2,4-triazol-1-yl
686	Me	CH2(4-Me Ph)	2-NMeCO2Me	1,2,4-triazol-1-yl
687	Me	CH2(4-Me Ph)	2-NMeSO2Me	1,2,4-triazol-1-yl
688	Me	CH2(4-Me Ph)	2-OMe	1,2,4-triazol-1-yl
689	Me	CH2(4-Me Ph)	2-OMe-3-SMe	1,2,4-triazol-1-yl
690	Me	CH2(4-Me Ph)	2-OMe-3-SO2Me	1,2,4-triazol-1-yl
691	Me	CH2(4-Me Ph)	2-OMe-3-SOMe	1,2,4-triazol-1-yl
692	Me	CH2(4-Me Ph)	2-SMe	1,2,4-triazol-1-yl
693	Me	CH2(4-Me Ph)	2-SMe-3-Br	1,2,4-triazol-1-yl
694	Me	CH2(4-Me Ph)	2-SMe-3-Cl	1,2,4-triazol-1-yl
695	Me	CH2(4-Me Ph)	2-SMe-3-F	1,2,4-triazol-1-yl
696	Me	CH2(4-Me Ph)	2-SMe-3-OMe	1,2,4-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
697	Me	CH2(4-Me Ph)	2-SMe-3-SMe	1,2,4-triazol-1-yl
698	Me	CH2(4-Me Ph)	2-SO2Me	1,2,4-triazol-1-yl
699	Me	CH2(4-Me Ph)	2-SO2Me-3-Cl	1,2,4-triazol-1-yl
700	Me	CH2(4-Me Ph)	2-SO2Me-3-OMe	1,2,4-triazol-1-yl
701	Me	CH2(4-Me Ph)	2-SOMe	1,2,4-triazol-1-yl
702	Me	CH2(4-Me Ph)	2-SOMe-3-Cl	1,2,4-triazol-1-yl
703	Me	CH2(4-Me Ph)	2-SOMe-3-OMe	1,2,4-triazol-1-yl
704	Me	CH2(4-Me Ph)	2-NO2	1,2,4-triazol-1-yl
705	Me	CH2(4-Me Ph)	2-CF3	imidazol-1-yl
706	Me	CH2(4-Me Ph)	2-CH2SMe	imidazol-1-yl
707	Me	CH2(4-Me Ph)	2-Cl	imidazol-1-yl
708	Me	CH2(4-Me Ph)	2-Me	imidazol-1-yl
709	Me	CH2(4-Me Ph)	2-SMe	imidazol-1-yl
710	Me	CH2(4-Me Ph)	2-SMe-3-Br	imidazol-1-yl
711	Me	CH2(4-Me Ph)	2-SMe-3-F	imidazol-1-yl
712	Me	CH2(4-Me Ph)	2-SMe-3-SMe	imidazol-1-yl
713	Me	CH2(4-Me Ph)	2-CF3	pyrazol-1-yl
714	Me	CH2(4-Me Ph)	2-CH2SMe	pyrazol-1-yl
715	Me	CH2(4-Me Ph)	2-Cl	pyrazol-1-yl
716	Me	CH2(4-Me Ph)	2-Me	pyrazol-1-yl
717	Me	CH2(4-Me Ph)	2-SMe	pyrazol-1-yl
718	Me	CH2(4-Me Ph)	2-CF3	tetrazol-1-yl
719	Me	CH2(4-Me Ph)	2-SMe	tetrazol-1-yl
720	Me	CH2(4-Me Ph)	2-SMe-3-SMe	tetrazol-1-yl
721	Me	SO2(4-Me Ph)	2-CF3	3-Me-1,2,4-triazol-1-yl
722	Me	CH2CO(4-Me Ph)	2-CF3	3-Me-1,2,4-triazol-1-yl
723	Me	CH2(4-Me Ph)	2-CF3	3-Me-1,2,4-triazol-1-yl
724	Me	SO2(4-Me Ph)	2-CF3	CH2(1,2,4-triazol-1-yl)
725	Me	CH2CO(4-Me Ph)	2-CF3	CH2(1,2,4-triazol-1-yl)
1114	Me	CH2CO(4-Me Ph)	2-Cl-3-Me	1,2,4-triazol-1-yl
1115	Me	SO2(4-Me Ph)	2-Cl-3-Me	1,2,4-triazol-1-yl
1116	Me	H	2-Cl	1,2,4-triazol-1-yl
1117	H	COPh	2-CF3	1,2,4-triazol-1-yl
1118	H	COtBu	2-CF3	1,2,4-triazol-1-yl
1119	H	SO2Ph	2-CF3	1,2,4-triazol-1-yl
1120	H	SO2(4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
1121	Me	COMe	2-Cl	1,2,4-triazol-1-yl
1122	Me	COtBu	2-Cl	1,2,4-triazol-1-yl
1123	Me	SO2Ph	2-Cl	1,2,4-triazol-1-yl
1124	Me	SO2iPr	2-Cl	1,2,4-triazol-1-yl
1125	Me	Me	2-Cl	1,2,4-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
1126	Me	CH <sub>2</sub> COPh	2-Cl	1,2,4-triazol-1-yl
1127	Me	CH <sub>2</sub> Ph	2-Cl	1,2,4-triazol-1-yl
1128	Me	prop-2-ynyl	2-Cl	1,2,4-triazol-1-yl
1129	Me	CH <sub>2</sub> CH=CH <sub>2</sub>	2-Cl	1,2,4-triazol-1-yl
1130	H	COMe	2-CF <sub>3</sub>	1,2,4-triazol-1-yl
1131	H	CH <sub>2</sub> Ph	2-CF <sub>3</sub>	1,2,4-triazol-1-yl
1132	H	CH <sub>2</sub> CH=CH <sub>2</sub>	2-CF <sub>3</sub>	1,2,4-triazol-1-yl
1133	Me	H	2-Br	1,2,4-triazol-1-yl
1134	H	H	2-Br	1,2,4-triazol-1-yl
1135	H	H	2-F	1,2,4-triazol-1-yl
1136	H	H	2-Cl	1,2,4-triazol-1-yl
1137	H	H	2-SMe	1,2,4-triazol-1-yl
1138	H	H	2-SOMe	1,2,4-triazol-1-yl
1139	H	H	2-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
1140	H	H	2-Me	1,2,4-triazol-1-yl
1141	Me	H	2-F	1,2,4-triazol-1-yl
1142	Me	H	2-SMe	1,2,4-triazol-1-yl
1143	Me	H	2-SOMe	1,2,4-triazol-1-yl
1144	Me	H	2-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
1145	Me	H	2-Me	1,2,4-triazol-1-yl
1146	cPr	H	2-F	1,2,4-triazol-1-yl
1147	cPr	H	2-Cl	1,2,4-triazol-1-yl
1148	cPr	H	2-Br	1,2,4-triazol-1-yl
1149	cPr	H	2-SMe	1,2,4-triazol-1-yl
1150	cPr	H	2-SOMe	1,2,4-triazol-1-yl
1151	cPr	H	2-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
1152	cPr	H	2-Me	1,2,4-triazol-1-yl
1153	cPr	SO <sub>2</sub> (4-Me Ph)	2-CF <sub>3</sub>	1,2,4-triazol-1-yl
1154	cPr	CH <sub>2</sub> CO(4-Me Ph)	2-CF <sub>3</sub>	1,2,4-triazol-1-yl
1155	cPr	CH <sub>2</sub> (4-Me Ph)	2-CF <sub>3</sub>	1,2,4-triazol-1-yl
1156	cPr	H	2-CF <sub>3</sub>	1,2,4-triazol-1-yl

TABLE 7

Cpd No.	Rb	Q	(R2)n	(XR3)z
726	Me	SO <sub>2</sub> (4-Me Ph)	4-Br	1,2,3-triazol-1-yl
727	Me	SO <sub>2</sub> (4-Me Ph)	4-CF <sub>3</sub>	1,2,3-triazol-1-yl
728	Me	SO <sub>2</sub> (4-Me Ph)	4-Cl	1,2,3-triazol-1-yl
729	Me	SO <sub>2</sub> (4-Me Ph)	4-F	1,2,3-triazol-1-yl
730	Me	SO <sub>2</sub> (4-Me Ph)	4-SMe	1,2,3-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
731	Me	SO2(4-Me Ph)	4-Br	1,2,3-triazol-2-yl
732	Me	SO2(4-Me Ph)	4-CF3	1,2,3-triazol-2-yl
733	Me	SO2(4-Me Ph)	4-Cl	1,2,3-triazol-2-yl
734	Me	SO2(4-Me Ph)	4-F	1,2,3-triazol-2-yl
735	Me	SO2(4-Me Ph)	4-SMe	1,2,3-triazol-2-yl
736	Me	SO2(4-Me Ph)	3,4-Cl2	1,2,4-triazol-1-yl
737	Me	SO2(4-Me Ph)	3,4-F2	1,2,4-triazol-1-yl
738	Me	SO2(4-Me Ph)	3-Br	1,2,4-triazol-1-yl
739	Me	SO2(4-Me Ph)	3-CF3	1,2,4-triazol-1-yl
740	Me	SO2(4-Me Ph)	3-CH2SO2Me	1,2,4-triazol-1-yl
741	Me	CH2CO(4-Me Ph)	3-CH2SO2Me	1,2,4-triazol-1-yl
742	Me	SO2(4-Me Ph)	3-CH2SOMe	1,2,4-triazol-1-yl
743	Me	SO2(4-Me Ph)	3-Cl	1,2,4-triazol-1-yl
744	Me	SO2(4-Me Ph)	3-F	1,2,4-triazol-1-yl
745	Me	SO2(4-Me Ph)	3-Me	1,2,4-triazol-1-yl
746	Me	SO2(4-Me Ph)	3-Me-4-F	1,2,4-triazol-1-yl
747	Me	SO2(4-Me Ph)	3-Me-4-SMe	1,2,4-triazol-1-yl
748	Me	SO2(4-Me Ph)	3-OMe	1,2,4-triazol-1-yl
749	Me	SO2(4-Me Ph)	3-SMe	1,2,4-triazol-1-yl
750	Me	SO2(4-Me Ph)	3-SMe-4-F	1,2,4-triazol-1-yl
751	Me	SO2(4-Me Ph)	3-SMe-4-OMe	1,2,4-triazol-1-yl
752	Me	SO2(4-Me Ph)	3-SMe-4-SMe	1,2,4-triazol-1-yl
753	Me	SO2(4-Me Ph)	3-SO2Me	1,2,4-triazol-1-yl
754	Me	SO2(4-Me Ph)	3-SO2Me-4-F	1,2,4-triazol-1-yl
755	Me	SO2(4-Me Ph)	3-SO2Me-4-OMe	1,2,4-triazol-1-yl
756	Me	SO2(4-Me Ph)	3-SO2Me-4-SO2Me	1,2,4-triazol-1-yl
757	Me	SO2(4-Me Ph)	3-SOMe	1,2,4-triazol-1-yl
758	Me	SO2(4-Me Ph)	3-SOMe-4-F	1,2,4-triazol-1-yl
759	Me	SO2(4-Me Ph)	3-SOMe-4-OMe	1,2,4-triazol-1-yl
760	Me	SO2(4-Me Ph)	4-Br	1,2,4-triazol-1-yl
761	Me	H	4-CF3	1,2,4-triazol-1-yl
762	Me	CH2COPh	4-CF3	1,2,4-triazol-1-yl
763	Me	Me	4-CF3	1,2,4-triazol-1-yl
764	Me	Et	4-CF3	1,2,4-triazol-1-yl
765	Me	iPr	4-CF3	1,2,4-triazol-1-yl
766	Me	CH2Ph	4-CF3	1,2,4-triazol-1-yl
767	Me	CH2CO2Me	4-CF3	1,2,4-triazol-1-yl
768	Me	CH(CO2Me)Me	4-CF3	1,2,4-triazol-1-yl
769	Me	CH2CH=CH2	4-CF3	1,2,4-triazol-1-yl
770	Me	prop-2-ynyl	4-CF3	1,2,4-triazol-1-yl
771	Me	SO2Ph	4-CF3	1,2,4-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
772	Me	COMe	4-CF3	1,2,4-triazol-1-yl
773	Me	COtBu	4-CF3	1,2,4-triazol-1-yl
774	Me	SO2(4-Me Ph)	4-CF3	1,2,4-triazol-1-yl
775	Me	SO2iPr	4-CF3	1,2,4-triazol-1-yl
776	Me	CH2CO(4-Me Ph)	4-CF3	1,2,4-triazol-1-yl
777	H	SO2(4-Me Ph)	4-CF3	1,2,4-triazol-1-yl
778	H	H	4-CF3	1,2,4-triazol-1-yl
779	Me	SO2(4-Me Ph)	4-Cl	1,2,4-triazol-1-yl
780	Me	SO2(4-Me Ph)	4-F	1,2,4-triazol-1-yl
781	Me	SO2(4-Me Ph)	4-Me	1,2,4-triazol-1-yl
782	Me	SO2(4-Me Ph)	4-OMe	1,2,4-triazol-1-yl
783	Me	SO2(4-Me Ph)	4-SMe	1,2,4-triazol-1-yl
784	Me	SO2(4-Me Ph)	4-SO2Me	1,2,4-triazol-1-yl
785	Me	SO2(4-Me Ph)	4-SOMe	1,2,4-triazol-1-yl
786	Me	SO2(4-Me Ph)	-	1,2,4-triazol-1-yl
787	Me	SO2(4-Me Ph)	4-Br	imidazol-1-yl
788	Me	SO2(4-Me Ph)	4-CF3	imidazol-1-yl
789	Me	SO2(4-Me Ph)	4-Cl	imidazol-1-yl
790	Me	SO2(4-Me Ph)	4-F	imidazol-1-yl
791	Me	SO2(4-Me Ph)	4-SMe	imidazol-1-yl
792	Me	SO2(4-Me Ph)	-	pyrazol-1-yl
793	Me	SO2(4-Me Ph)	4-Br	tetrazol-1-yl
794	Me	SO2(4-Me Ph)	4-CF3	tetrazol-1-yl
795	Me	SO2(4-Me Ph)	4-Cl	tetrazol-1-yl
796	Me	SO2(4-Me Ph)	4-F	tetrazol-1-yl
797	Me	SO2(4-Me Ph)	4-SMe	tetrazol-1-yl
798	Me	SO2(4-Me Ph)	4-Br	benzimidazol-1-yl
799	Me	SO2(4-Me Ph)	4-CF3	benzimidazol-1-yl
800	Me	SO2(4-Me Ph)	4-Cl	benzimidazol-1-yl
801	Me	SO2(4-Me Ph)	4-F	benzimidazol-1-yl
802	Me	SO2(4-Me Ph)	4-SMe	benzimidazol-1-yl
803	Me	CH2CO(4-Me Ph)	4-Br	1,2,3-triazol-1-yl
804	Me	CH2CO(4-Me Ph)	4-CF3	1,2,3-triazol-1-yl
805	Me	CH2CO(4-Me Ph)	4-Cl	1,2,3-triazol-1-yl
806	Me	CH2CO(4-Me Ph)	4-F	1,2,3-triazol-1-yl
807	Me	CH2CO(4-Me Ph)	4-SMe	1,2,3-triazol-1-yl
808	Me	CH2CO(4-Me Ph)	4-Br	1,2,3-triazol-2-yl
809	Me	CH2CO(4-Me Ph)	4-CF3	1,2,3-triazol-2-yl
810	Me	CH2CO(4-Me Ph)	4-Cl	1,2,3-triazol-2-yl
811	Me	CH2CO(4-Me Ph)	4-F	1,2,3-triazol-2-yl
812	Me	CH2CO(4-Me Ph)	4-SMe	1,2,3-triazol-2-yl



Cpd No.	Rb	Q	(R2)n	(XR3)z
813	Me	CH <sub>2</sub> CO(4-Me Ph)	3,4-Cl <sub>2</sub>	1,2,4-triazol-1-yl
814	Me	CH <sub>2</sub> CO(4-Me Ph)	3,4-F <sub>2</sub>	1,2,4-triazol-1-yl
815	Me	CH <sub>2</sub> CO(4-Me Ph)	3-Br	1,2,4-triazol-1-yl
816	Me	CH <sub>2</sub> CO(4-Me Ph)	3-CF <sub>3</sub>	1,2,4-triazol-1-yl
817	Me	CH <sub>2</sub> (4-Me Ph)	3-CH <sub>2</sub> SO <sub>2</sub> Me	1,2,4-triazol-1-yl
818	H	SO <sub>2</sub> (4-Me Ph)	3-CH <sub>2</sub> SO <sub>2</sub> Me	1,2,4-triazol-1-yl
819	Me	CH <sub>2</sub> CO(4-Me Ph)	3-CH <sub>2</sub> SOMe	1,2,4-triazol-1-yl
820	Me	CH <sub>2</sub> CO(4-Me Ph)	3-Cl	1,2,4-triazol-1-yl
821	Me	CH <sub>2</sub> CO(4-Me Ph)	3-F	1,2,4-triazol-1-yl
822	Me	CH <sub>2</sub> CO(4-Me Ph)	3-Me	1,2,4-triazol-1-yl
823	Me	CH <sub>2</sub> CO(4-Me Ph)	3-Me-4-F	1,2,4-triazol-1-yl
824	Me	CH <sub>2</sub> CO(4-Me Ph)	3-Me-4-SMe	1,2,4-triazol-1-yl
825	Me	CH <sub>2</sub> CO(4-Me Ph)	3-OMe	1,2,4-triazol-1-yl
826	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SMe	1,2,4-triazol-1-yl
827	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SMe-4-F	1,2,4-triazol-1-yl
828	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SMe-4-OMe	1,2,4-triazol-1-yl
829	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SMe-4-SMe	1,2,4-triazol-1-yl
830	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
831	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SO <sub>2</sub> Me-4-F	1,2,4-triazol-1-yl
832	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SO <sub>2</sub> Me-4-OMe	1,2,4-triazol-1-yl
833	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SO <sub>2</sub> Me-4-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
834	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SOMe	1,2,4-triazol-1-yl
835	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SOMe-4-F	1,2,4-triazol-1-yl
836	Me	CH <sub>2</sub> CO(4-Me Ph)	3-SOMe-4-OMe	1,2,4-triazol-1-yl
837	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Br	1,2,4-triazol-1-yl
838	H	CH <sub>2</sub> CO(4-Me Ph)	4-CF <sub>3</sub>	1,2,4-triazol-1-yl
839	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Cl	1,2,4-triazol-1-yl
840	Me	CH <sub>2</sub> CO(4-Me Ph)	4-F	1,2,4-triazol-1-yl
841	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Me	1,2,4-triazol-1-yl
842	Me	CH <sub>2</sub> CO(4-Me Ph)	4-OMe	1,2,4-triazol-1-yl
843	Me	CH <sub>2</sub> CO(4-Me Ph)	4-SMe	1,2,4-triazol-1-yl
844	Me	CH <sub>2</sub> CO(4-Me Ph)	4-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
845	Me	CH <sub>2</sub> CO(4-Me Ph)	4-SOMe	1,2,4-triazol-1-yl
846	Me	CH <sub>2</sub> CO(4-Me Ph)	-	1,2,4-triazol-1-yl
847	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Br	imidazol-1-yl
848	Me	CH <sub>2</sub> CO(4-Me Ph)	4-CF <sub>3</sub>	imidazol-1-yl
849	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Cl	imidazol-1-yl
850	Me	CH <sub>2</sub> CO(4-Me Ph)	4-F	imidazol-1-yl
851	Me	CH <sub>2</sub> CO(4-Me Ph)	4-SMe	imidazol-1-yl
852	Me	CH <sub>2</sub> CO(4-Me Ph)	-	pyrazol-1-yl
853	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Br	tetrazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
854	Me	CH <sub>2</sub> CO(4-Me Ph)	4-CF <sub>3</sub>	tetrazol-1-yl
855	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Cl	tetrazol-1-yl
856	Me	CH <sub>2</sub> CO(4-Me Ph)	4-F	tetrazol-1-yl
857	Me	CH <sub>2</sub> CO(4-Me Ph)	4-SMe	tetrazol-1-yl
858	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Br	benzimidazol-1-yl
859	Me	CH <sub>2</sub> CO(4-Me Ph)	4-CF <sub>3</sub>	benzimidazol-1-yl
860	Me	CH <sub>2</sub> CO(4-Me Ph)	4-Cl	benzimidazol-1-yl
861	Me	CH <sub>2</sub> CO(4-Me Ph)	4-F	benzimidazol-1-yl
862	Me	CH <sub>2</sub> CO(4-Me Ph)	4-SMe	benzimidazol-1-yl
863	Me	CH <sub>2</sub> (4-Me Ph)	4-Br	1,2,3-triazol-1-yl
864	Me	CH <sub>2</sub> (4-Me Ph)	4-CF <sub>3</sub>	1,2,3-triazol-1-yl
865	Me	CH <sub>2</sub> (4-Me Ph)	4-Cl	1,2,3-triazol-1-yl
866	Me	CH <sub>2</sub> (4-Me Ph)	4-F	1,2,3-triazol-1-yl
867	Me	CH <sub>2</sub> (4-Me Ph)	4-SMe	1,2,3-triazol-1-yl
868	Me	CH <sub>2</sub> (4-Me Ph)	4-Br	1,2,3-triazol-2-yl
869	Me	CH <sub>2</sub> (4-Me Ph)	4-CF <sub>3</sub>	1,2,3-triazol-2-yl
870	Me	CH <sub>2</sub> (4-Me Ph)	4-Cl	1,2,3-triazol-2-yl
871	Me	CH <sub>2</sub> (4-Me Ph)	4-F	1,2,3-triazol-2-yl
872	Me	CH <sub>2</sub> (4-Me Ph)	4-SMe	1,2,3-triazol-2-yl
873	Me	CH <sub>2</sub> (4-Me Ph)	3,4-Cl <sub>2</sub>	1,2,4-triazol-1-yl
874	Me	CH <sub>2</sub> (4-Me Ph)	3,4-F <sub>2</sub>	1,2,4-triazol-1-yl
875	Me	CH <sub>2</sub> (4-Me Ph)	3-Br	1,2,4-triazol-1-yl
876	Me	CH <sub>2</sub> (4-Me Ph)	3-CF <sub>3</sub>	1,2,4-triazol-1-yl
877	H	CH <sub>2</sub> CO(4-Me Ph)	3-CH <sub>2</sub> SO <sub>2</sub> Me	1,2,4-triazol-1-yl
878	H	CH <sub>2</sub> (4-Me Ph)	3-CH <sub>2</sub> SO <sub>2</sub> Me	1,2,4-triazol-1-yl
879	Me	CH <sub>2</sub> (4-Me Ph)	3-CH <sub>2</sub> SOMe	1,2,4-triazol-1-yl
880	Me	CH <sub>2</sub> (4-Me Ph)	3-Cl	1,2,4-triazol-1-yl
881	Me	CH <sub>2</sub> (4-Me Ph)	3-F	1,2,4-triazol-1-yl
882	Me	CH <sub>2</sub> (4-Me Ph)	3-Me	1,2,4-triazol-1-yl
883	Me	CH <sub>2</sub> (4-Me Ph)	3-Me-4-F	1,2,4-triazol-1-yl
884	Me	CH <sub>2</sub> (4-Me Ph)	3-Me-4-SMe	1,2,4-triazol-1-yl
885	Me	CH <sub>2</sub> (4-Me Ph)	3-OMe	1,2,4-triazol-1-yl
886	Me	CH <sub>2</sub> (4-Me Ph)	3-SMe	1,2,4-triazol-1-yl
887	Me	CH <sub>2</sub> (4-Me Ph)	3-SMe-4-F	1,2,4-triazol-1-yl
888	Me	CH <sub>2</sub> (4-Me Ph)	3-SMe-4-OMe	1,2,4-triazol-1-yl
889	Me	CH <sub>2</sub> (4-Me Ph)	3-SMe-4-SMe	1,2,4-triazol-1-yl
890	Me	CH <sub>2</sub> (4-Me Ph)	3-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
891	Me	CH <sub>2</sub> (4-Me Ph)	3-SO <sub>2</sub> Me-4-F	1,2,4-triazol-1-yl
892	Me	CH <sub>2</sub> (4-Me Ph)	3-SO <sub>2</sub> Me-4-OMe	1,2,4-triazol-1-yl
893	Me	CH <sub>2</sub> (4-Me Ph)	3-SO <sub>2</sub> Me-4-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
894	Me	CH <sub>2</sub> (4-Me Ph)	3-SOMe	1,2,4-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
895	Me	CH2(4-Me Ph)	3-SOMe-4-F	1,2,4-triazol-1-yl
896	Me	CH2(4-Me Ph)	3-SOMe-4-OMe	1,2,4-triazol-1-yl
897	Me	CH2(4-Me Ph)	4-Br	1,2,4-triazol-1-yl
898	Me	CH2(4-Me Ph)	4-CF3	1,2,4-triazol-1-yl
899	Me	CH2(4-Me Ph)	4-Cl	1,2,4-triazol-1-yl
900	Me	CH2(4-Me Ph)	4-F	1,2,4-triazol-1-yl
901	Me	CH2(4-Me Ph)	4-Me	1,2,4-triazol-1-yl
902	Me	CH2(4-Me Ph)	4-OMe	1,2,4-triazol-1-yl
903	Me	CH2(4-Me Ph)	4-SMe	1,2,4-triazol-1-yl
904	Me	CH2(4-Me Ph)	4-SO2Me	1,2,4-triazol-1-yl
905	Me	CH2(4-Me Ph)	4-SOMe	1,2,4-triazol-1-yl
906	Me	CH2(4-Me Ph)	-	1,2,4-triazol-1-yl
907	Me	CH2(4-Me Ph)	4-Br	imidazol-1-yl
908	Me	CH2(4-Me Ph)	4-CF3	imidazol-1-yl
909	Me	CH2(4-Me Ph)	4-Cl	imidazol-1-yl
910	Me	CH2(4-Me Ph)	4-F	imidazol-1-yl
911	Me	CH2(4-Me Ph)	4-SMe	imidazol-1-yl
912	Me	CH2(4-Me Ph)	-	pyrazol-1-yl
913	Me	CH2(4-Me Ph)	4-Br	tetrazol-1-yl
914	Me	CH2(4-Me Ph)	4-CF3	tetrazol-1-yl
915	Me	CH2(4-Me Ph)	4-Cl	tetrazol-1-yl
916	Me	CH2(4-Me Ph)	4-F	tetrazol-1-yl
917	Me	CH2(4-Me Ph)	4-SMe	tetrazol-1-yl
918	Me	CH2(4-Me Ph)	4-Br	benzimidazol-1-yl
919	Me	CH2(4-Me Ph)	4-CF3	benzimidazol-1-yl
920	Me	CH2(4-Me Ph)	4-Cl	benzimidazol-1-yl
921	Me	CH2(4-Me Ph)	4-F	benzimidazol-1-yl
922	Me	CH2(4-Me Ph)	4-SMe	benzimidazol-1-yl
923	Me	SO2(4-Me Ph)	4-Br	CH2-(triazol-1-yl)
924	Me	SO2(4-Me Ph)	4-Cl	CH2-(triazol-1-yl)
925	Me	SO2(4-Me Ph)	4-F	CH2-(triazol-1-yl)
926	Me	SO2(4-Me Ph)	4-CF3	CH2-(triazol-1-yl)
927	Me	SO2(4-Me Ph)	4-OF3	CH2-(triazol-1-yl)
928	Me	SO2(4-Me Ph)	4-Me	CH2-(triazol-1-yl)
1157	Me	H	4-F	1,2,4-triazol-1-yl
1158	Me	H	4-Cl	1,2,4-triazol-1-yl
1159	Me	H	4-Br	1,2,4-triazol-1-yl
1160	Me	H	4-SMe	1,2,4-triazol-1-yl
1161	Me	H	4-SOMe	1,2,4-triazol-1-yl
1162	Me	H	4-SO2Me	1,2,4-triazol-1-yl
1163	Me	H	4-Me	1,2,4-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
772	Me	COMe	4-CF3	1,2,4-triazol-1-yl
773	Me	COtBu	4-CF3	1,2,4-triazol-1-yl
774	Me	SO2(4-Me Ph)	4-CF3	1,2,4-triazol-1-yl
775	Me	SO2iPr	4-CF3	1,2,4-triazol-1-yl
776	Me	CH2CO(4-Me Ph)	4-CF3	1,2,4-triazol-1-yl
777	H	SO2(4-Me Ph)	4-CF3	1,2,4-triazol-1-yl
778	H	H	4-CF3	1,2,4-triazol-1-yl
779	Me	SO2(4-Me Ph)	4-Cl	1,2,4-triazol-1-yl
780	Me	SO2(4-Me Ph)	4-F	1,2,4-triazol-1-yl
781	Me	SO2(4-Me Ph)	4-Me	1,2,4-triazol-1-yl
782	Me	SO2(4-Me Ph)	4-OMe	1,2,4-triazol-1-yl
783	Me	SO2(4-Me Ph)	4-SMe	1,2,4-triazol-1-yl
784	Me	SO2(4-Me Ph)	4-SO2Me	1,2,4-triazol-1-yl
785	Me	SO2(4-Me Ph)	4-SOMe	1,2,4-triazol-1-yl
786	Me	SO2(4-Me Ph)	-	1,2,4-triazol-1-yl
787	Me	SO2(4-Me Ph)	4-Br	imidazol-1-yl
788	Me	SO2(4-Me Ph)	4-CF3	imidazol-1-yl
789	Me	SO2(4-Me Ph)	4-Cl	imidazol-1-yl
790	Me	SO2(4-Me Ph)	4-F	imidazol-1-yl
791	Me	SO2(4-Me Ph)	4-SMe	imidazol-1-yl
792	Me	SO2(4-Me Ph)	-	pyrazol-1-yl
793	Me	SO2(4-Me Ph)	4-Br	tetrazol-1-yl
794	Me	SO2(4-Me Ph)	4-CF3	tetrazol-1-yl
795	Me	SO2(4-Me Ph)	4-Cl	tetrazol-1-yl
796	Me	SO2(4-Me Ph)	4-F	tetrazol-1-yl
797	Me	SO2(4-Me Ph)	4-SMe	tetrazol-1-yl
798	Me	SO2(4-Me Ph)	4-Br	benzimidazol-1-yl
799	Me	SO2(4-Me Ph)	4-CF3	benzimidazol-1-yl
800	Me	SO2(4-Me Ph)	4-Cl	benzimidazol-1-yl
801	Me	SO2(4-Me Ph)	4-F	benzimidazol-1-yl
802	Me	SO2(4-Me Ph)	4-SMe	benzimidazol-1-yl
803	Me	CH2CO(4-Me Ph)	4-Br	1,2,3-triazol-1-yl
804	Me	CH2CO(4-Me Ph)	4-CF3	1,2,3-triazol-1-yl
805	Me	CH2CO(4-Me Ph)	4-Cl	1,2,3-triazol-1-yl
806	Me	CH2CO(4-Me Ph)	4-F	1,2,3-triazol-1-yl
807	Me	CH2CO(4-Me Ph)	4-SMe	1,2,3-triazol-1-yl
808	Me	CH2CO(4-Me Ph)	4-Br	1,2,3-triazol-2-yl
809	Me	CH2CO(4-Me Ph)	4-CF3	1,2,3-triazol-2-yl
810	Me	CH2CO(4-Me Ph)	4-Cl	1,2,3-triazol-2-yl
811	Me	CH2CO(4-Me Ph)	4-F	1,2,3-triazol-2-yl
812	Me	CH2CO(4-Me Ph)	4-SMe	1,2,3-triazol-2-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
941	Me	SO2(4-Me Ph)	2-SO2Me-4-SO2Me	1,2,3-triazol-1-yl
942	Me	SO2(4-Me Ph)	2-SOMe	1,2,3-triazol-1-yl
943	Me	SO2(4-Me Ph)	2-Br	1,2,4-triazol-1-yl
944	Me	H	2-CF3	1,2,4-triazol-1-yl
945	Me	CH2COPh	2-CF3	1,2,4-triazol-1-yl
946	Me	Me	2-CF3	1,2,4-triazol-1-yl
947	Me	Et	2-CF3	1,2,4-triazol-1-yl
948	Me	iPr	2-CF3	1,2,4-triazol-1-yl
949	Me	CH2Ph	2-CF3	1,2,4-triazol-1-yl
950	Me	CH2CO2Me	2-CF3	1,2,4-triazol-1-yl
951	Me	CH(CO2Me)Me	2-CF3	1,2,4-triazol-1-yl
952	Me	CH2CH=CH2	2-CF3	1,2,4-triazol-1-yl
953	Me	prop-2-ynyl	2-CF3	1,2,4-triazol-1-yl
954	Me	SO2Ph	2-CF3	1,2,4-triazol-1-yl
955	Me	COMe	2-CF3	1,2,4-triazol-1-yl
956	Me	COPh	2-CF3	1,2,4-triazol-1-yl
957	Me	COtBu	2-CF3	1,2,4-triazol-1-yl
958	Me	SO2(4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
959	Me	SO2iPr	2-CF3	1,2,4-triazol-1-yl
960	Me	CH2(4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
961	Me	CH2CO(4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
962	Me	SO2(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
963	Me	SO2(4-Me Ph)	2-Cl	1,2,4-triazol-1-yl
964	Me	SO2(4-Me Ph)	2-F	1,2,4-triazol-1-yl
965	Me	SO2(4-Me Ph)	2-SMe	1,2,4-triazol-1-yl
966	Me	SO2(4-Me Ph)	2-SMe-4-Br	1,2,4-triazol-1-yl
967	Me	SO2(4-Me Ph)	2-SMe-4-CF3	1,2,4-triazol-1-yl
968	Me	SO2(4-Me Ph)	2-SMe-4-Cl	1,2,4-triazol-1-yl
969	Me	SO2(4-Me Ph)	2-SMe-4-F	1,2,4-triazol-1-yl
970	Me	SO2(4-Me Ph)	2-SMe-4-SMe	1,2,4-triazol-1-yl
971	Me	SO2(4-Me Ph)	2-SO2Me	1,2,4-triazol-1-yl
972	Me	SO2(4-Me Ph)	2-SO2Me-4-SO2Me	1,2,4-triazol-1-yl
973	Me	SO2(4-Me Ph)	2-SOMe	1,2,4-triazol-1-yl
974	Me	SO2(4-Me Ph)	2-Br	imidazol-1-yl
975	Me	SO2(4-Me Ph)	2-CF3	imidazol-1-yl
976	Me	SO2(4-Me Ph)	2-CH2SMe	imidazol-1-yl
977	Me	SO2(4-Me Ph)	2-Cl	imidazol-1-yl
978	Me	SO2(4-Me Ph)	2-F	imidazol-1-yl
979	Me	SO2(4-Me Ph)	2-SMe	imidazol-1-yl
980	Me	SO2(4-Me Ph)	2-SMe-4-Br	imidazol-1-yl
981	Me	SO2(4-Me Ph)	2-SMe-4-CF3	imidazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
982	Me	SO2(4-Me Ph)	2-SMe-4-Cl	imidazol-1-yl
983	Me	SO2(4-Me Ph)	2-SMe-4-F	imidazol-1-yl
984	Me	SO2(4-Me Ph)	2-SMe-4-SMe	imidazol-1-yl
985	Me	SO2(4-Me Ph)	2-SO2Me	imidazol-1-yl
986	Me	SO2(4-Me Ph)	2-SO2Me-4-SO2Me	imidazol-1-yl
987	Me	SO2(4-Me Ph)	2-SOMe	imidazol-1-yl
988	Me	SO2(4-Me Ph)	2-Br	tetrazol-1-yl
989	Me	SO2(4-Me Ph)	2-CF3	tetrazol-1-yl
990	Me	SO2(4-Me Ph)	2-CH2SMe	tetrazol-1-yl
991	Me	SO2(4-Me Ph)	2-Cl	tetrazol-1-yl
992	Me	SO2(4-Me Ph)	2-F	tetrazol-1-yl
993	Me	SO2(4-Me Ph)	2-SMe	tetrazol-1-yl
994	Me	SO2(4-Me Ph)	2-SMe-4-Br	tetrazol-1-yl
995	Me	SO2(4-Me Ph)	2-SMe-4-CF3	tetrazol-1-yl
996	Me	SO2(4-Me Ph)	2-SMe-4-Cl	tetrazol-1-yl
997	Me	SO2(4-Me Ph)	2-SMe-4-F	tetrazol-1-yl
998	Me	SO2(4-Me Ph)	2-SMe-4-SMe	tetrazol-1-yl
999	Me	SO2(4-Me Ph)	2-SO2Me	tetrazol-1-yl
1000	Me	SO2(4-Me Ph)	2-SO2Me-4-SO2Me	tetrazol-1-yl
1001	Me	SO2(4-Me Ph)	2-SOMe	tetrazol-1-yl
1002	Me	CH2CO(4-Me Ph)	2-Br	1,2,3-triazol-1-yl
1003	Me	CH2CO(4-Me Ph)	2-CF3	1,2,3-triazol-1-yl
1004	Me	CH2CO(4-Me Ph)	2-CH2SMe	1,2,3-triazol-1-yl
1005	Me	CH2CO(4-Me Ph)	2-Cl	1,2,3-triazol-1-yl
1006	Me	CH2CO(4-Me Ph)	2-F	1,2,3-triazol-1-yl
1007	Me	CH2CO(4-Me Ph)	2-SMe	1,2,3-triazol-1-yl
1008	Me	CH2CO(4-Me Ph)	2-SMe-4-Br	1,2,3-triazol-1-yl
1009	Me	CH2CO(4-Me Ph)	2-SMe-4-CF3	1,2,3-triazol-1-yl
1010	Me	CH2CO(4-Me Ph)	2-SMe-4-Cl	1,2,3-triazol-1-yl
1011	Me	CH2CO(4-Me Ph)	2-SMe-4-F	1,2,3-triazol-1-yl
1012	Me	CH2CO(4-Me Ph)	2-SMe-4-SMe	1,2,3-triazol-1-yl
1013	Me	CH2CO(4-Me Ph)	2-SO2Me	1,2,3-triazol-1-yl
1014	Me	CH2CO(4-Me Ph)	2-SO2Me-4-SO2Me	1,2,3-triazol-1-yl
1015	Me	CH2CO(4-Me Ph)	2-SOMe	1,2,3-triazol-1-yl
1016	Me	CH2CO(4-Me Ph)	2-Br	1,2,4-triazol-1-yl
1017	H	CH2CO(4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
1018	Me	CH2CO(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
1019	Me	CH2CO(4-Me Ph)	2-Cl	1,2,4-triazol-1-yl
1020	Me	CH2CO(4-Me Ph)	2-F	1,2,4-triazol-1-yl
1021	Me	CH2CO(4-Me Ph)	2-SMe	1,2,4-triazol-1-yl
1022	Me	CH2CO(4-Me Ph)	2-SMe-4-Br	1,2,4-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
1023	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-CF <sub>3</sub>	1,2,4-triazol-1-yl
1024	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-Cl	1,2,4-triazol-1-yl
1025	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-F	1,2,4-triazol-1-yl
1026	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-SMe	1,2,4-triazol-1-yl
1027	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
1028	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me-4-SO <sub>2</sub> Me	1,2,4-triazol-1-yl
1029	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SOMe	1,2,4-triazol-1-yl
1030	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Br	imidazol-1-yl
1031	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CF <sub>3</sub>	imidazol-1-yl
1032	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CH <sub>2</sub> SMe	imidazol-1-yl
1033	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Cl	imidazol-1-yl
1034	Me	CH <sub>2</sub> CO(4-Me Ph)	2-F	imidazol-1-yl
1035	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe	imidazol-1-yl
1036	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-Br	imidazol-1-yl
1037	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-CF <sub>3</sub>	imidazol-1-yl
1038	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-Cl	imidazol-1-yl
1039	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-F	imidazol-1-yl
1040	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-SMe	imidazol-1-yl
1041	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me	imidazol-1-yl
1042	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me-4-SO <sub>2</sub> Me	imidazol-1-yl
1043	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SOMe	imidazol-1-yl
1044	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Br	tetrazol-1-yl
1045	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CF <sub>3</sub>	tetrazol-1-yl
1046	Me	CH <sub>2</sub> CO(4-Me Ph)	2-CH <sub>2</sub> SMe	tetrazol-1-yl
1047	Me	CH <sub>2</sub> CO(4-Me Ph)	2-Cl	tetrazol-1-yl
1048	Me	CH <sub>2</sub> CO(4-Me Ph)	2-F	tetrazol-1-yl
1049	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe	tetrazol-1-yl
1050	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-Br	tetrazol-1-yl
1051	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-CF <sub>3</sub>	tetrazol-1-yl
1052	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-Cl	tetrazol-1-yl
1053	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-F	tetrazol-1-yl
1054	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SMe-4-SMe	tetrazol-1-yl
1055	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me	tetrazol-1-yl
1056	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SO <sub>2</sub> Me-4-SO <sub>2</sub> Me	tetrazol-1-yl
1057	Me	CH <sub>2</sub> CO(4-Me Ph)	2-SOMe	tetrazol-1-yl
1058	Me	CH <sub>2</sub> (4-Me Ph)	2-Br	1,2,3-triazol-1-yl
1059	Me	CH <sub>2</sub> (4-Me Ph)	2-CF <sub>3</sub>	1,2,3-triazol-1-yl
1060	Me	CH <sub>2</sub> (4-Me Ph)	2-CH <sub>2</sub> SMe	1,2,3-triazol-1-yl
1061	Me	CH <sub>2</sub> (4-Me Ph)	2-Cl	1,2,3-triazol-1-yl
1062	Me	CH <sub>2</sub> (4-Me Ph)	2-F	1,2,3-triazol-1-yl
1063	Me	CH <sub>2</sub> (4-Me Ph)	2-SMe	1,2,3-triazol-1-yl

Cpd No.	Rb	Q	(R2)n	(XR3)z
1064	Me	CH2(4-Me Ph)	2-SMe-4-Br	1,2,3-triazol-1-yl
1065	Me	CH2(4-Me Ph)	2-SMe-4-CF3	1,2,3-triazol-1-yl
1066	Me	CH2(4-Me Ph)	2-SMe-4-Cl	1,2,3-triazol-1-yl
1067	Me	CH2(4-Me Ph)	2-SMe-4-F	1,2,3-triazol-1-yl
1068	Me	CH2(4-Me Ph)	2-SMe-4-SMe	1,2,3-triazol-1-yl
1069	Me	CH2(4-Me Ph)	2-SO2Me	1,2,3-triazol-1-yl
1070	Me	CH2(4-Me Ph)	2-SO2Me-4-SO2Me	1,2,3-triazol-1-yl
1071	Me	CH2(4-Me Ph)	2-SOMe	1,2,3-triazol-1-yl
1072	Me	CH2(4-Me Ph)	2-Br	1,2,4-triazol-1-yl
1073	H	CH2(4-Me Ph)	2-CF3	1,2,4-triazol-1-yl
1074	Me	CH2(4-Me Ph)	2-CH2SMe	1,2,4-triazol-1-yl
1075	Me	CH2(4-Me Ph)	2-Cl	1,2,4-triazol-1-yl
1076	Me	CH2(4-Me Ph)	2-F	1,2,4-triazol-1-yl
1077	Me	CH2(4-Me Ph)	2-SMe	1,2,4-triazol-1-yl
1078	Me	CH2(4-Me Ph)	2-SMe-4-Br	1,2,4-triazol-1-yl
1079	Me	CH2(4-Me Ph)	2-SMe-4-CF3	1,2,4-triazol-1-yl
1080	Me	CH2(4-Me Ph)	2-SMe-4-Cl	1,2,4-triazol-1-yl
1081	Me	CH2(4-Me Ph)	2-SMe-4-F	1,2,4-triazol-1-yl
1082	Me	CH2(4-Me Ph)	2-SMe-4-SMe	1,2,4-triazol-1-yl
1083	Me	CH2(4-Me Ph)	2-SO2Me	1,2,4-triazol-1-yl
1084	Me	CH2(4-Me Ph)	2-SO2Me-4-SO2Me	1,2,4-triazol-1-yl
1085	Me	CH2(4-Me Ph)	2-SOMe	1,2,4-triazol-1-yl
1086	Me	CH2(4-Me Ph)	2-Br	imidazol-1-yl
1087	Me	CH2(4-Me Ph)	2-CF3	imidazol-1-yl
1088	Me	CH2(4-Me Ph)	2-CH2SMe	imidazol-1-yl
1089	Me	CH2(4-Me Ph)	2-Cl	imidazol-1-yl
1090	Me	CH2(4-Me Ph)	2-F	imidazol-1-yl
1091	Me	CH2(4-Me Ph)	2-SMe	imidazol-1-yl
1092	Me	CH2(4-Me Ph)	2-SMe-4-Br	imidazol-1-yl
1093	Me	CH2(4-Me Ph)	2-SMe-4-CF3	imidazol-1-yl
1094	Me	CH2(4-Me Ph)	2-SMe-4-Cl	imidazol-1-yl
1095	Me	CH2(4-Me Ph)	2-SMe-4-F	imidazol-1-yl
1096	Me	CH2(4-Me Ph)	2-SMe-4-SMe	imidazol-1-yl
1097	Me	CH2(4-Me Ph)	2-SO2Me	imidazol-1-yl
1098	Me	CH2(4-Me Ph)	2-SO2Me-4-SO2Me	imidazol-1-yl
1099	Me	CH2(4-Me Ph)	2-SOMe	imidazol-1-yl
1100	Me	CH2(4-Me Ph)	2-Br	tetrazol-1-yl
1101	Me	CH2(4-Me Ph)	2-CF3	tetrazol-1-yl
1102	Me	CH2(4-Me Ph)	2-CH2SMe	tetrazol-1-yl
1103	Me	CH2(4-Me Ph)	2-Cl	tetrazol-1-yl
1104	Me	CH2(4-Me Ph)	2-F	tetrazol-1-yl



Cpd No.	Rb	Q	(R2)n	(XR3)z
1105	Me	CH2(4-Me Ph)	2-SMe	tetrazol-1-yl
1106	Me	CH2(4-Me Ph)	2-SMe-4-Br	tetrazol-1-yl
1107	Me	CH2(4-Me Ph)	2-SMe-4-CF3	tetrazol-1-yl
1108	Me	CH2(4-Me Ph)	2-SMe-4-Cl	tetrazol-1-yl
1109	Me	CH2(4-Me Ph)	2-SMe-4-F	tetrazol-1-yl
1110	Me	CH2(4-Me Ph)	2-SMe-4-SMe	tetrazol-1-yl
1111	Me	CH2(4-Me Ph)	2-SO2Me	tetrazol-1-yl
1112	Me	CH2(4-Me Ph)	2-SO2Me-4-SO2Me	tetrazol-1-yl
1113	Me	CH2(4-Me Ph)	2-SOMe	tetrazol-1-yl

The following compounds of formula (Id) also form part of the present invention.

TABLE 9

Cpd No.	Ra	Rb	Q	(R2)n	(XR3)z
1188	Et	H	H	2-CF3	4-(1,2,4-triazol-1-yl)
1189	Et	H	SO2(4-Me Ph)	2-CF3	4-(1,2,4-triazol-1-yl)
1190	Et	H	CH2CO(4Me Ph)	2-CF3	4-(1,2,4-triazol-1-yl)
1191	Et	H	CH2(4Me Ph)	2-CF3	4-(1,2,4-triazol-1-yl)
1192	Et	Me	H	2-CF3	4-(1,2,4-triazol-1-yl)
1193	Et	Me	SO2(4-Me Ph)	2-CF3	4-(1,2,4-triazol-1-yl)
1194	Et	Me	CH2CO(4Me Ph)	2-CF3	4-(1,2,4-triazol-1-yl)
1195	Et	Me	CH2(4Me Ph)	2-CF3	4-(1,2,4-triazol-1-yl)
1196	Et	H	H	4-CF3	2-(1,2,4-triazol-1-yl)
1197	Et	H	SO2(4-Me Ph)	4-CF3	2-(1,2,4-triazol-1-yl)
1198	Et	H	CH2CO(4Me Ph)	4-CF3	2-(1,2,4-triazol-1-yl)
1199	Et	H	CH2(4Me Ph)	4-CF3	2-(1,2,4-triazol-1-yl)
1200	Et	Me	H	4-CF3	2-(1,2,4-triazol-1-yl)
1201	Et	Me	SO2(4-Me Ph)	4-CF3	2-(1,2,4-triazol-1-yl)
1202	Et	Me	CH2CO(4Me Ph)	4-CF3	2-(1,2,4-triazol-1-yl)
1203	Et	Me	CH2(4Me Ph)	4-CF3	2-(1,2,4-triazol-1-yl)

5

Compounds of formula (I) may be prepared by the application or adaptation of known methods (i.e. methods heretofore used or described in the literature), for example as hereinafter described.

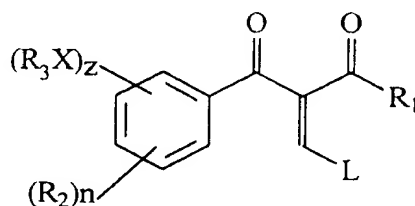
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In the following description where symbols appearing in formulae are not specifically defined, it is to be understood that they are "as hereinbefore defined" in accordance with the first definition of each symbol in the specification.

It is to be understood that in the descriptions of the following processes the sequences may be performed in different orders, and that suitable protecting groups may be required to achieve the compounds sought.

It is understood that when a process of the invention leads to the formation of a mixture of (Ia) and (Ib), these compounds may be separated by known methods.

According to a feature of the present invention compounds of formula (Ia) or (Ib) in which R represents hydrogen and  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined above may be prepared by the reaction of a compound of formula (III):

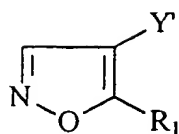


(III)

wherein L is a leaving group and  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as hereinbefore defined, with hydroxylamine or a salt of hydroxylamine. Hydroxylamine hydrochloride is generally preferred. Generally L is alkoxy, for example ethoxy, or N,N-dialkylamino, for example dimethylamino. The reaction is generally carried out in an organic solvent such as ethanol or acetonitrile or a mixture of a water-miscible organic solvent and water, preferably in a ratio of organic solvent: water of from 1:99 to 99:1, optionally in the presence of a base or acid acceptor such as triethylamine or sodium acetate at a temperature from room temperature to the boiling point of the solvent. Compounds of formula (III) wherein X represents  $-(CR^9R^{10})_v-$  and v represents zero are novel and as such constitute a further feature of the present invention.

According to a further feature of the present invention compounds of formula (Ia) in which R represents hydrogen and  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined above may be prepared by the reaction of a compound of formula (IV):

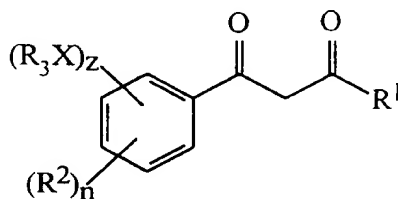
- 51 -



(IV)

wherein  $R^1$  is as hereinbefore defined and  $Y'$  represents a carboxy group or a reactive derivative thereof (such as a carboxylic acid chloride or carboxylic ester), or a cyano group, with an appropriate organometallic reagent such as a Grignard reagent or an organolithium reagent. The reaction is generally carried out in an inert solvent such as ether or tetrahydrofuran at a temperature from  $0^\circ\text{C}$  to the reflux temperature of the mixture.

According to a further feature of the present invention compounds of formula (Ia) or (Ib) wherein  $R$  represents  $-\text{CO}_2\text{R}^4$  and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as defined above, may be prepared by the reaction of a salt of a compound of formula (V):

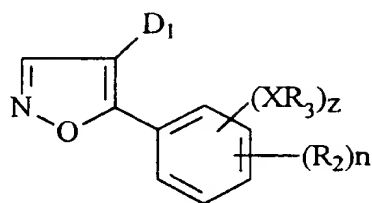


(V)

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as hereinbefore defined with a compound of formula  $\text{R}^4\text{O}_2\text{CC}(\text{Z}^1)=\text{NOH}$  wherein  $\text{R}^4$  is as hereinbefore defined and  $\text{Z}^1$  represents halogen preferably chlorine. Preferred salts include sodium or magnesium salts. The reaction is generally performed in an inert solvent such as dichloromethane or acetonitrile at a temperature between room temperature and the reflux temperature of the mixture. The salt of a compound of formula (V) is generally prepared in-situ by treating the compound of formula (V) with a base. Examples of suitable bases include alkaline earth metal alkoxides such as magnesium methoxide. Compounds of formula (V) wherein  $X$  represents  $-(\text{CR}^9\text{R}^{10})_v-$  and  $v$  represents zero are novel and therefore constitute a further feature of the present invention.

According to a further feature of the present invention compounds of general formula (Ib) in which  $R$  represents hydrogen and  $R^1$ ,  $R^2$ ,  $R^3$ ,

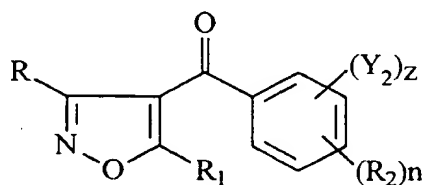
X, z and n are as defined above may be prepared by the reaction of a compound of formula (VI):



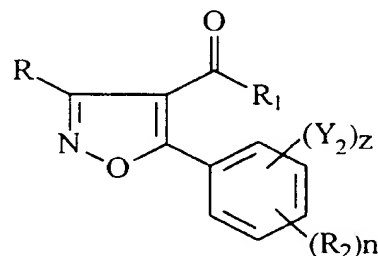
(VI)

in which  $D^1$  represents a carboxy group, or a reactive derivative thereof (such as a carboxylic acid chloride or carboxylic ester), or a cyano group, with an appropriate organometallic reagent (for example Grignard or organolithium reagent), preferably of formula  $R^1M^1$  in which  $M^1$  is a metal halide such as magnesium bromide or a metal such as lithium. The reaction is generally carried out in an inert solvent such as ether or tetrahydrofuran, at a temperature from  $0^\circ\text{C}$  to the reflux temperature of the solvent. Compounds of formula (VI) wherein X represents  $-(CR^9R^{10})_v-$  and v represents zero are novel and therefore constitute a further feature of the invention.

According to a further feature of the present invention compounds of formula (Ia) and (Ib) wherein R,  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined above, may be prepared by the reaction of a compound of formula (VII) or (VIII) respectively:



(VII)



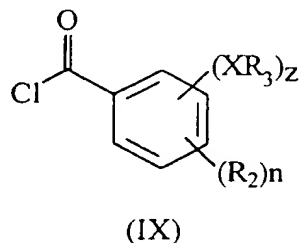
(VIII)

wherein R,  $R^1$ ,  $R^2$ ,  $R^3$ , z and n are as defined above and  $Y^2$  represents a leaving group for example halogen (preferably fluoro), with a compound of formula  $R^3-H$ . The reaction is generally performed in the presence of a base, for example sodium hydride or caesium carbonate, in an inert solvent, for example N,N-dimethylformamide at a

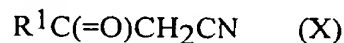
temperature from 0°C to 100°C. The reaction is preferably carried out using compounds in which R represents  $-\text{CO}_2\text{R}^4$ .

According to a further feature of the present invention compounds of formula (Ic) may be prepared from the corresponding compound of formula (Ia) or (Ib) in which R is as defined above. Where R represents a hydrogen atom the reaction is preferably carried out by treatment with a base. Examples of suitable bases include alkali or alkaline earth metal hydroxides, alkoxides such as sodium ethoxide or organic bases such as triethylamine. Where R represents  $-\text{CO}_2\text{R}^4$  the conversion is generally carried out by a hydrolytic reaction. The hydrolytic reaction may be performed in the presence of an acid or base. Acidic hydrolysis may be achieved for example using aqueous hydrochloric acid. Basic hydrolysis may be achieved for example using sodium hydroxide in a mixture of alcohol and water. The reactions are preferably carried out at a temperature between 20°C and the reflux temperature of the mixture.

According to a further feature of the present invention, compounds of formula (Ic) in which  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$ , X, z and n are as defined above may also be prepared by the reaction of a benzoyl chloride of formula (IX):



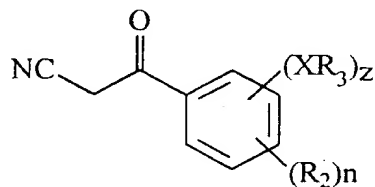
wherein  $\text{R}^2$ ,  $\text{R}^3$ , X, z and n are as hereinbefore defined, with a beta-ketonitrile of formula (X):



wherein  $\text{R}^1$  is as hereinbefore defined. The reaction is generally performed in the presence of a base, in a solvent or solvent mixture. Suitable bases include metal hydrides, hydroxides or alkoxides (e.g. sodium or lithium hydride, sodium hydroxide, potassium hydroxide, magnesium ethoxide or magnesium methoxide). Suitable solvents include for example tetrahydrofuran; hydrocarbons such as toluene; or halogenated hydrocarbons such as dichloromethane. The reaction is

generally performed at a temperature from 0°C to the reflux temperature.

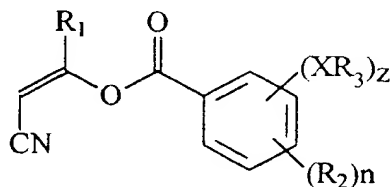
According to a further feature of the present invention, compounds of formula (Ic) in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, X, z and n are as defined above may also be prepared by the reaction of an acid chloride of formula R<sup>1</sup>COCl wherein R<sup>1</sup> is as hereinbefore defined, with a beta-ketonitrile of formula (XI):



(XI)

wherein R<sup>2</sup>, R<sup>3</sup>, X, z and n are as hereinbefore defined. The reaction is generally performed under the same conditions as described above for the reaction of compounds of formula (IX) with compounds of formula (X).

According to a further feature of the present invention compounds of formula (Ic) in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, X, z and n are as defined above may also be prepared by the reaction of a benzoyl chloride of formula (IX) above wherein R<sup>2</sup>, R<sup>3</sup>, X, z and n are as hereinbefore defined, with a beta-ketonitrile of formula (X) wherein R<sup>1</sup> is as hereinbefore defined, via an intermediate of formula (XII):

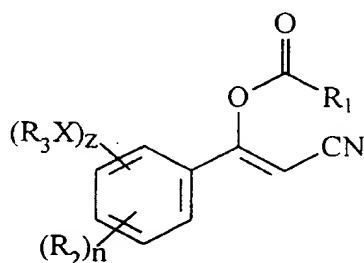


(XII)

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, X, z and n are as hereinbefore defined. The formation of the intermediate of formula (XII) may be carried out in the presence of a mild base such as an organic base e.g. triethylamine, in an inert solvent such as acetonitrile or dichloromethane at a temperature between room temperature and the reflux temperature of the mixture. The rearrangement of the intermediate of formula (XII) to a compound of formula (Ic) is generally carried out in situ in an inert solvent such as

acetonitrile or dichloromethane in the presence of a catalyst such as a source of cyanide. Examples of such sources of cyanide are acetone cyanohydrin or an alkali metal cyanide such as potassium cyanide, optionally in the presence of a crown ether such as 18-crown-6.

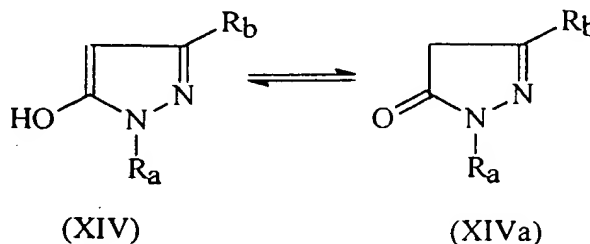
According to a further feature of the present invention compounds of formula (Ic) in which  $R^1$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as defined above, may be prepared by the reaction of an acid chloride of formula  $R^1COCl$  wherein  $R^1$  is as hereinbefore defined, with a beta-ketonitrile of formula (XI) wherein  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as hereinbefore defined via an intermediate of formula (XIII):



(XIII)

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as hereinbefore defined. The formation and rearrangement of the intermediate of formula (XIII) is generally carried out under the same conditions as described above for the formation and rearrangement of compounds of formula (XII).

According to a feature of the present invention compounds of formula (Id) wherein  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $n$  and  $z$  are as defined above and  $Q$  represents hydrogen may be prepared by the reaction of a compound of formula (XIV):

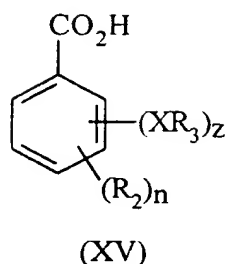


(XIV)

(XIVa)

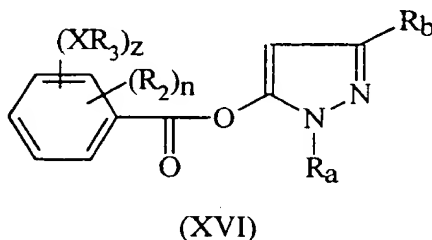
wherein  $R^a$  and  $R^b$  are as defined above, with a carboxylic acid of formula (XV):

- 56 -



wherein  $R^2$ ,  $R^3$ , X, n and z are as defined above. The compounds of formula (XIV) may exist as the tautomer (XIVa) and both forms may be used. The reaction is generally performed in the presence of a coupling agent, generally a carbodiimide such as N,N'-dicyclohexylcarbodiimide. One to 1.5 equivalents of the coupling agent are generally used. The reaction is preferably conducted in the presence of a base such as an alkali metal carbonate preferably potassium carbonate, and in a solvent such as an alcohol for example t-amyl alcohol, t-butanol or i-propanol, and at a temperature of about 20°C to the reflux temperature of the solvent and preferably 50-100°C.

According to a further feature of the present invention compounds of formula (Id) wherein  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ , X, n and z are as defined above and Q represents hydrogen may also be prepared by the reaction of a compound of formula (XIV) or (XIVa) above with an acid chloride of formula (IX) wherein  $R^2$ ,  $R^3$ , X, n and z are as defined above, to give an ester of formula (XVI):



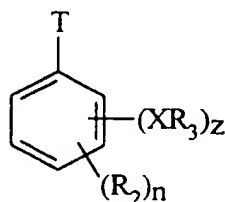
followed by rearrangement to give the compound of formula (Id). The first stage of this process to give the ester intermediates of formula (XVI) is generally performed in the presence of a base such as potassium carbonate, triethylamine or pyridine, and in a solvent such as toluene, acetone, dichloromethane, acetonitrile or tetrahydrofuran, at a temperature of from 0-60°C. The rearrangement process to give the compounds of formula (Id) wherein Q is hydrogen is generally performed using a Lewis acid such as aluminium chloride; or preferably



using a base for example potassium carbonate or calcium hydroxide. One to ten equivalents of the base are used, optionally in the presence of a solvent such as acetone, methyl ethyl ketone, t-amyl alcohol, t-butanol, dioxan, toluene or xylene, at a temperature of 50-150°C. The rearrangement process is preferably performed in the presence of a catalytic amount of the corresponding compound of formula (XIV). Alternatively the rearrangement process may be performed in the presence of a source of cyanide in the presence of a base. Cyanide sources include metal cyanides for example alkali metal cyanides such as sodium cyanide, hydrogen cyanide, or cyanhydrins of dialkyl ketones preferably acetone cyanhydrin. Generally up to 0.5 equivalent (preferably 0.1 equivalent) of cyanide source is employed. Suitable bases include trialkylamines such as triethylamine, or pyridine or alkali metal carbonates such as potassium carbonate. Generally 1-4 equivalents (preferably 2 equivalents) of the base is used. Solvents which may be used include toluene, acetonitrile, dichloromethane or preferably 1,2-dichloroethane. The reaction is generally performed at a temperature of from 0°C-60°C (generally at 20°C-30°C).

Compounds of formula (XVI) are novel and as such constitute a further feature of the invention.

According to a further feature of the present invention compounds of formula (Id) wherein  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ , X, n and z are as defined above and Q represents hydrogen may also be prepared by the reaction of a compound of formula (XIV) above with an aryl halide of formula (XVII):



(XVII)

wherein  $R^2$ ,  $R^3$ , X, n and z are as defined above and T represents a bromine or iodine atom, in the presence of carbon monoxide and a palladium, nickel, cobalt or rhodium catalyst preferably bis(triphenylphosphine)palladium (II) chloride. The reaction is conducted in the presence of a base generally a trialkylamine such as

triethylamine in a solvent which may be water and a phase transfer catalyst for example tetrabutylammonium bromide.

According to a further feature of the present invention compounds of formula (Id) wherein  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ , X, n and z are as defined above and Q represents

According to a further feature of the present invention compounds of formula (Id) wherein  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ , X, n and z are as defined above and Q represents  $-\text{SO}_2\text{R}^4$ ,  $-\text{SO}_2\text{R}^{20}$ ,  $-\text{COR}^{12}$ ,  $-\text{COR}^{20}$ ,

$-\text{COCH}_2\text{OC}(\text{O})\text{R}^{16}$ ,  $-\text{COCH}_2\text{OR}^{12}$ ,  $-\text{COCH}_2\text{OR}^{20}$ ,  $-\text{CONR}^5\text{R}^6$ ,  $-\text{CONR}^{20}\text{R}^{21}$ ,  $-\text{CONR}^{22}\text{R}^{23}$ ,  $-\text{CO}_2\text{R}^{12}$ ,  $-\text{CO}_2\text{R}^{20}$  or  $-\text{P}(\text{O})\text{R}^{5a}\text{R}^{5b}$ ,

may be prepared by the reaction of the corresponding compound of formula (Id) wherein Q represents hydrogen, with an appropriately substituted sulphonyl halide, acyl halide, phosphonyl halide or phosphinyl halide (chlorides are the preferred halides). The reaction is generally performed using the same conditions as are employed for the above preparation of compounds of formula (Id) wherein Q represents alkyl and related derivatives.

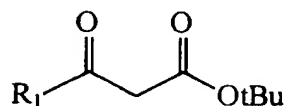
According to a further feature of the present invention compounds in which p or q is one or two may be prepared by the oxidation of the sulphur atom of the corresponding compounds in which p or q is 0 or 1. The oxidation of the sulphur atom is generally carried out using for example 3-chloroperoxybenzoic acid in an inert solvent such as dichloromethane at a temperature from  $-40^\circ\text{C}$  to room temperature.

Intermediates in the preparation of compounds of formula (Ia), (Ib), (Ic) and (Id) may be prepared by the application or adaptation of known methods.

Compounds of formula (III) may be prepared by the reaction of compounds of formula (V) with either a trialkyl orthoformate such as triethyl orthoformate or a dimethylformamide dialkyl acetal such as dimethylformamide dimethyl acetal.

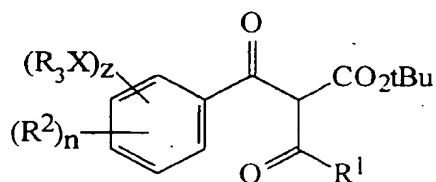
The reaction with a trialkyl orthoformate can be carried out in the presence of acetic anhydride at the reflux temperature of the mixture and the reaction with dialkylformamide dialkyl acetal can be carried out optionally in the presence of an inert solvent at a temperature from room temperature to the reflux temperature of the mixture.

Compounds of formula (V) may be prepared by the reaction of an acid chloride of formula (IX) with a metal salt of a compound of formula (XVIII):



(XVIII)

wherein  $\text{R}^1$  is as hereinbefore defined, to give a compound of formula (XIX):

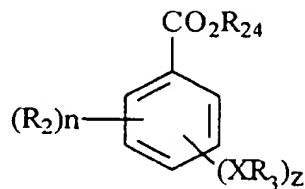


(XIX)

wherein  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$ ,  $\text{X}$ ,  $z$  and  $n$  are as hereinbefore defined, which is subsequently decarboxylated to give a compound of formula (V).

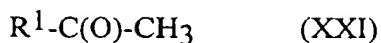
Generally the reaction to produce the compound of formula (XIX) is performed in a solvent such as a lower alcohol, preferably methanol, in the presence of a metal, preferably magnesium. The reaction may also be performed using a pre-prepared metal salt of a compound of formula (XVIII). The decarboxylation is generally performed by refluxing the compound of formula (XIX) in the presence of a catalyst, such as para-toluenesulphonic acid or trifluoroacetic acid, in an inert solvent e.g. toluene or 1,2-dichloroethane.

Compounds of formula (V) may also be prepared by the reaction of a benzoic acid ester of formula (XX):



(XX)

wherein  $\text{R}^2$ ,  $\text{R}^3$ ,  $\text{X}$ ,  $z$  and  $n$  are as hereinbefore defined and  $\text{R}^{24}$  represents a lower alkyl group (preferably methyl), with a compound of formula (XXI):



wherein  $R^1$  is as hereinbefore defined. The reaction is generally performed in a solvent such as ether, tetrahydrofuran or N,N-dimethylformamide, in the presence of a base, preferably an alkali metal base such as sodium hydride, at a temperature from 0°C to the reflux temperature. A number of compounds of formula (XX) wherein X represents  $-(CR^9R^{10})_v-$  and v represents zero are novel and as such constitute a further feature of the present invention.

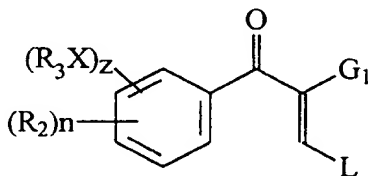
Intermediates of formula (VII) or (VIII) may be prepared by any of the processes described above for the preparation of a compound of formula (Ia) or (Ib) from the corresponding compounds of formula (III), (IV), (V) or (VI).

Acid chlorides of formula (IX) may be prepared by the reaction of a benzoic acid of formula (XV) with a chlorinating agent, for example thionyl chloride at the reflux temperature of the mixture. In some cases the benzoyl chlorides may also be prepared by reaction of the benzoic acid with oxalyl chloride in a solvent such as 1,2-dichloroethane at from ambient to reflux temperature.

A number of the benzoic acids of formula (XV) wherein X represents  $-(CR^9R^{10})_v-$  and v represents zero are novel and as such constitute a further feature of the present invention.

Esters of formula (XX) may be prepared from acids of formula (XV) according to known methods.

Compounds of general formula (VI) wherein  $D^1$  is  $-CO_2$ -alkyl or  $-CN$  may be prepared by the reaction of compounds of general formula (XXII):



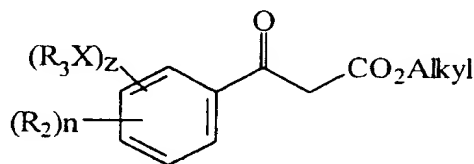
(XXII)

wherein  $G^1$  represents  $CO_2$ -alkyl or  $-CN$  and  $L$  is as hereinbefore defined, with a salt of hydroxylamine such as hydroxylamine hydrochloride, in a solvent such as ethanol or acetonitrile, optionally in

the presence of a base or acid acceptor such as triethylamine or sodium acetate.

Compounds of general formula (VI) in which  $D^1$  represents a carboxylic acid or carboxylic acid chloride may be prepared from the corresponding compound of general formula (VI) in which  $D^1$  represents a carboxylic ester group by the hydrolysis of said ester group and conversion, as necessary, of the acid thus obtained to the acid chloride, e.g. by heating with thionyl chloride.

Compounds of general formula (XXII) may be prepared by the reaction of a ketonitrile of formula (XI) or a ketoester of formula (XXIII):



(XXIII)

with either triethyl orthoformate in the presence of acetic anhydride at the reflux temperature of the mixture or with dimethylformamide dimethylacetal optionally in an inert solvent such as toluene at a temperature from room temperature to the reflux temperature of the mixture.

Beta-ketonitriles of formula (X) may be prepared from acid chlorides of formula  $R^1COCl$  by a number of methods well known in the chemical literature. For example, see Krauss, et al, *Synthesis*, **1983**, 308, or Muth, et al, *J. Org. Chem.*, **1960**, 25, 736. Alternatively beta-ketonitriles of formula (X) may be prepared by the reaction of an ester of formula  $R^1-CO_2Et$ , wherein  $R^1$  is as hereinbefore defined, with acetonitrile. This reaction is described in the literature, for example see the article by Abramovitch and Hauser, *J. Am. Chem. Soc.*, **1942**, 64, 2720.

Beta-ketonitriles of formula (XI) may be prepared from benzoyl chlorides of formula (IX) or from corresponding ethyl benzoates in a manner analogous to the preparation of beta-ketonitriles of formula (X) set forth above.

Benzoic acids of formula (XV) or esters of formula (XX) in which  $R^2$ ,  $R^3$ ,  $R^{24}$ , X, z and n are as defined above, may be prepared by the reaction of the corresponding compound of formula (XV) or (XX) in which  $R^3$  is replaced by a  $Y^2$  ( $Y^2$  is as defined above preferably fluorine), with a compound of formula  $R^3-H$  using the same procedure as described above for the preparation of compounds of formula (Ia) and (Ib) from compounds of formula (VII) and (VIII).

Intermediates of formula (IV), (XIV), (XVIII), (XXI) and (XXIII), are known or may be prepared by the application or adaptation of known methods.

Those skilled in the art will appreciate that some compounds of formula (I) may be prepared by the interconversion of other compounds of formula (I) and such interconversions constitute yet more features of the present invention.

The following non-limiting Examples illustrate the preparation of compounds of formula (I) and the Reference Examples illustrate the preparation of intermediates in their synthesis. NMR Spectra are recorded as  $\delta$  (ppm) in deuteriochloroform as solvent (unless otherwise stated).

#### **Example 1**

Ethyl 5-cyclopropyl-4-(4-fluoro-2-trifluoromethyl)benzoylisoxazole-3-carboxylate (0.74g) was added to a suspension of sodium hydride (60%, 0.12g) and 1,2,4-triazole (0.21g) in dry N,N-dimethylformamide at 20°C. The solution was stirred for 3 hours, poured into water, extracted (ethyl acetate), dried (magnesium sulphate) and evaporated. The residue was purified by chromatography to give ethyl 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate as a colourless solid (Compound 1, 0.79g), NMR 1.25(m,2H), 1.40(m,2H), 1.19(t,3H), 2.46(m,1H), 4.09(q,2H), 7.65(d,1H), 8.00(dd,1H), 8.16-8.23(m,3H), 8.80(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[4-(3-methylthio-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 2), NMR

1.25(m,2H), 1.38(m,2H), 1.19(t,3H), 2.47(m,1H), 2.70(s,3H),  
4.09(q,2H), 7.61(d,1H), 7.92(dd,1H), 8.12(d,1H), 8.66(s,1H);

ethyl 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)naphth-1-  
oyl]isoxazole-3-carboxylate (Compound 3), NMR 1.18(m,2H),  
5 1.37(m,2H), 1.92(t,3H), 2.39(m,1H), 3.92(q,2H), 7.50-7.85(m,5H),  
8.28(s,1H), 8.48(s,1H), 8.58(d,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 4), NMR 1.20-  
1.40(m,4H), 1.23(t,3H), 2.45(m,1H), 4.15(q,2H), 7.62-7.90(m,3H),  
10 8.15(s,1H), 8.69(s,1H);

ethyl 5-cyclopropyl-4-[2-iodo-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 5), NMR 1.20-  
1.40(m,4H), 1.24(t,3H), 2.40(m,1H), 4.15(q,2H),  
7.52(d,1H), 7.78(m,1H), 8.15(s,1H), 8.37(m,1H), 8.66(s,1H);

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 6), NMR 1.15-  
1.40(m,4H), 1.19(t,3H), 2.32(m,1H), 2.64(s,3H), 4.11(q,2H),  
15 7.55(m,2H), 7.70(s,1H), 8.14(s,1H), 8.65(s,1H);

ethyl 5-cyclopropyl-4-[2-benzyl-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 7), NMR 1.15-  
1.30(m,4H), 1.22(t,3H), 2.00(m,1H), 4.18(q,2H), 4.34(s,2H), 7.20-  
20 7.65(m,8H), 8.11(s,1H), 8.55(s,1H);

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)-2-  
trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 8), NMR  
25 1.25(m,2H), 1.38(m,2H), 1.19(t,3H), 2.50(m,1H), 4.09(q,2H),  
7.28(d,1H), 7.39(d,1H), 7.64(m,2H), 7.82(d,1H), 7.98(s,1H);

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)naphth-1-oyl]isoxazole-3-  
carboxylate (Compound 9), NMR 1.25(m,2H), 1.38(m,2H), 0.90(t,3H),  
2.42(m,1H), 3.90(q,2H), 7.25-7.80(m,7H), 8.60(d,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(imidazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 10), NMR 1.20-  
1.45(m,4H), 1.23(t,3H), 2.46(m,1H), 4.16(q,2H), 7.23-7.53(m,4H),  
30 7.65(d,1H), 7.95(s,1H);

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)-2-  
iodobenzoyl]isoxazole-3-carboxylate (Compound 11), NMR 1.18-

1.42(m,4H), 1.23(t,3H), 2.40(m,1H), 4.14(q,2H),  
7.25(s,1H), 7.33(m,1H), 7.50(m,2H), 7.93(s,1H), 8.02(m,1H);

ethyl 5-cyclopropyl-4-[4-(pyrazol-1-yl)-2-  
trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 12), NMR  
1.20-1.40(m,4H), 1.21(t,3H), 2.40(m,1H), 4.10(q,2H), 6.57(m,1H),  
7.59(d,1H), 7.80(d,1H), 7.92(dd,1H), 8.04(d,1H), 8.20(d,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(pyrazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 13), NMR 1.18-  
1.40(m,4H), 1.23(t,3H), 2.38(m,1H), 4.18(q,2H), 6.54(m,1H), 7.58-  
8.04(m,5H).

By proceeding in a similar manner and heating at 50°C the  
following compounds were also prepared:

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 21), NMR 1.2 (t,3H) ,  
1.1 - 1.4 (m,4H) , 2.1 (s,3H) , 2.4 (bs,4H) , 4.1 (q,2H) , 7.3 (d,1H) , 7.4  
(d,1H) , 8.1 (s,1H) , 8.6 (s,1H);

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(imidazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 22), NMR 1.2 (t,3H) ,  
1.2 - 1.4 (m,4H) , 2.0 (s,3H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H) , 7.2 -  
7.4 (m,4H) , 7.8 (s,1H);

ethyl 5-cyclopropyl-4-[3-fluoro-2-methylthio-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 23), NMR 1.2 (t,3H) ,  
1.2 - 1.4 (m,4H) , 2.3 (m,1H) , 2.4 (s,3H) , 4.1 (q,2H) , 7.3 (d,1H) , 7.8  
(m,1H) , 8.1 (s,1H) , 8.7 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-3,4-bis-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 24), NMR 1.2 (t,3H) ,  
1.1 - 1.4 (m,4H) , 2.0 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H) , 7.6 (d,1H) , 7.7  
(d,1H) , 7.9 (s,1H) , 8.0 (s,1H) , 8.2 (s,1H);

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 25), NMR 1.2 (t,3H) ,  
1.2 - 1.4 (m,4H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.2 (q,2H) , 7.4 (d,1H) , 7.5  
(d,1H) , 8.2 (s,1H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole-3-carboxylate (Compound 26), NMR 1.1 (t,3H) ,  
1.0 - 1.3 (m,4H) , 2.2 (m,1H) , 2.5 (s,3H) , 4.1 (q,2H) , 7.3 (d,1H) , 7.6  
(d,1H) , 7.7 (s,1H) , 8.1 (s,1H) , 8.6 (s,1H);



ethyl 5-cyclopropyl-4-[2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 27), NMR 1.1 (t,3H) , 1.0 - 1.3 (m,4H) , 2.1 (m,1H) , 2.4 (s,3H) , 4.1 (q,2H) , 7.1 (d,1H) , 7.2 (s,1H) , 7.3 (d,2H) , 7.5 (d,1H) , 7.8 (s,1H);

5 ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 28), NMR 1.1 (t,3H) , 1.2 (t,3H) , 1.0 - 1.3 (m,4H) , 2.3 (m,1H) , 2.9 (s,3H) , 3.1 (q,2H) , 4.1 (q,2H) , 7.3 (s,2H) , 8.1 (s,1H) , 8.7 (s,1H);

10 ethyl 5-cyclopropyl-4-[2-isobutyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 29), NMR 0.9 (d,6H) , 1.2 (t,3H) , 1.1 - 1.3 (m,4H) , 2.0 (m,1H) , 2.2 (m,1H) , 2.8 (d,2H) , 4.1 (q,2H) , 7.5 (m,2H) , 7.6 (s,1H) , 8.1 (s,1H) , 8.6 (s,1H);

15 ethyl 5-cyclopropyl-4-[2-isobutyl-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 30), NMR 0.9 (d,6H) , 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 2.0 (m,1H) , 2.2 (m,1H) , 2.8 (d,2H) , 4.1 (q,2H) , 7.2 - 7.4 (m,4H) , 7.5 (d,1H) , 8.0 (s,1H);

20 ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 31), NMR 1.1 (t,3H) , 1.1 - 1.3 (m,4H) , 2.3 (m,1H) , 2.4 (s,3H) , 3.7 (s,3H) , 4.1 (q,2H) , 7.2 (d,1H) , 7.7 (d,1H) , 8.1 (s,1H) , 8.9 (s,1H);

25 ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 32), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.1 (s,3H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H) , 7.4 (d,1H) , 7.5 (d,1H) , 7.9 (s,2H);

30 ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 33), NMR 1.3 (t,3H) , 1.2 - 1.4 (m,4H) , 2.4 (m,1H) , 2.4 (s,3H) , 4.2 (q,2H) , 7.4 (d,1H) , 7.5 (d,1H) , 7.9 (s,2H);

35 ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 34), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.2 (q,2H) , 7.4 (d,1H) , 7.5 (d,1H) , 7.9 (s,1H) , 8.0 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 35), NMR 1.1 - 1.4 (m,4H) , 1.1 (t,3H) , 2.2 (m,1H) , 2.6 (s,3H) , 4.1 (q,2H) , 7.6 (d,1H) , 7.9 (m,3H) , 8.1 (s,1H);

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 36), NMR 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 2.1 (s,3H) , 2.3 (m,1H) , 2.4 (s,3H) , 2.5 (s,3H), 4.1 (q,2H) , 7.4 (d,1H) , 7.5 (d,1H) , 8.5 (s,1H);

5 ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 37), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.3 (m,1H) , 2.4 (s,3H) , 2.5 (s,3H) , 4.2 (q,2H) , 7.4 (d,1H) , 7.5 (d,1H) , 8.4 (s,1H);

10 ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(5-methyl-1,2,4-triazol-4-yl)benzoyl]isoxazole-3-carboxylate (Compound 38), NMR 1.2 - 1.4 (m,4H) , 1.3 (t,3H) , 2.3 (s,3H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H), 7.4 (m,2H) , 8.0 (s,1H).

By proceeding in a similar manner and heating at 100°C the following compounds were also prepared:

15 ethyl 5-cyclopropyl-4-[2-methylthiomethyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 39), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.0 (s,3H) , 2.1 (m,1H) , 4.0 (s,2H) , 4.1 (q,2H) , 7.5 (d,1H) , 7.6 (d,1H) , 7.8 (s,1H) , 8.1 (s,1H) , 8.6 (s,1H);

20 ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 40), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.2 (s,3H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H) , 7.5 (d,1H) , 7.6 (d,1H) , 9.1 (s,1H);

25 ethyl 5-cyclopropyl-4-[2-methylthio-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 41), NMR 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 2.3 (m,1H) , 2.6 (s,3H) , 4.2 (q,2H) , 7.4 (d,1H) , 7.7 (d,1H) , 7.8 (s,1H) , 9.1 (s,1H);

30 ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 42), NMR 1.1 (t,3H) , 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 1.9 (s,3H) , 2.4 (m,1H) , 3.1 (q,2H) , 4.0 (q,2H) , 7.4 (m,2H) , 9.1 (s,1H);

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 43), NMR 1.1 - 1.4 (m,4H) , 1.2 (t,3H) , 1.3 (t,3H) , 2.0 (s,3H) , 2.3 (m,1H) , 3.2 (q,2H) , 4.1 (q,2H) , 7.4 (s,2H) , 7.9 (s,2H);

35 ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 44), NMR 1.1 - 1.4

(m,4H) , 1.2 (t,3H) , 1.3 (t,3H) , 1.9 (s,3H) , 2.4 (m,1H) , 3.1 (q,2H) , 4.1 (q,2H) , 7.4 (s,2H) , 7.9 (s,1H) , 8.2 (s,1H);

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 45), NMR 0.9 (d,6H) , 1.1 (t,3H) , 1.0 - 1.3 (m,4H) , 1.9 (m,1H) , 2.1 (m,1H) , 2.7 (d,2H) , 4.1 (q,2H) , 7.5 (d,1H) , 7.6 (d,1H) , 7.7 (s,1H) , 7.8 (s,1H) , 8.0 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 46), NMR 1.1 (t,3H) , 1.1 - 1.4 (m,4H) , 2.2 (m,1H) , 2.5 (s,3H) , 2.6 (s,3H) , 4.1 (q,2H) , 7.3 (d,1H) , 7.6 (d,1H) , 7.7 (s,1H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 47), NMR 1.1 - 1.3 (m,7H) , 1.2 (t,3H) , 1.9 (s,3H) , 2.0 (s,3H) , 2.2 (m,1H) , 3.1 (q,2H) , 4.1 (q,2H) , 7.2 (s,1H) , 7.3 (s,2H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 48), NMR 0.9 (d,6H) , 1.1 - 1.3 (m,4H) , 1.2 (t,3H) , 2.0 (m,1H) , 2.1 (m,1H) , 2.5 (s,3H) , 2.8 (d,2H) , 4.2 (q,2H) , 7.5 (m,2H) , 7.6 (m,1H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3,4-tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 132), NMR 1.2-1.3(m,5H), 1.3(m,2H), 2.5(m,1H), 4.1(q,2H), 7.7(m,2H), 7.9(d,1H), 9.1(s,1H);

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,3,4-tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 129), NMR 1.1-1.2(m,5H), 1.3(m,2H), 2.3(m,1H), 2.6(s,3H), 4.0(q,2H), 7.5(m,2H), 7.6(s,1H), 9.0(s,1H);

ethyl 5-cyclopropyl-4-[8-(1,2,3,4-tetrazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 429), NMR 1.1-1.3(m,7H), 2.2(m,1H), 3.1(t,2H), 4.1(q,2H), 4.5(t,2H), 7.3(d,1H), 7.5(d,1H), 9.1(s,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 160), NMR 1.2(m,5H), 1.3(m,2H), 2.4(m,1H), 4.1(q,2H), 7.7(d,1H), 7.8(d,1H), 7.9(s,1H), 7.9(s,1H), 8.1(s,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 173), NMR 1.2(m,5H),

1.3(m,2H), 2.4(m,1H), 4.1(q,2H), 7.6(d,1H), 7.9(s,2H), 8.1(d,1H),  
8.2(s,1H);

5 ethyl 5-cyclopropyl-4-[2-trifluoromethyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 154), NMR 1.1(t,3H),  
1.2(m,2H), 1.4(m,2H), 2.5(m,1H), 4.1(q,2H), 7.6(d,1H), 7.9(s,1H),  
8.0(d,1H), 8.1(s,1H), 8.2(s,1H);

10 ethyl 5-cyclopropyl-4-[2-trifluoromethyl-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 167), NMR 1.1-  
1.2(m,5H), 1.3(m,2H), 2.3(m,1H), 4.0(q,2H), 7.5(d,1H), 7.8(s,2H),  
8.2(d,1H), 8.5(s,1H);

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 158), NMR 1.2(m,5H),  
1.3(m,2H), 2.3(m,1H), 2.6(s,3H), 4.1(q,2H), 7.5(d,1H), 7.6(d,1H),  
7.7(s,1H), 7.9(s,1H), 8.0(s,1H);

15 ethyl 5-cyclopropyl-4-[8-(1,2,3-triazol-2-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 430), NMR 1.1-1.3(m,7H),  
2.2(m,1H), 3.1(t,2H), 4.1(q,2H), 4.4(t,2H), 7.1(d,1H), 7.2(d,1H),  
7.8(s,2H);

20 ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 431), NMR 1.1-  
1.2(m,5H), 1.3(m,2H), 2.3-2.4(m,4H), 3.6(s,3H), 4.0(q,2H), 7.2(d,1H),  
7.7(d,1H), 7.8(s,1H), 8.2(s,1H);

25 ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-benzotriazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 184), NMR 1.1(m,5H),  
1.2(m,2H), 2.2(m,1H), 2.5(s,3H), 4.1(q,2H), 7.4(t,1H), 7.5(m,2H),  
7.7(m,2H), 7.8(s,1H), 8.1(d,1H);

30 ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 155), NMR 1.1-  
1.2(m,5H), 1.3(m,2H), 2.3(m,1H), 2.6(s,3H), 4.1(q,2H), 7.4(d,1H),  
7.6(d,1H), 7.9(s,2H), 8.1(s,1H) and

ethyl 5-cyclopropyl-4-[8-(1,2,3-benzotriazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 432), NMR  
1.1-1.3(m,7H), 2.2(m,1H), 3.1(t,2H), 4.1(q,2H), 4.4(t,2H), 7.2-  
7.5(m,5H), 8.1(d,1H).

By proceeding in a similar manner but using 1,2,4-triazole 1-sodium salt and heating at 50°C the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[3-fluoro-2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 116), NMR 1.1(t,3H), 1.2-1.3(m,4H), 2.4(m,4H), 4.1(q,2H), 7.1-7.3(m,4H), 7.8(s,1H);

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 433), NMR 1.2(t,3H), 1.2-1.3(m,4H), 2.3-2.4(m,4H), 3.5(s,3H), 4.0(q,2H), 7.1-7.3(m,4H), 7.8(s,1H) and

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(5-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 434), NMR 0.9(d,6H), 1.2-1.3(m,7H), 2.0(m,1H), 2.2(m,1H), 2.6(s,3H), 2.8(d,2H), 4.2(q,2H), 7.4(m,2H), 7.5(d,1H), 7.9(s,1H).

### Example 2

Hydroxylamine hydrochloride (0.45 g) was added to a stirred solution of 3-cyclopropyl-2-dimethylaminomethylene-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione in ethanol.

After 2 hours, water was added and the mixture extracted with dichloromethane, washed with water, dried (magnesium sulphate) and evaporated. This residue was purified by chromatography eluting with hexane/ethyl acetate (1:1) to give 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole (Compound 14, 1.56g) as a yellow solid, NMR 1.28(m,2H), 1.38(m,1H), 1.65(m,1H), 7.67(d,1H), 8.03(m,1H), 8.18(m,3H), 8.72(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 15), NMR 1.20-1.35(m,4H), 2.60(m,1H), 7.55-7.76(m,3H), 7.99(s,1H), 8.07(s,1H), 8.38(s,1H);

5-cyclopropyl-4-[2-(pyrazol-1-yl)benzoyl]isoxazole (Compound 16), NMR 1.12-1.30(m,4H), 2.70(m,1H), 6.34(m,1H), 7.47-7.65(m,4H), 7.71(m,1H), 7.92(s,1H);

5-cyclopropyl-4-[8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole (Compound 428), NMR 1.1-1.3(m,4H), 2.7(m,1H), 3.0-

3.2(m,2H), 4.6(q,2H), 7.2(d,2H), 7.6(d,1H), 8.0(s,1H), 8.2(s,1H),  
8.8(s,1H);

5-cyclopropyl-4-[2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 51), NMR 1.2(m,2H), 1.3(m,2H),  
2.5(s,3H), 2.6(m,1H), 7.4(d,1H), 7.5(d,1H), 7.7(s,1H), 8.1(s,1H),  
8.2(s,1H), 8.6(s,1H);

5-cyclopropyl-4-[2-methyl-4-(1,2,4-triazol-1-yl)-benzoyl]isoxazole (Compound 56), NMR 1.1(m,2H), 1.3(m,2H),  
2.4(s,3H), 2.5(m,1H), 7.4(d,1H), 7.5(d,1H), 7.6(s,1H), 8.0(s,1H),  
8.2(s,1H), 8.6(s,1H); and

5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole (Compound 246), NMR 1.2-  
1.4(m,4H), 2.6(m,1H), 7.6(d,1H), 7.9(m,2H), 8.0(s,2H), 8.5(s,1H).

### Example 3

To a suspension of magnesium turnings (0.20g) and methanol was added a catalytic amount of carbon tetrachloride at 20°C. The mixture was stirred until dissolved, and a suspension of 3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione (1.44g) in methanol was added. The mixture was warmed to 60°C, then evaporated and the residue dissolved in toluene. Ethyl chloroximidoacetate (0.91g) was added and the mixture stirred overnight. Aqueous hydrochloric acid was added and the organic layer washed (water), dried (magnesium sulphate), evaporated and the residue purified by chromatography eluting with ethyl acetate/hexane to give ethyl 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 17, 1.1g), NMR 1.20(t,3H), 1.20(m,2H), 1.28(m,2H), 2.33(m,1H), 4.11(q,2H), 7.50-7.77(m,4H), 8.01(s,1H), 8.41(s,1H).

### Example 4

A mixture of 18-crown-6 (1.06g) and 37% w/w potassium fluoride on basic alumina (0.69g) was added portionwise to a mixture of ethyl 5-cyclopropyl-4-(2-fluoro-4-trifluoromethylbenzoyl)isoxazole-3-carboxylate (1.48g) and 1,2,4-triazole (0.28g) in acetonitrile. The mixture was refluxed for 9.5 hours, evaporated and the residue purified by chromatography, eluting with ethyl acetate/hexane to give ethyl 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 18, 0.77g)

as an orange gum, NMR 1.21(t,3H), 1.25(m,2H), 1.30(m,2H), 2.45(m,1H), 4.12(q,2H), 7.72(d,2H), 7.80(d,2H), 7.85(s,1H), 8.04(s,1H), 8.46(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[2-(1,2,3-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 311), NMR 1.1(t,3H), 1.1-1.2(m,4H), 2.4(m,1H), 4.2(q,2H), 7.7(m,4H), 8.2(s,1H);

ethyl 5-cyclopropyl-4-[2-(1,2,3-triazol-2-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 321), NMR 1.1(t,3H), 1.1-1.2(m,4H), 2.3(m,1H), 4.0(q,2H), 7.6(s,1H), 7.7(d,1H), 7.8(d,2H), 7.9(s,1H) and

ethyl 5-cyclopropyl-4-[2-(1,2,3,4-tetrazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 291), NMR 1.2(t,3H), 1.2-1.5(m,4H), 2.4(m,1H), 4.1(q,2H), 7.6(d,1H), 7.8(d,1H), 7.9(s,1H), 9.1(s,1H).

#### **Example 5**

m-Chloroperbenzoic acid (0.18g) was added to a stirred solution of ethyl 5-cyclopropyl-4-[4-(3-methylthio-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (0.44g) in dichloromethane at 20°C. After 2 hours the mixture was washed with sodium metabisulphite solution and potassium carbonate solution. The organic layer was dried (magnesium sulphate), evaporated and the residue purified by chromatography to give ethyl 5-cyclopropyl-4-[4-(3-methylsulphinyl-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 19, 0.3g), NMR 1.25(m,2H), 1.40(m,2H), 1.20(t,3H), 2.48(m,1H), 3.13(s,3H), 4.09(q,2H), 7.78(d,1H), 8.05(dd,1H), 8.22(s,1H), 8.93(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[4-(3-methylsulfonyl-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 20), NMR 1.25(m,2H), 1.40(m,2H), 1.20(t,3H), 2.50(m,1H), 3.38(s,3H), 4.09(q,2H), 7.68(d,1H), 8.03(dd,1H), 8.20(d,1H), 8.88(s,1H);

5-cyclopropyl-4-[2-methylsulfinyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 91), NMR 1.2(m,2H), 1.3(m,2H),

2.6(m,1H), 3.0(s,3H), 7.9(d,1H), 8.0(d,1H), 8.1(s,1H),8.3(s,1H),  
8.6(s,1H), 8.8(s,1H); and

5-cyclopropyl-4-[2-methylsulfonyl-4-(1,2,4-triazol-1-  
yl)benzoyl]isoxazole (Compound 92), NMR 1.2(m,2H), 1.3(m,2H),  
2.5(m,1H), 3.3(s,3H), 7.6(d,1H), 8.1(m,3H), 8.4(s,1H), 8.7(s,1H).

#### **Example 6**

A mixture of 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylbenzoyl]isoxazole (0.3g) and triethylamine (3ml) was  
stirred in dichloromethane for 1.25 hours, washed with citric acid and  
the organic phase dried (magnesium sulphate) and evaporated to give 2-  
cyano-3-cyclopropyl-1-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylphenyl]propan-1,3-dione as an orange solid (Compound  
49, 0.24g), NMR 1.33(m,2H),1.50(m,2H), 1.38(m,1H),7.78(d,1H),  
8.05(m,1H), 8.20(m,2H), 8.85(m,1H).

#### **Example 7**

Sodium methoxide (17mg) followed by sodium hydroxide (2M  
solution) was added to a solution of 5-cyclopropyl-4-[2-(1,2,4-triazol-1-  
yl)-4-trifluoromethylbenzoyl]isoxazole (0.1g), stirred overnight,  
acidified (2M hydrochloric acid) and extracted (dichloromethane). The  
extract was dried (magnesium sulphate) and evaporated to give 2-cyano-  
3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylphenyl]propan-  
1,3-dione (Compound 424), NMR 1.2(m,2H), 1.3(m,2H), 2.1(m,1H),  
7.7(m,3H), 8.0(s,1H), 8.5(s,1H), 15(bs,1H).

#### **Example 8**

To a solution of 1,3-dimethyl-5-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylbenzoyloxy]-1H-pyrazole (1.93g) in t-amyl alcohol was  
added a catalytic amount of 1,3-dimethyl-5-hydroxy-1H-pyrazole and  
potassium carbonate (0.76g) at 20°C. The reaction mixture was warmed  
to 100°C, stirred for 4 hours and cooled. After extraction with ether the  
aqueous layer was acidified (aqueous citric acid), extracted  
(dichloromethane), dried (magnesium sulfate) and evaporated to give  
1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylbenzoyl]-1H-pyrazole as an orange glassy solid  
(Compound 501, 1.85g), NMR 1.70(s,3H), 3.65(s,3H), 7.69(1H),  
8.00(1H), 8.15(1H), 8.18(s,1H), 8.71(s,1H). By proceeding in a similar  
manner the following compounds were also prepared:



5-hydroxy-1-methyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 517), NMR 3.73(3H,s), 7.33(1H,s), 7.73(1H,d), 8.00(1H,d), 8.18(2H), 8.71(1H); and  
1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyl]-1H-pyrazole (Compound 1116), NMR 1.82 (s, 3H),  
3.65 (s,3H), 7.47 (d, 1H), 7.76 (d, 1H), 7.90 (d, 1H), 8.16 (s, 1H), 8.67 (s, 1H).

### Example 9

To a solution of 1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (0.35g) in N,N-dimethylformamide was added phenacyl chloride (0.17g) and potassium carbonate (0.15g) at 20°C. The mixture was stirred for 4 hours, diluted with ether and washed with water. The organic layer was dried (magnesium sulfate), evaporated and the residue purified by chromatography to give 1,3-dimethyl-5-phenacyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 502, 0.37g), NMR 1.74(s,3H), 3.86(s,3H), 5.85(s,2H), 7.45-7.65(4H), 7.80-7.98(3H), 8.10(1H), 8.16(s,1H), 8.68(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

1,3-dimethyl-5-methoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 503), NMR 2.03(s,3H),3.65(s,3H),3.83(s,3H),7.60(1H),7.96(1H),8.12(1H),8.17(s,1H),8.70(s,1H);

5-ethoxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 504), NMR 1.18(t,3H),2.04(s,3H),3.62(s,3H),4.07(q,2H),7.60(1H),7.95(1H),8.13(1H),8.18(s,1H),8.70(s,1H);

1,3-dimethyl-5-isopropoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 505), NMR 1.19(d,6H),1.99(s,3H),3.65(s,3H),4.60(sep,1H),7.59(1H),7.95(1H),8.14(1H),8.17(s,1H),8.69(s,1H);

5-benzyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 506), NMR 2.02(s,3H),3.43(s,3H), 5.08(s,2H),7.15-7.32(5H),7.53(1H),7.90(1H),8.08(1H),8.17(s,1H),8.64(s,1H);

1,3-dimethyl-5-methoxycarbonylmethoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 507), NMR 1.75(s,3H),3.77(s,3H),3.79(s,3H),5.03(s,2H),7.54(1H),7.98(1H),8.13(1H),8.17(s,1H),8.71(s,1H);

5 1,3-dimethyl-5-[1-(methoxycarbonyl)ethoxy]-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 508), NMR 1.65(d,3H),1.72(s,3H),3.74(s,3H),3.78(s,3H),5.41(q,1H),7.52(1H),7.97(1H),8.13(1H),8.17(s,1H),8.71(s,1H);

10 1,3-dimethyl-5-allyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 509), NMR 2.01(s,3H),3.65(s,3H),4.56(d,2H),5.20-5.30(m,2H),5.65-5.90(m,1H),7.58(1H),7.96(1H),8.12(1H),8.17(s,1H),8.71(s,1H);

15 1,3-dimethyl-5-propargyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 510), NMR 1.88(s,3H),2.56(m,1H),3.73(s,1H),4.91(d,1H),7.58(1H),7.97(1H),8.14(1H),8.17(s,1H),8.71(s,1H);

20 1,3-dimethyl-5-methoxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1125), NMR 2.09 (s, 3H), 3.65 (s, 3H), 3.85 (s, 3H), 7.52 (d, 1H), 7.70 (d, 1H), 7.87 (s, 1H), 8.15 (s, 1H), 8.65 (s, 1H);

25 1,3-dimethyl-5-phenacyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1126), NMR 1.83 (s, 3H), 3.85 (s, 3H), 5.85 (s, 2H), 7.38-7.52 (m, 3H), 7.55-7.73 (m, 2H), 7.79-7.92 (m, 3H), 8.13 (s, 1H), 8.60 (s, 1H);

30 1,3-dimethyl-5-benzyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1127), NMR 2.10 (s, 3H), 3.43 (s, 3H), 5.06 (s, 2H), 7.17-7.34 (m, 5H), 7.50 (d, 1H), 7.66 (d, 1H), 7.81 (s, 1H), 8.15 (s, 1H), 8.58 (s, 1H);

35 1,3-dimethyl-5-propargyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1128), NMR 1.99 (s, 3H), 2.55 (s, 1H), 3.73 (s, 3H), 4.88 (s, 2H), 7.51 (d, 1H), 7.70 (d, 1H), 7.87 (s, 1H), 8.15 (s, 1H), 8.64 (s, 1H);

1,3-dimethyl-5-allyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1129), NMR 2.08 (s, 3H), 3.65 (s, 3H), 4.56 (s, 3H), 5.19-5.34 (m, 2H), 5.82 (m, 1H), 7.51 (d, 1H), 7.69 (d, 1H), 7.99 (s, 1H), 8.17 (s, 1H), 8.63 (s, 1H);

1-methyl-5-benzyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1131), NMR 3.52 (s, 3H), 5.58 (s, 2H), 7.24 (s, 1H), 7.59 (d, 1H), 7.94 (d, 1H), 8.14 (s, 1H), 8.18 (s, 1H), 8.69 (s, 1H); and

1-methyl-5-allyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1132), NMR 3.72 (s, 3H), 5.08 (d, 2H), 5.31 (dd, 1H), 5.41 (dd, 1H), 6.03 (m, 1H), 7.25 (d, 1H), 7.60 (d, 1H), 7.95 (dd, 1H), 8.11-8.20 (m, 2H), 8.70 (s, 1H).

#### **Example 10**

To a solution of 1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (0.1g) in dichloromethane was added benzenesulfonyl chloride (0.06g) and triethylamine (0.04g) at 20°C. The mixture was stirred for 4 hours, extracted with ether and washed with water. The organic layer was dried (magnesium sulfate), evaporated and the residue purified by chromatography to give 5-benzenesulfonyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 511, 0.05g), NMR 2.17(s,3H),3.59(s,1H),7.52(3H),7.66(1H),7.83(3H),8.05(1H), 8.19(s,1H), 8.67(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

5-acetyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 512), NMR 2.06(s,3H),2.16(s,3H),3.63(s,3H),7.52(1H),7.98(1H),8.13(1H),8.17(s,1H),8.70(s,1H);

5-benzyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 513), NMR 2.51(s,3H),3.64(s,3H),7.25(2H),7.40(2H),7.55(1H),7.70(2H),7.78(1H),8.06(s,1H),8.22(s,1H);

1,3-dimethyl-5-pivaloyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 514), NMR 1.18(s,9H),2.14(s,3H),3.60(s,3H),7.55(1H),7.92(1H),8.10(1H),8.17(s,1H),8.67(s,1H);

1,3-dimethyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 515), NMR

2.21(s,3H),2.41(s,3H),3.58(s,3H),7.28(2H),7.52(1H),7.70(2H),  
7.75(1H), 8.04(1H), 8.19(s,1H), 8.67(s,1H);

1,3-dimethyl-5-isopropylsulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylbenzoyl]-1H-pyrazole (Compound 516), NMR  
5 1.57(d,6H),1.88(s,3H),3.84(s,3H),3.85(sep,1H),7.62(1H),7.98(1H),8.15(  
1H),8.18(s,1H),8.72(s,1H);

1-methyl-5-benzoyloxy-4-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylbenzoyl]-1H-pyrazole (Compound 1117), NMR 3.77 (s,  
3H), 7.30-7.46 (m, 2H), 7.49-7.60 (m, 2H), 7.74 (dd, 1H), 7.82 (s, 1H),  
10 7.90-8.00 (m, 3H), 8.11 (s, 1H), 8.46 (s, 1H);

1-methyl-5-pivaloyloxy-4-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylbenzoyl]-1H-pyrazole (Compound 1118), NMR 1.40 (s,  
9H), 3.72 (s, 3H), 7.47 (s, 1H), 7.63 (d, 1H), 7.95 (d, 1H), 8.12 (s, 1H),  
8.17 (s, 1H), 8.70 (s, 1H);

1-methyl-5-benzenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylbenzoyl]-1H-pyrazole (Compound 1119), NMR 3.85 (s,  
3H), 7.40-7.80 (m, 5H), 7.85-8.25 (m, 5H), 8.69 (s, 1H);

1-methyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-  
trifluoromethylbenzoyl]-1H-pyrazole (Compound 1120), NMR 2.45 (s,  
20 3H), 3.84 (s, 3H), 7.36 (s, 1H), 7.39 (s, 1H), 7.47-7.50 (m, 2H), 7.85-  
7.95 (m, 3H), 8.08 (d, 1H), 8.18 (s, 1H), 8.69 (s, 1H);

1,3-dimethyl-5-acetoxy-4-[2-chloro-4-(1,2,4-triazol-1-  
yl)benzoyl]-1H-pyrazole (Compound 1121), NMR 2.07 (s, 3H), 3.63 (s,  
3H), 7.44 (d, 1H), 7.68 (d, 1H), 8.87 (s, 1H), 8.14 (s, 1H), 8.64 (s, 1H);

1,3-dimethyl-5-pivaloyloxy-4-[2-chloro-4-(1,2,4-triazol-1-  
yl)benzoyl]-1H-pyrazole (Compound 1122), NMR 1.24 (s, 9H), 2.17 (s,  
25 3H), 3.61 (s, 3H), 7.48 (d, 1H), 7.65 (d, 1H), 7.84 (s, 1H), 8.14 (s, 1H),  
8.62 (s, 1H);

1,3-dimethyl-5-benzenesulfonyloxy-4-[2-chloro-4-(1,2,4-triazol-  
1-yl)benzoyl]-1H-pyrazole (Compound 1123), NMR 2.29 (s, 3H), 3.55  
30 (s, 3H), 7.25-7.90 (m, 8H), 8.16 (s, 1H), 8.62 (s, 1H);

1,3-dimethyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-  
chlorobenzoyl]-1H-pyrazole (Compound 546), NMR 2.32 (s, 3H), 2.41  
35 (s, 3H), 3.54 (s, 3H), 7.23-7.32 (m, 2H), 7.46 (d, 1H), 7.55-7.65 (m,  
3H), 7.75 (s, 1H), 8.16 (s, 1H), 8.63 (s, 1H);

1,3-dimethyl-5-isopropylsulfonyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1124), NMR 1.66 (d, 6H), 2.02 (s, 3H), 3.78 (heptet, 1H), 3.92 (s, 3H), 7.56 (s, 1H), 7.70 (d, 1H), 7.87 (d, 1H), 8.15 (s, 1H), 8.66 (s, 1H); and

1-methyl-5-acetoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1130), NMR 2.40 (s, 3H), 3.75 (s, 3H), 7.48 (s, 3H), 7.63 (d, 1H), 7.96 (d, 1H), 8.14 (s, 1H), 8.17 (s, 1H), 8.70 (s, 1H).

#### Reference Example 1

A mixture of magnesium turnings (1.12g) and methanol was heated under reflux until dissolved, then a solution of 3-cyclopropyl-1-(4-fluoro-3-trifluoromethylphenyl)propan-1,3-dione (11.5g) in methanol added at 20°C. Acetonitrile was added and the mixture heated under reflux for four hours, evaporated and dissolved in dichloromethane. A solution of ethyl chloroximidoacetate (6.72g) in dichloromethane was added and the mixture stirred overnight. Hydrochloric acid (2M) was added and the organic layer was washed with water, dried (magnesium sulphate), evaporated and the residue purified by chromatography eluting with ethyl acetate/hexane to give ethyl 5-cyclopropyl-4-(4-fluoro-2-trifluoromethylbenzoyl)isoxazole-3-carboxylate as a colourless solid (11.75g), NMR 1.05-1.3(m,4H), 1.2(t,3H), 2.1(m,1H), 2.38(s,3H), 4.17(q,2H), 4.3(s,2H), 6.72(d,1H), 6.86(m,1H), 7.06(m,2H), 7.2(m,2H), 7.45(m,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 5-cyclopropyl-4-(4-fluoro-2-methylbenzoyl)isoxazole-3-carboxylate, NMR 1.13-1.35(m,4H), 1.69(t,3H), 2.30(m,1H), 4.10(q,2H), 6.78-7.05(m,2H), 7.40(m,1H);

ethyl 5-cyclopropyl-4-(2-chloro-4-fluorobenzoyl)isoxazole-3-carboxylate, NMR 1.22(m,2H), 1.35(m,2H), 1.22(t,2H), 2.40(m,1H), 4.14(q,2H), 7.08(m,1H), 7.20(m,1H), 7.53(m,1H);

ethyl 5-cyclopropyl-4-(4-fluoro-2-iodobenzoyl)isoxazole-3-carboxylate, NMR 1.22(m,2H), 1.35(m,2H), 1.22(t,3H), 2.35(m,1H), 4.14(q,2H), 7.15(m,1H), 7.40(m,1H), 7.70(m,1H);

ethyl 5-cyclopropyl-4-(4-fluoronaphthoyl)isoxazole-3-carboxylate, NMR 1.13-1.38(m,4H), 0.88(t,3H), 2.32(m,1H), 3.90(q,2H), 7.12(m,1H), 7.59(m,3H), 8.20(d,1H), 8.68(d,1H);

ethyl 5-cyclopropyl-4-[(2-fluoro-4-trifluoromethyl)benzoyl]isoxazole-3-carboxylate, NMR 1.27(m,2H), 1.35(m,2H), 1.18(t,3H), 2.49(m,1H), 4.16(q,2H), 7.39(d,1H), 7.55(d,1H), 7.90(t,1H);

ethyl 5-cyclopropyl-4-[(2-benzyl-4-fluoro)benzoyl]isoxazole-3-carboxylate, NMR 1.20(m,2H), 1.25(m,2H), 1.20(t,3H), 2.00(m,1H), 4.15(q,2H), 4.27(s,2H), 6.93(m,2H), 7.15-7.35(m,5H), 7.42(m,1H);

ethyl 4-(2-isobutyl-4-fluorobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 0.92(d,6H), 1.15(m,2H), 1.21(t,3H), 1.29(m,2H), 1.95(sep,7H), 2.72(d,2H), 4.17(q,2H), 6.89-7.03(m,2H), 7.42(m,1H);

ethyl 4-(3,4-difluoro-2-methylthiobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.2(t,3H), 1.22(m,2H), 1.39(m,2H), 2.41(m,1H), 2.5(s,3H), 4.19(q,2H), 7.1-7.22(m,2H);

ethyl 4-(3-bromo-4-fluoro-2-methylthiobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.21(m,2H), 1.25(t,3H), 1.32(m,2H), 2.4(m,1H), 2.41(s,3H), 4.18(q,2H), 7.12(t,1H), 7.35(dd,1H);

ethyl 4-(2-ethyl-4-fluoro-3-methylthiobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.1 - 1.4 (m,4H) , 1.1 (t,3H) , 1.2 (t,3H) , 2.2 (m,1H) , 2.4 (s,3H) , 3.1 (q,2H) , 4.1 (q,2H) , 6.9 (t,1H) , 7.3 (m,1H);

ethyl 4-(4-fluoro-3-methoxy-2-methylthiobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.18(m,2H), 1.22(t,3H), 1.28(m,2H), 2.32(m,1H), 2.42(s,3H), 4.03(s,3H), 4.15(q,2H), 7.09(d,1H), 7.11(s,1H);

ethyl 4-(4-fluoro-2-methylthiomethylbenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.16(m,2H), 1.71(t,3H), 1.78(m,2H), 2.06(s,3H), 2.18(m,1H), 4.02(s,2H), 4.19(q,2H), 6.98(m,1H), 7.25(dd,1H), 7.47(dd,1H); and

ethyl 5-cyclopropyl-4-[8-fluoro-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate, NMR 1.1-1.2(m,5H), 1.3(m,2H), 2.2(m,1H), 3.1(t,2H), 4.2(q,2H), 4.5(t,2H), 6.8(m,1H), 7.1(m,1H).

#### **Reference Example 2**

To a stirred solution of 3-cyclopropyl-1-[4-fluoro-2,3-bis-(methylthio)phenyl]-propan-1,3-dione in dry toluene was added ethyl cyanoformate (0.35g) and zinc acetate (0.015g). The mixture was stirred

at 90°C for 1.5 hours, then allowed to cool. Hydroxylamine hydrochloride (0.3g) and sodium acetate (0.055g) was added and the mixture stirred at 60°C for 1 hour. Water was added and the mixture extracted (ether), dried (magnesium sulphate) and evaporated to give ethyl 4-[4-fluoro-2,3-bis-(methylthio)-benzoyl]-5-cyclopropylisoxazole-3-carboxylate as a yellow oil, NMR 1.2 (t,3H), 1.1-1.4 (m,4H), 2.3 (m,1H), 2.4 (s,3H), 2.6 (s,3H), 4.1 (q,2H), 7.1 (m,1H), (m,2H).

### Reference Example 3

Oxalyl chloride (6.5ml) and a catalytic amount of N,N-dimethylformamide was added to a suspension of 4-fluoro-2-trifluoromethylbenzoic acid (10.0g) in dry dichloromethane. The mixture was stirred to give a clear solution. Meanwhile a solution of t-butyl 3-cyclopropyl-3-oxopropionate (12.33g) in methanol was added to a stirred mixture of magnesium turnings (1.40g) in methanol. The mixture was heated at 60°C for 0.75 hours, cooled and evaporated. Toluene was added and the mixture was re-evaporated. The residue was redissolved in toluene and the above solution of 4-fluoro-2-trifluoromethylbenzoyl chloride (9.19g) added. The mixture was stirred at 20°C for 24 hours, then hydrochloric acid (2M) added and the stirring continued for a further 1 hour. The organic phase was washed with hydrochloric acid (2 M) and water, dried (magnesium sulphate) and 4-toluenesulphonic acid (0.5g) and toluene were added. The mixture was stirred at reflux for 2 hours, cooled and washed in turn with saturated sodium bicarbonate solution and water, dried (magnesium sulphate) and evaporated to give 3-cyclopropyl-1-(4-fluoro-2-trifluoromethylphenyl)propan-1,3-dione (11.50g) as a red oil, NMR 1.0(m,2H), 1.2(m,2H), 1.7(m,1H), 5.8(s,1H), 7.2-7.6(m,3H).

By proceeding in a similar manner the following compounds were also prepared:

3-cyclopropyl-1-(2-fluoro-4-trifluoromethylphenyl)propan-1,3-dione, NMR 1.0(m,2H), 1.22(m,2H), 6.43(s,1H), 7.38(dd,1H), 7.48(d,1H), 8.08(m,1H);

3-cyclopropyl-1-(4-fluoro-2-iodophenyl)propan-1,3-dione, NMR 1.05(m,2H), 1.25(m,2H), 1.78(m,1H), 5.95(s,1H), 7.12(m,1H), 7.43(m,1H), 7.65(m,1H);

3-cyclopropyl-1-(4-fluoro-2-methylphenyl)propan-1,3-dione,  
NMR 0.98(m,2H), 1.20(m,2H), 1.75(m,1H), 2.50(s,3H), 5.90(s,1H),  
6.92(m,2H), 7.48(m,1H);

5 1-(2-chloro-4-fluorophenyl)-3-cyclopropylpropan-1,3-dione,  
NMR 1.02(m,2H), 1.22(m,2H), 1.68(m,1H), 6.15(s,1H), 7.05(m,1H),  
7.20(m,1H), 7.65(m,1H);

1-(2-benzyl-4-fluorophenyl)-3-cyclopropylpropan-1,3-dione,  
NMR 0.98(m,2H), 1.16(m,2H), 1.68(m,1H), 4.20(s,2H), 5.82(s,1H),  
6.80-7.50(m,8H);

10 3-cyclopropyl-1-(4-fluoro-3-methoxy-2-methylthiophenyl)propan-  
1,3-dione, NMR 0.98(m,2H), 1.31(m,2H), 1.82(m,1H), 2.41(s,3H),  
4.05(s,3H), 6.02(s,1H), 7.10-7.40(m,2H), 16.0(bs,1H);

3-cyclopropyl-1-(4-fluoro-2-isobutylphenyl)propan-1,3-dione,  
NMR 0.87(d,6H), 0.98(m,2H), 1.31(m,2H), 1.74(m,1H), 1.85(m,1H),  
15 2.72(d,2H), 5.88(s,1H), 6.90-6.99(m,2H), 7.41(q,1H);

1-(3-bromo-4-fluoro-2-methylthiophenyl)-3-cyclopropylpropan-  
1,3-dione, NMR 1.01(m,2H), 1.23(m,2H), 1.76(m,1H), 2.45(s,3H),  
5.97(s,1H), 7.10-7.40(m,2H);

20 3-cyclopropyl-1-(3,4-difluoro-2-methylthiophenyl)propan-1,3-  
dione, NMR 0.98(m,2H), 1.25(m,2H), 1.75(m,1H), 2.52(s,3H),  
6.02(s,1H), 7.10-7.40(m,2H);

3-cyclopropyl-1-[2,3-bis-(methylthio)-4-fluorophenyl]propan-1,3-  
dione, NMR 0.98(m,2H), 1.25(m,2H), 1.82(m,1H), 2.42(s,3H),  
2.51(s,3H), 5.95(s,1H), 7.09(t,1H), 7.32(q,1H);

25 3-cyclopropyl-1-(4-fluoro-1-naphthyl)propan-1,3-dione;

3-cyclopropyl-1-(2-ethyl-4-fluoro-3-methylthiophenyl)propan-1,3-  
dione, as an orange oil, NMR 0.95(m,2H), 1.15(m,2H), 1.7(m,1H),  
2.4(s,3H), 3.05(q,2H), 5.85(s,1H), 7.0(t,1H), 7.3(t,1H), 16.0(bs,1H);

30 3-cyclopropyl-1-[8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-  
yl]propan-1,3-dione, NMR 0.9(m,2H), 1.1(m,2H), 1.6(m,1H), 3.0(t,2H),  
4.5(t,2H), 6.0(s,1H), 7.2(d,1H), 7.5(d,1H), 8.0(s,1H), 8.7(s,1H),  
15.9(bs,1H);

35 3-cyclopropyl-1-[2-methylthio-4-(1,2,4-triazol-1-  
yl)phenyl]propan-1,3-dione, NMR 0.9(m,2H), 1.2(m,2H), 1.7(m,1H),  
2.5(s,3H), 6.1(s,1H), 7.3(d,1H), 7.6(m,2H), 8.1(s,1H), 8.6(s,1H),  
16.0(bs,1H);



3-cyclopropyl-1-[2-methyl-4-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione;

3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylphenyl]propan-1,3-dione, NMR 0.9(m,2H), 1.1(m,2H), 1.5(m,1H), 3.7(s,1H), 5.5(s,1H), 7.1(d,1H), 7.2(m,1H), 7.7(m,1H), 8.1(s,1H), 8.3(s,1H); and

3-cyclopropyl-1-[8-fluoro-1,4-benzoxathian-5-yl]propan-1,3-dione, NMR 1.2(m,2H), 1.4(m,2H), 1.7(m,1H), 3.0(t,2H), 4.5(t,2H), 6.0(s,1H), 6.8(m,1H), 7.1-7.3(m,1H), 16.0(bs,1H).

#### **Reference Example 4**

A mixture of 3-cyclopropyl-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione (1.56g) and N,N-dimethylformamide-dimethylacetal (5 ml) in toluene was heated at 80°C for 3 hours. The mixture was then evaporated to give 3-cyclopropyl-2-dimethylaminomethylene-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione. This was used directly in the next stage.

By proceeding in a similar manner the following compounds were also prepared:

3-cyclopropyl-2-dimethylaminomethylene-1-[2-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione;

3-cyclopropyl-2-dimethylaminomethylene-1-[2-(pyrazol-1-yl)phenyl]propan-1,3-dione;

3-cyclopropyl-2-dimethylaminomethylene-1-[8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-yl]propan-1,3-dione;

3-cyclopropyl-2-dimethylaminomethylene-1-[2-methylthio-4-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione;

3-cyclopropyl-2-dimethylaminomethylene-1-[2-methyl-4-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione; and

3-cyclopropyl-2-dimethylaminomethylene-1-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylphenyl]propan-1,3-dione.

#### **Reference Example 5**

A solution of methyl [4-(1,2,4-triazol-1-yl)-2-trifluoromethyl]benzoate (1.73g) and methyl cyclopropyl ketone (1.07g) in tetrahydrofuran (10ml) was added dropwise to a suspension of sodium hydride (60% dispersion in mineral oil, 0.55g) in

tetrahydrofuran at 60°C. The mixture was refluxed for 2 hours and then cooled to 20°C. Ether and dilute citric acid were added and the organic layer washed (water), dried (magnesium sulfate) and evaporated. The residue was purified by chromatography eluting with ethyl acetate/hexane to give 3-cyclopropyl-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione.

By proceeding in a similar manner the following compounds were also prepared:

3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione, NMR 0.95(m,2H), 1.10(m,1H), 1.60(m,1H), 5.51(s,1H), 7.50-7.78(m,4H), 8.12(s,1H), 8.30(s,1H);

3-cyclopropyl-1-[2-(pyrazol-1-yl)phenyl]propan-1,3-dione, NMR 0.90(m,2H), 1.05(m,2H), 1.52(m,1H), 5.28(s,1H), 6.40-6.52(m,2H), 7.41-8.5(m,5H); and

3-cyclopropyl-1-[4-fluoro-2-methylthiomethylphenyl]propan-1,3-dione, NMR 1.05(m,2H), 1.25(m,1H), 1.65(m,1H), 2.06(s,3H), 3.98(s,2H), 6.02(s,1H), 6.95-7.43(m,3H), 16.20(br.s,1H).

#### **Reference Example 6**

To a solution of methyl 4-fluoro-2-trifluoromethylbenzoate (4.95g) in N,N-dimethylformamide was added 1,2,4-triazole (2.0g) and potassium carbonate (4.0g) at 20°C and the mixture stirred for 4 hours at 60°C. The mixture was diluted (ether), washed (aqueous citric acid) and dried (magnesium sulfate). The residue was purified by chromatography to give methyl 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoate (5.07g), NMR 3.98(s,3H), 7.94-8.05(2H), 8.15(2H), 8.71(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

methyl 8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-carboxylate, NMR 3.2(t,2H), 3.9(s,3H), 4.5(t,2H), 7.6(d,1H), 7.8(d,1H), 8.1(s,1H), 8.8(s,1H).

By proceeding in a similar manner but using 1,2,4-triazole 1-sodium salt the following compounds were also prepared:

methyl 2-methylthio-4-(1,2,4-triazol-1-yl)benzoate, mp 155-156°C;

methyl 2-methyl-4-(1,2,4-triazol-1-yl)benzoate, mp 106-107°C.

**Reference Example 7**

A solution of lithium hydroxide monohydrate (0.16g) in water was added to a solution of methyl 8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-carboxylate (0.36g) in methanol and stirred at 20°C for 18 hours. The mixture was evaporated, diluted (water), washed (ether) and acidified with hydrochloric acid to give 8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-carboxylic acid (0.32g), mp303-305°C.

By proceeding in a similar manner but using potassium hydroxide as base the following compounds were also prepared:

2-methylthio-4-(1,2,4-triazol-1-yl)benzoic acid, NMR 2.5(s,3H), 7.7(m,2H), 8.0(d,1H), 8.3(s,1H), 9.5(s,1H), 13.2(bs,1H);

2-methyl-4-(1,2,4-triazol-1-yl)benzoic acid, NMR 2.6(s,3H), 7.8(d,1H), 7.9(s,1H), 8.0(d,1H), 8.3(s,1H), 9.4(s,1H), 13.0(bs,1H);

2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoic acid, NMR 7.9-8.1(m,3H), 8.2(s,1H), 9.0(s,1H), 13.6(bs,1H); and

8-fluoro-1,4-benzoxathian-5-carboxylic acid, NMR 3.0(t,2H), 4.4(t,2H), 6.8(m,1H), 7.6(m,1H).

**Reference Example 8**

A solution of methyl 3-(2-chloroethoxy)-4-fluoro-2-methylthiobenzoate (3.46g) in N,N-dimethylformamide was heated at 140°C for 18 hours, cooled, diluted (water) and extracted (ether). The extract was washed (water), dried (magnesium sulphate) and evaporated to give methyl 8-fluoro-1,4-benzoxathian-5-carboxylate (2.96g), NMR 3.1(t,2H), 3.9(s,3H), 4.5(t,2H), 6.8(m,1H), 7.6(m,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 8-fluoro-1,4-benzoxathian-5-carboxylate, NMR 1.4(t,3H), 3.1(m,2H), 4.3(q,2H), 4.5(m,2H), 6.8(m,1H), 7.6(m,1H).

**Reference Example 9**

A mixture of methyl 4-fluoro-3-hydroxy-2-methylthiobenzoate (3.06g), 2-bromo-1-chloroethane (1.3ml) and potassium carbonate (2.15g) was stirred in dry acetonitrile at reflux for 18 hours, cooled, diluted (water), brought to pH 11 with sodium hydroxide (2M) and extracted (ether). The organic phase was washed (water), dried (magnesium sulphate) and evaporated to give methyl 3-(2-

chloroethoxy)-4-fluoro-2-methylthiobenzoate (3.46g), used directly in the next stage.

By proceeding in a similar manner the following compound was also prepared:

ethyl 3-(2-chloroethoxy)-2,4-difluorobenzoate, NMR 1.4(t,3H), 3.8(m,2H), 4.3-4.4(m,4H), 6.9(m,1H), 7.7(m,1H).

#### **Reference Example 10**

A mixture of ethyl 3-(2-chloroethoxy)-2,4-difluorobenzoate (54.5g), and sodium methoxide (15.9g) in dry tetrahydrofuran was stirred at 20°C for 2 days under nitrogen, evaporated and mixed with ether and water. The organic phase was washed (water), dried (magnesium sulphate) and evaporated to give ethyl 3-(2-chloroethoxy)-4-fluoro-2-methylthiobenzoate (59.9g), NMR 1.4(t,3H), 2.5(s,3H), 3.8(m,2H), 4.3-4.4(m,4H), 7.0(m,1H), 7.3(m,1H).

#### **Reference Example 11**

By proceeding according to the method in Example 4 there was prepared from methyl 2-fluoro-4-trifluoromethylbenzoate, 2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoic acid, NMR 3.8(s,3H), 7.8(m,2H), 8.1(m,2H), 8.4(s,1H).

#### **Reference Example 12**

To a suspension of 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoic acid (2.57g) and a catalytic amount of N,N-dimethylformamide in dichloromethane was added oxalyl chloride (2.2ml) dropwise at 20°C. The mixture was stirred for 3 hours and evaporated. To a suspension of the residue in acetone was added 1,3-dimethyl-5-hydroxy-1H-pyrazole (1.23g) and potassium carbonate (0.76g) dropwise at 20°C. The mixture was stirred for 0.5 hour and water and dichloromethane added. The organic layer was dried (magnesium sulfate), evaporated and the residue purified by chromatography to give 1,3-dimethyl-5-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyloxy]-1H-pyrazole (1.93g), NMR 2.27(s,3H), 3.71(s,3H), 6.12(s,1H), 8.05-8.28(4H), 8.76(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

1-methyl-5-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyloxy]-1H-pyrazole, NMR 3.8(s,3H), 6.33(1H), 7.48(1H), 8.08(d,1H), 8.2(d,1H), 8.21(s,1H), 8.76(s,1H); and

1,3-dimethyl-5-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyloxy]-1H-pyrazole, NMR 2.28 (s, 3H), 3.75 (s, 3H), 7.77 (d, 1H), 7.99 (s, 1H), 8.16-8.25 (m, 2H), 8.69 (s, 1H).

#### **Reference Example 13**

To a solution of methyl 4-fluoro-2-trifluoromethylbenzoate (4.95g) in N,N-dimethylformamide was added 1,2,4-triazole (2.0g) and potassium carbonate (4.0g) at 20°C and the mixture stirred for 4 hours at 60°C. The mixture was diluted (ether), washed (aqueous citric acid) and dried (magnesium sulfate). The residue was purified by chromatography to give methyl 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoate (5.07g), NMR 3.98(s,3H), 7.94-8.05(2H), 8.15(2H), 8.71(s,1H).

By proceeding in a similar manner the following compound was also prepared:

methyl 2-chloro-4-(1,2,4-triazol-1-yl)benzoate, NMR 3.97 (s, 3H), 7.68 (d, 1H), 7.89 (s, 1H), 8.02 (s, 1H), 8.14 (s, 1H), 8.70 (s, 1H).

#### **Reference Example 14**

To a solution of methyl 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoate (5.07g) in methanol was added aqueous sodium hydroxide (1.50g) at 20°C. The mixture was stirred for 4 hours, partially evaporated and extracted with ether. The aqueous layer was acidified (aqueous citric acid) and extracted with ethyl acetate. The organic layer was dried (magnesium sulfate), and evaporated to give 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoic acid (3.32g), NMR 8.25(1H), 8.34-8.42(3H), 10.00(s,1H).

By proceeding in a similar manner the following compound was also prepared:

2-chloro-4-(1,2,4-triazol-1-yl)benzoic acid, NMR 7.71 (d, 1H), 7.93 (s, 1H), 8.05-8.18 (m, 1H), 8.82 (s, 1H).

According to a further feature of the present invention, there is provided a method for controlling the growth of weeds (i.e. undesired vegetation) at a locus which comprises applying to the locus a

herbicidally effective amount of at least one phenyl derivative of formula (I) or an agriculturally acceptable salt or metal complex thereof. For this purpose, the phenyl derivatives are normally used in the form of herbicidal compositions (i.e. in association with compatible diluents or carriers and/or surface active agents suitable for use in herbicidal compositions), for example as hereinafter described.

The compounds of formula (I) show herbicidal activity against dicotyledonous (i.e. broad-leafed) and monocotyledonous (i.e. grass) weeds by pre- and/or post-emergence application.

By the term "pre-emergence application" is meant application to the soil in which the weed seeds or seedlings are present before emergence of the weeds above the surface of the soil. By the term "post-emergence application" is meant application to the aerial or exposed portions of the weeds which have emerged above the surface of the soil.

For example, the compounds of formula (I) may be used to control the growth of:

broad-leafed weeds, for example, Abutilon theophrasti, Amaranthus retroflexus, Bidens pilosa, Chenopodium album, Galium aparine, Ipomoea spp. e.g. Ipomoea purpurea, Sesbania exaltata, Sinapis arvensis, Solanum nigrum and Xanthium strumarium, and

grass weeds, for example Alopecurus myosuroides, Avena fatua, Digitaria sanguinalis, Echinochloa crus-galli, Sorghum bicolor, Eleusine indica and Setaria spp. e.g. Setaria faberii or Setaria viridis, and sedges, for example, Cyperus esculentus.

The amounts of compounds of formula (I) applied vary with the nature of the weeds, the compositions used, the time of application, the climatic and edaphic conditions and (when used to control the growth of weeds in crop-growing areas) the nature of the crops. When applied to a crop-growing area, the rate of application should be sufficient to control the growth of weeds without causing substantial permanent damage to the crop. In general, taking these factors into account, application rates from 0.01kg to 2kg of active material per hectare give good results. However, it is to be understood that higher or lower application rates may be used, depending upon the particular problem of weed control encountered.

The compounds of formula (I) may be used to control selectively the growth of weeds, for example to control the growth of those species hereinbefore mentioned, by pre- or post-emergence application in a directional or non-directional fashion, e.g. by directional or non-directional spraying, to a locus of weed infestation which is an area used, or to be used, for growing crops, for example cereals, e.g. wheat, barley, oats, maize and rice, soya beans, field and dwarf beans, peas, lucerne, cotton, peanuts, flax, onions, carrots, cabbage, oilseed rape, sunflower, sugar beet, and permanent or sown grassland before or after sowing of the crop or before or after emergence of the crop. For the selective control of weeds at a locus of weed infestation which is an area used, or to be used, for growing of crops, e.g. the crops hereinbefore mentioned, application rates from 0.01kg to 1.0kg, and preferably from 0.025kg to 0.25kg, of active material per hectare are particularly suitable.

The compounds of formula (I) may also be used to control the growth of weeds, especially those indicated above, by pre- or post-emergence application in established orchards and other tree-growing areas, for example forests, woods and parks, and plantations, e.g. sugar cane, oil palm and rubber plantations. For this purpose they may be applied in a directional or non-directional fashion (e.g. by directional or non-directional spraying) to the weeds or to the soil in which they are expected to appear, before or after planting of the trees or plantations at application rates from 0.25kg to 2.0kg, and preferably from 0.25kg to 1.0kg of active material per hectare.

The compounds of formula (I) may also be used to control the growth of weeds, especially those indicated above, at loci which are not crop-growing areas but in which the control of weeds is nevertheless desirable.

Examples of such non-crop-growing areas include airfields, industrial sites, railways, roadside verges, the verges of rivers, irrigation and other waterways, scrublands and fallow or uncultivated land, in particular where it is desired to control the growth of weeds in order to reduce fire risks. When used for such purposes in which a total herbicidal effect is frequently desired, the active compounds are normally applied at dosage rates higher than those used in crop-growing

areas as hereinbefore described. The precise dosage will depend upon the nature of the vegetation treated and the effect sought.

Pre- or post-emergence application, and preferably pre-emergence application, in a directional or non-directional fashion (e.g. by  
5 directional or non-directional spraying) at application rates from 0.5kg to 2.0kg, and preferably from 0.5kg to 1.0kg, of active material per hectare are particularly suitable for this purpose.

When used to control the growth of weeds by pre-emergence application, the compounds of formula (I) may be incorporated into the  
10 soil in which the weeds are expected to emerge. It will be appreciated that when the compounds of formula (I) are used to control the growth of weeds by post-emergence application, i.e. by application to the aerial or exposed portions of emerged weeds, the compounds of formula (I) will also normally come into contact with the soil and may also then  
15 exercise a pre-emergence control on later-germinating weeds in the soil.

Where especially prolonged weed control is required, the application of the compounds of formula (I) may be repeated if required.

According to a further feature of the present invention, there are provided compositions suitable for herbicidal use comprising one or  
20 more of the phenyl derivatives of formula (I) or an agriculturally acceptable salt or metal complex thereof, in association with, and preferably homogeneously dispersed in, one or more compatible agriculturally- acceptable diluents or carriers and/or surface active agents [i.e. diluents or carriers and/or surface active agents of the type  
25 generally accepted in the art as being suitable for use in herbicidal compositions and which are compatible with compounds of formula (I)]. The term "homogeneously dispersed" is used to include compositions in which the compounds of formula (I) are dissolved in other components. The term "herbicidal compositions" is used in a broad sense to include  
30 not only compositions which are ready for use as herbicides but also concentrates which must be diluted before use. Preferably, the compositions contain from 0.05 to 90% by weight of one or more compounds of formula (I).

The herbicidal compositions may contain both a diluent or carrier  
35 and surface-active (e.g. wetting, dispersing, or emulsifying) agent. Surface-active agents which may be present in herbicidal compositions



of the present invention may be of the ionic or non-ionic types, for example sulphuric acid esters, quaternary ammonium derivatives, products based on condensates of ethylene oxide with alkyl and polyaryl phenols, e.g. nonyl- or octyl-phenols, or carboxylic acid esters of anhydrosorbitols which have been rendered soluble by etherification of the free hydroxy groups by condensation with ethylene oxide, alkali and alkaline earth metal salts of sulphuric acid esters and sulphonic acids such as dinonyl- and dioctyl-sodium sulphonosuccinates and alkali and alkaline earth metal salts of high molecular weight sulphonic acid derivatives such as sodium and calcium lignosulphonates and sodium and calcium alkylbenzene sulphonates.

Suitably, the herbicidal compositions according to the present invention may comprise up to 10% by weight, e.g. from 0.05% to 10% by weight, of surface-active agent but, if desired, herbicidal compositions according to the present invention may comprise higher proportions of surface-active agent, for example up to 15% by weight in liquid emulsifiable suspension concentrates and up to 25% by weight in liquid water soluble concentrates.

Examples of suitable solid diluents or carriers are aluminium silicate, talc, calcined magnesia, kieselguhr, tricalcium phosphate, powdered cork, absorbent carbon black and clays such as kaolin and bentonite. The solid compositions (which may take the form of dusts, granules or wettable powders) are preferably prepared by grinding the compounds of formula (I) with solid diluents or by impregnating the solid diluents or carriers with solutions of the compounds of formula (I) in volatile solvents, evaporating the solvents and, if necessary, grinding the products so as to obtain powders. Granular formulations may be prepared by absorbing the compounds of formula (I) (dissolved in suitable solvents, which may, if desired, be volatile) onto the solid diluents or carriers in granular form and, if desired, evaporating the solvents, or by granulating compositions in powder form obtained as described above. Solid herbicidal compositions, particularly wettable powders and granules, may contain wetting or dispersing agents (for example of the types described above), which may also, when solid, serve as diluents or carriers.

Liquid compositions according to the invention may take the form of aqueous, organic or aqueous-organic solutions, suspensions and emulsions which may incorporate a surface-active agent. Suitable liquid diluents for incorporation in the liquid compositions include water, glycols, tetrahydrofurfuryl alcohol, acetophenone, cyclohexanone, isophorone, toluene, xylene, mineral, animal and vegetable oils and light aromatic and naphthenic fractions of petroleum (and mixtures of these diluents). Surface-active agents, which may be present in the liquid compositions, may be ionic or non-ionic (for example of the types described above) and may, when liquid, also serve as diluents or carriers.

Powders, dispersible granules and liquid compositions in the form of concentrates may be diluted with water or other suitable diluents, for example mineral or vegetable oils, particularly in the case of liquid concentrates in which the diluent or carrier is an oil, to give compositions ready for use.

Liquid concentrates in which the diluent or carrier is an oil may be used without further dilution using the electrostatic spray technique.

Herbicidal compositions according to the present invention may also contain, if desired, conventional adjuvants such as adhesives, protective colloids, thickeners, penetrating agents, spreading agents, stabilisers, sequestering agents, anti-caking agents, colouring agents and corrosion inhibitors. These adjuvants may also serve as carriers or diluents.

Herbicidal compositions according to the present invention may also comprise the compounds of formula (I) in association with, and preferably homogeneously dispersed in, one or more other pesticidally active compounds and, if desired, one or more compatible pesticidally acceptable diluents or carriers, surface-active agents and conventional adjuvants as hereinbefore described.

Examples of other pesticidally active compounds which may be included in, or used in conjunction with, the herbicidal compositions of the present invention include herbicides, for example to increase the range of weed species controlled for example alachlor [2-chloro-2,6'-diethyl-N-(methoxy-methyl)-acetanilide], atrazine [2-chloro-4-ethylamino-6-isopropylamino-1,3,5-triazine], bromoxynil [3,5-dibromo-

4-hydroxybenzonitrile], chlortoluron [N'-(3-chloro-4-methylphenyl)-N,N-dimethylurea], cyanazine [2-chloro-4-(1-cyano-1-methylethylamino)-6-ethylamino-1,3,5-triazine], 2,4-D [2,4-dichlorophenoxy-acetic acid], dicamba [3,6-dichloro-2-methoxybenzoic acid], difenzoquat [1,2-dimethyl-3,5-diphenyl-pyrazolium salts], flumeturon [methyl N-2-(N-benzoyl-3-chloro-4-fluoroanilino)-propionate], isoproturon [N'-(4-isopropylphenyl)-N,N-dimethylurea], insecticides, e.g. synthetic pyrethroids, e.g. permethrin and cypermethrin, and fungicides, e.g. carbamates, e.g. methyl N-(1-butyl-carbamoyl-benzimidazol-2-yl)carbamate, and triazoles e.g. 1-(4-chloro-phenoxy)-3,3-dimethyl-1-(1,2,4-triazol-1-yl)-butan-2-one.

Pesticidally active compounds and other biologically active materials which may be included in, or used in conjunction with, the herbicidal compositions of the present invention, for example those hereinbefore mentioned, and which are acids, may, if desired, be utilised in the form of conventional derivatives, for example alkali metal and amine salts and esters.

The following Examples illustrate herbicidal compositions according to the present invention. The following trade marks appear in the Examples: Synperonic, Solvesso, Arylan, Arkopon, Sopropo, Tixosil, Soprophor, Attagel, Rhodorsil.

#### **Example C1:**

An emulsifiable concentrate is formed from:

Active ingredient (Compound 1)	20% w/v
N-Methylpyrrolidinone (NMP)	25% w/v
Calcium dodecylbenzenesulphonate 70% (CaDDBS) (Arylan CA)	4% w/v
Nonylphenol ethylene oxide propylene oxide condensate (NPEOPO) (Synperonic NPE 1800)	6% w/v
Aromatic solvent (Solvesso)	to 100 volumes

by stirring NMP, active ingredient (Compound 1), CaDDBS, NPEOPO and Aromatic solvent until a clear solution is formed, and adjusting to volume with Aromatic solvent.

**Example C2**

A wettable powder is formed from:

	Active ingredient (Compound 1)	50% w/w
5	Sodium dodecylbenzenesulphonate (Arylan SX85)	3% w/w
	Sodium methyl oleoyl taurate (Arkopon T)	5% w/w
	Sodium polycarboxylate (Sopropon T36)	1% w/w
	Microfine silicon dioxide (Tixosil 38)	3% w/w
10	China clay	38% w/w

by blending the above ingredients together and grinding the mixture in an air jet mill.

**Example C3**

15 A suspension concentrate is formed from:

	Active ingredient (Compound 1)	50% w/v
	Antifreeze (Propylene glycol)	5% w/v
	Ethoxylated tristyrylphenol phosphate (Soprophor FL)	0.5% w/v
20	Nonyl phenol 9 mole ethoxylate (Ethylan BCP)	0.5% w/v
	Sodium polycarboxylate (Sopropon T36)	0.2% w/v
	Attaclay (Attagel)	1.5% w/v
	Antifoam (Rhodorsil AF426R)	0.003% w/v
25	Water	to 100 volumes

by stirring the above ingredients together and milling in a bead mill.

**Example C4**

30 A water dispersible granule is formed from:

	Active ingredient (Compound 1)	50% w/w
	Sodium dodecylbenzenesulphonate (Arylan SX 85)	3% w/w
	Sodium methyl oleoyl taurate (Arkopon T)	5% w/w
35	Sodium polycarboxylate (Sopropon T36)	1% w/w
	Binder (Sodium lignosulphonate)	8% w/w

China clay	30% w/w
Microfine silicon dioxide (Tixosil 38)	3% w/w

by blending the above ingredients together, grinding the mixture in an air jet mill and granulating by addition of water in a suitable granulation plant (e.g. Fluid bed drier) and drying. Optionally the active ingredient may be ground either on its own or admixed with some or all of the other ingredients.

The compounds of the invention have been used in herbicidal applications according to the following procedures.

#### **METHOD OF USE OF HERBICIDAL COMPOUNDS:**

##### **TEST METHOD A**

###### **a) General**

Appropriate quantities of the compounds used to treat the plants were dissolved in acetone to give solutions equivalent to application rates of up to 1000g test compound per hectare (g/ha). These solutions were applied from a standard laboratory herbicide sprayer delivering the equivalent of 290 litres of spray fluid per hectare. The weed species used in the test were as follows:-

Broadleaf weeds: Abutilon theophrasti, Amaranthus retroflexus, Galium aparine, Ipomoea purpurea, Xanthium strumarium;

Grassweeds: Alopecurus myosuroides, Avena fatua, Echinochloa crus-galli, Setaria viridis;

Sedges: Cyperus esculentus.

The crop species used in the test were as follows:-

Cotton, Soya, Maize, Rice and Wheat.

###### **b) Weed control : Pre-emergence**

The seeds were sown in 70 mm square, 75 mm deep plastic pots in non-sterile soil .

The compounds of the invention were applied to the soil surface, containing the seeds, as described in (a). A single pot of each crop and each weed was allocated to each treatment, with unsprayed controls and controls sprayed with acetone alone.

After treatment the pots were placed on capillary matting kept in a glass house, and watered overhead. Visual assessment of crop damage was made 20-24 days after spraying. The results were expressed as the percentage reduction in growth or damage to the crop or weeds, in comparison with the plants in the control pots.

c) Weed control : Post-emergence

The weeds and crops were sown directly into John Innes potting compost in 75 mm deep, 70 mm square pots except for Amaranthus which was pricked out at the seedling stage and transferred to the pots one week before spraying. The plants were then grown in the greenhouse until ready for spraying with the compounds used to treat the plants.

The compounds used to treat the plants were applied to the plants as described in (a). A single pot of each crop and weed species was allocated to each treatment, with unsprayed controls and controls sprayed with acetone alone.

After treatment the pots were placed on capillary matting in a glass house, and watered overhead once after 24 hours and then by controlled sub-irrigation. Visual assessment of crop damage and weed control was made 20-24 days after spraying. The results were expressed as the percentage reduction in growth or damage to the crop or weeds, in comparison with the plants in the control pots.

**TEST METHOD B**

**Paddy post-emergence application in greenhouse**

Paddy field soil was filled in 170 cm<sup>2</sup> plastic pots, a suitable amount of water and chemical fertilisers were added thereto and kneaded to convert it to a state of a paddy.

Paddy rice plants (variety; Koshihikari), that had been grown in advance in a greenhouse to a stage of two leaves, were transplanted to each pot (two seedlings per pot). Then in each pot there were sown predetermined amounts of seeds of Echinochloa oryzicola, Monochoria

vaginalis, Lindernia procumbens and Scirpus juncoides respectively, and water was added to a depth of 3 cm.

After having grown the plants in a greenhouse until Echinochloa oryzicola reached a stage of 1.5 leaves, solutions were prepared in 100% acetone using compounds described in the Examples so that they contained active ingredients in an amount equivalent to 75, 300 and 1200 g/ha. The solutions were applied by dropping with a pipette.

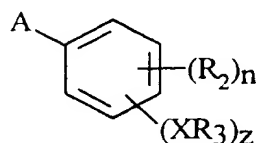
After 21 days from the application with the chemicals, herbicidal effects on each weed and phytotoxicity on paddy rice plants were visually assessed, and the results expressed as the percentage reduction in growth or damage to the crop or weeds in comparison with the plants in the control pots.

When applied pre- or post-emergence in Test Method A at 1000g/ha or less compounds 1, 4-6, 8, 12, 15-17, 19-29, 39, 502, 517, 546 and 1116-1128 of the invention gave at least 80% reduction in growth of one or more of the weed species; at levels of application toxic to the weeds these compounds were selective in at least one of the crop species.

When applied at 1200 g/ha or less, in Test Method B, compounds 1-15, 18-27, 49, 501-507, 509-517, 546 and 1116-1132 of the invention gave at least 90% reduction in growth of one or more of the weed species listed above.

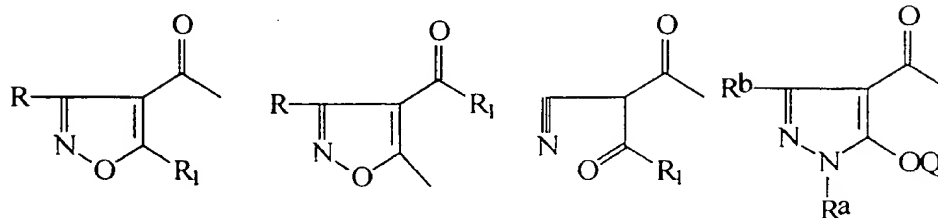
**CLAIMS**

1. A phenyl derivative of formula (I):



(I)

wherein A represents a group (A-1), (A-2), (A-3) or (A-4):



(A-1)

(A-2)

(A-3)

(A-4);

z represents one or two; when z represents two the  $\text{XR}^3$  groups

may be the same or different;

R represents hydrogen or  $-\text{CO}_2\text{R}^4$ ;

$\text{R}^1$  represents:-

lower alkyl or lower haloalkyl; or

a cycloalkyl group containing from three to six carbon atoms optionally substituted by one or more  $\text{R}^{12}$  groups or one or more halogen atoms;

$\text{R}^a$  represents lower alkyl, lower haloalkyl, lower alkenyl or lower alkynyl;

$\text{R}^b$  represents hydrogen, lower alkyl, lower haloalkyl, lower alkoxy, halogen,  $-\text{S}(\text{O})_x\text{R}^4$ ,  $-\text{CO}_2\text{R}^4$ ,  $-\text{CH}_2\text{OR}^4$ ,  $-\text{CH}_2\text{SR}^4$  or

cyclopropyl;

x represents zero, one or two;

$\text{R}^2$  represents:-

halogen;

lower alkyl which is substituted by one or more groups  $-\text{OR}^5$ ;

a cycloalkyl group containing from three to six carbon atoms; or a group selected from nitro, cyano,  $-\text{CO}_2\text{R}^5$ ,  $-\text{NR}^5\text{R}^6$ ,  $-\text{S}(\text{O})_p\text{R}^7$ ,  $-\text{O}(\text{CH}_2)_m\text{OR}^5$ ,  $-\text{COR}^5$ ,  $-\text{N}(\text{R}^8)\text{SO}_2\text{R}^7$ ,  $-\text{OR}^7$ ,  $-\text{OH}$ ,  $-\text{OSO}_2\text{R}^7$ ,  $-(\text{CR}^9\text{R}^{10})_t\text{S}(\text{O})_q\text{R}^7$ ,  $-\text{CONR}^5\text{R}^6$ ,  $-\text{N}(\text{R}^8)-\text{C}(\text{Z})=\text{Y}$ ,



-C(R<sup>9</sup>R<sup>10</sup>)NR<sup>8</sup>R<sup>11</sup>, -CH<sub>2</sub>P(=O)R<sup>5a</sup>R<sup>5b</sup>, R<sup>12</sup>, SF<sub>5</sub> and benzyl optionally substituted by from one to five groups R<sup>13</sup> which may be the same or different;

or two groups R<sup>2</sup>, together with adjacent carbon atoms of the phenyl ring form a second phenyl ring or a 5- or 6-membered saturated or unsaturated heterocyclic ring which is fused to the first ring and contains one or two oxygen or sulphur atoms and is optionally substituted by one or more halogen, lower alkyl, lower haloalkyl or lower alkoxy groups, or one of the ring carbon atoms of the heterocyclic ring forms part of a carbonyl group or an oxime or lower alkoxyimine derivative thereof; (examples of the optionally substituted fused ring systems when formed include thiochroman, chroman, 2H-thiochromene, 2H-chromene, 4H-thiochromene, 4H-chromene, isothiochroman, isochroman, isothiochromene, isochromene, 1,3-benzodithiole, 1,3-benzodioxole, 1,3-benzoxathiole, 1,4-benzodithiin, 1,4-benzoxathiin, 1,4-benzoxathian, 1,3-benzoxathian, 3,1-benzoxathian and 1,3-benzodithian);

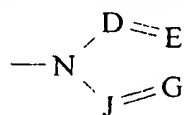
n represents zero or an integer from one to three; where n is greater than one the groups R<sup>2</sup> may be the same or different;

m represents one, two or three;

p and q represent zero, one or two;

t represents one, two, three or four (preferably one); when t is more than one the R<sup>9</sup> and R<sup>10</sup> groups may be the same or different;

R<sup>3</sup> represents a 5-membered heteroaromatic ring of formula (II):



(II)

in which D, E, G and J independently represent CR<sup>14</sup> or a nitrogen atom, with at least one of D, E, G and J representing CR<sup>14</sup> (when more than one CR<sup>14</sup> group is present they may be the same or different); or

two adjacent groups CR<sup>14</sup> may form a phenyl or 5- to 7-membered heteroaromatic ring which is fused to the first ring and is optionally substituted by one or more groups R<sup>15</sup>; and when present the 5- to 7- membered heterocyclic ring contains from one to four

heteroatoms in the ring which may be the same or different selected from nitrogen, oxygen and sulphur;

X represents  $-(CR^9R^{10})_v-$ ;

R<sup>4</sup> represents:-

lower alkyl or lower haloalkyl;

R<sup>5</sup> and R<sup>6</sup> which may be the same or different, each represents hydrogen or R<sup>12</sup>;

R<sup>5a</sup> and R<sup>5b</sup> which may be the same or different, each represents lower alkyl or lower alkoxy;

R<sup>7</sup> represents:-

R<sup>12</sup>; or a cycloalkyl group containing from three to six carbon atoms; or a group  $-(CH_2)_w$ -[phenyl optionally substituted by from one to five groups R<sup>13</sup> which may be the same or different];

w represents zero or one;

R<sup>8</sup> represents:-

hydrogen, R<sup>7</sup>, or OR<sup>16</sup>;

R<sup>9</sup> and R<sup>10</sup> independently represent hydrogen, lower alkyl or lower haloalkyl (preferably containing up to three carbon atoms);

R<sup>11</sup> represents  $-SO_2R^7$  or  $-C(Z)=Y$ ;

R<sup>12</sup> represents:-

lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl or lower haloalkynyl;

R<sup>13</sup> represents a group selected halogen, R<sup>17</sup>, nitro, cyano,  $-CO_2R^5$ ,  $-S(O)_pR^{16}$ ,  $-OR^{16}$  and  $-NR^5R^6$ ;

R<sup>14</sup> represents:-

a group selected from hydrogen, halogen, R<sup>17</sup>, nitro, cyano,  $-CO_2R^5$ ,  $-S(O)_pR^{16}$ ,  $-OR^{16}$ ,  $-NR^5R^6$  and cyclopropyl;

R<sup>15</sup> represents halogen, or R<sup>12</sup>;

R<sup>16</sup> represents lower alkyl or lower haloalkyl;

R<sup>17</sup> represents a straight- or branched- chain alkyl group containing one to three carbon atoms optionally substituted by one or more halogen atoms;

Y is oxygen or sulphur (preferably Y represents oxygen);

Z represents a group selected from R<sup>12</sup>,  $-NR^{18}R^{19}$ ,  $-SR^7$  and  $-OR^7$ ;

R<sup>18</sup> and R<sup>19</sup> independently represent hydrogen or R<sup>7</sup>;

Q represents hydrogen, lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl, lower haloalkynyl, -CH<sub>2</sub>CN, -SO<sub>2</sub>R<sup>4</sup>, -SO<sub>2</sub>R<sup>20</sup>, -(C<sub>5</sub>H<sub>25</sub>)C(O)R<sup>12</sup>, -(C<sub>u</sub>H<sub>2u</sub>)C(O)R<sup>20</sup>, -CH<sub>2</sub>OCO<sub>2</sub>R<sup>12</sup>, -CH<sub>2</sub>NHC(O)R<sup>12</sup>, -CH<sub>2</sub>NHCO<sub>2</sub>R<sup>12</sup>, -COCH<sub>2</sub>OC(O)R<sup>16</sup>, -COCH<sub>2</sub>OR<sup>12</sup>, -COCH<sub>2</sub>OR<sup>20</sup>, -CONR<sup>5</sup>R<sup>6</sup>, -CONR<sup>20</sup>R<sup>21</sup>, -CONR<sup>22</sup>R<sup>23</sup>, -CO<sub>2</sub>R<sup>12</sup>, -CO<sub>2</sub>R<sup>20</sup>, -P(O)R<sup>5a</sup>R<sup>5b</sup> and lower alkyl substituted by a group selected from -CONR<sup>9</sup>R<sup>10</sup>, OR<sup>9</sup>, -NR<sup>5</sup>R<sup>6</sup>, -CO<sub>2</sub>R<sup>9</sup>, R<sup>20</sup> and -S(O)<sub>p</sub>R<sup>12</sup>;

R<sup>20</sup> represents phenyl optionally substituted by one to five groups selected from halogen, lower alkyl, lower haloalkyl, lower alkoxy, lower haloalkoxy, nitro, cyano, -S(O)<sub>p</sub>R<sup>7</sup> and -NR<sup>5</sup>R<sup>6</sup>;

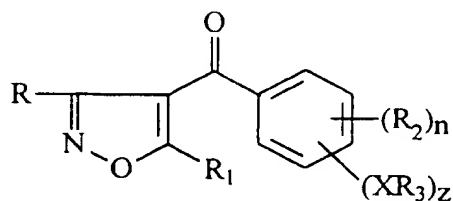
R<sup>21</sup> represents R<sup>5</sup> or R<sup>20</sup>;

R<sup>22</sup> and R<sup>23</sup> together with the adjacent nitrogen atom represent a piperidine, pyrrolidine, piperazine or morpholine ring;

s and u represent zero or one to six;

and wherein v represents the value zero when A represents a formula (A-1), (A-2) or (A-3); or when A represents a formula (A-4), v represents the value zero or one; or an agriculturally acceptable salt or metal complex thereof.

2. A compound according to claim 1 which is of formula (Ia):



(Ia)

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, n and z are as defined in claim 1; X represents -(CR<sup>9</sup>R<sup>10</sup>)<sub>v</sub>- and v represents zero.

3. A compound of formula (Ia) according to claim 1 or 2 in which R represents hydrogen or -CO<sub>2</sub>R<sup>4</sup>;

R<sup>1</sup> represents cyclopropyl or 1-methylcyclopropyl;

R<sup>2</sup> represents:-

a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or

a group selected from halogen, nitro,  $-S(O)_pR^7$ ,  $-OR^7$ ,  $-CH_2S(O)_qR^7$ ,  $-CH_2NR^8R^{11}$ ,  $-N(R^8)SO_2R^7$ ,  $-N(R^8)CO_2R^7$ ,  $-CH_2P(O)R^{5a}R^{5b}$  and benzyl optionally substituted by  $-S(O)_pR^{16}$ ; or two groups  $R^2$  together with adjacent carbon atoms of the phenyl ring form a second phenyl ring;

n represents zero, one or two;

$R^3$  represents a 5-membered heteroaromatic ring of formula (II) which is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3,4-tetrazol-1-yl, indazol-1-yl, benzotriazol-1-yl, benzimidazol-1-yl and indol-1-yl, which are substituted by one or two groups  $R^{14}$  (and wherein one or two  $R^3$  groups are present);

$R^4$  represents methyl or ethyl;

$R^7$  and  $R^8$  independently represent lower alkyl or lower haloalkyl;

$R^{11}$  represents  $-SO_2R^7$  or  $CO_2R^7$ ;

$R^{14}$  represents hydrogen, halogen, a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or  $-S(O)_pR^{16}$ ,  $NO_2$  or  $CO_2R^5$  wherein  $R^5$  represents lower alkyl; and

$R^{16}$  represents lower alkyl.

4. A compound of formula (Ia) according to any one of the preceding claims in which R represents hydrogen or  $-CO_2R^4$

wherein  $R^4$  represents ethyl;

$R^1$  represents cyclopropyl;

$R^2$  represents:-

halogen; a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or a group selected from  $-S(O)_pR^7$ ,  $-CH_2S(O)_qR^7$ ,  $-OR^7$  and benzyl optionally substituted by  $-S(O)_pR^{16}$ ; or two groups  $R^2$  together with adjacent carbon atoms of the phenyl ring form a second phenyl ring; or two groups  $R^2$  together with adjacent carbon atoms form a 1,4-benzoxathian ring;

$R^3$  represents a pyrazol-1-yl, imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl or benz-1,2,3-triazol-1-yl ring substituted by one or two  $R^{14}$  groups, wherein  $R^{14}$  represents hydrogen, optionally halogenated methyl or  $-S(O)_pR^{16}$ ;

$R^7$  and  $R^{16}$  represent methyl or ethyl; and

$n$  represents 0, 1 or 2.

5. A compound of formula (Ia) according to any one of the preceding claims in which  $R$  represents hydrogen or  $-CO_2R^4$  wherein  $R^4$  represents ethyl;

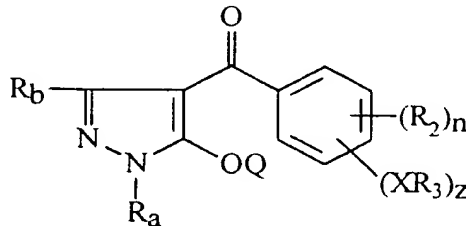
$R^1$  represents cyclopropyl;

$R^2$  represents:-

halogen, optionally halogenated methyl,  $-S(O)_pCH_3$ ,  $OCH_3$  or benzyl optionally substituted by  $-S(O)_pCH_3$ ;

$R^3$  represents imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl or 1,2,4-triazol-1-yl, optionally substituted on the ring carbon atoms by one or two methyl groups; and  $n$  represents one or two.

6. A compound according to claim 1 having the formula (Id):



(Id)

in which  $R^b$ ,  $R^2$ ,  $R^3$ ,  $Q$ ,  $X$ ,  $n$  and  $z$  are as defined in claim 1 and  $R^a$  represents methyl or ethyl.

7. A compound of formula (Id) according to claim 1 or 6 in which  $R^b$  represents hydrogen,  $C_{1-3}$  alkyl, haloalkyl or cyclopropyl.

8. A compound of formula (Id) according to claims 1, 6 or 7 in which  $R^a$  represents methyl or ethyl;

$Q$  represents a group selected from hydrogen, lower alkyl, lower alkenyl, lower alkynyl,  $-SO_2R^4$ ,  $-SO_2R^{20}$ ,  $-(C_5H_2S)C(O)R^{12}$ , and  $-(C_uH_{2u})C(O)R^{20}$ ; or methyl or ethyl substituted by  $CO_2R^9$  or  $R^{20}$ ;

s and u represent zero or one;

R<sup>2</sup> represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or  
5 a group selected from halogen, nitro, -S(O)<sub>p</sub>R<sup>12</sup>, -OR<sup>12</sup>,  
-CH<sub>2</sub>S(O)<sub>q</sub>R<sup>12</sup>, -CH<sub>2</sub>NR<sup>8</sup>R<sup>11</sup>, -N(R<sup>8</sup>)SO<sub>2</sub>R<sup>12</sup>, and -N(R<sup>8</sup>)CO<sub>2</sub>R<sup>12</sup>;  
or benzyl optionally substituted by -S(O)<sub>p</sub>R<sup>16</sup>;

n represents zero, one or two;

X represents -(CH<sub>2</sub>)<sub>v</sub>-;

10 R<sup>3</sup> represents a 5-membered heteroaromatic ring of formula (II)  
which is selected from pyrazol-1-yl, imidazol-1-yl,  
1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl,  
1,2,3,4-tetrazol-1-yl and benzimidazol-1-yl, the ring systems of which  
are substituted by one or two R<sup>14</sup> groups;

15 z represents one;

R<sup>b</sup> represents hydrogen or methyl;

R<sup>8</sup>, R<sup>9</sup> and R<sup>12</sup> independently represent C<sub>1-4</sub> alkyl or haloalkyl;

R<sup>11</sup> represents -SO<sub>2</sub>R<sup>12</sup> or CO<sub>2</sub>R<sup>12</sup>;

R<sup>16</sup> represents C<sub>1-4</sub> alkyl; and

20 R<sup>17</sup> represents phenyl optionally substituted by C<sub>1-3</sub> alkyl.

9. A compound of formula (Id) according to claims 1,  
6, 7 or 8 in which R<sup>a</sup> represents methyl;

Q represents a group selected from hydrogen, C<sub>1-4</sub> alkyl, C<sub>2-4</sub>  
alkenyl, C<sub>3-4</sub> alkynyl, -SO<sub>2</sub>R<sup>4</sup>, -SO<sub>2</sub>R<sup>20</sup>, -(C<sub>8</sub>H<sub>2</sub>S)C(O)R<sup>12</sup>, and  
25 -(C<sub>u</sub>H<sub>2u</sub>)C(O)R<sup>20</sup>; or methyl or ethyl substituted by a group selected  
from CO<sub>2</sub>R<sup>9</sup> and R<sup>20</sup>;

s and u represent zero or one;

R<sup>2</sup> represents:-

30 a straight- or branched- chain alkyl group containing up to three  
carbon atoms optionally substituted by one or more halogen atoms; or  
a group selected from halogen, nitro, -S(O)<sub>p</sub>R<sup>12</sup>, -OR<sup>12</sup> and  
-CH<sub>2</sub>S(O)<sub>q</sub>R<sup>12</sup>; or benzyl optionally substituted by -S(O)<sub>p</sub>R<sup>16</sup>;

n represents one;

X represents a bond;

$R^3$  is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl and 1,2,3,4-tetrazol-1-yl, the ring systems of which are substituted by one or two  $R^{14}$  groups;

z represents one;

$R^b$  represents hydrogen or methyl;

$R^9$ ,  $R^{12}$ ,  $R^{14}$  and  $R^{16}$  represent  $C_{1-4}$  alkyl; and

$R^{20}$  represents phenyl optionally substituted by methyl.

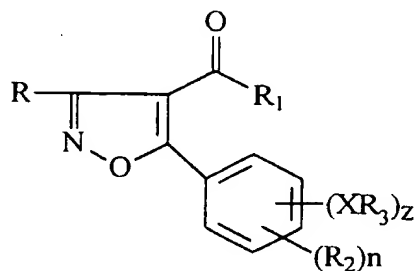
10. A herbicidal composition comprising an effective amount of a phenyl derivative according to any one of claims 1 to 9 or an agriculturally acceptable salt or metal complex thereof, in association with an agriculturally acceptable diluent or carrier and/or surface active agent.

11. A method for the control of weeds at a locus which comprises applying to said locus an effective amount of a phenyl derivative according to any one of claims 1 to 9 or an agriculturally acceptable salt or metal complex thereof or a herbicidal composition according to claim 10.

12. A method according to claim 11 wherein the locus is an area used, or to be used, for the growing of crops and the phenyl derivative is applied at an application rate of from 0.01 kg to 2 kg/ha.

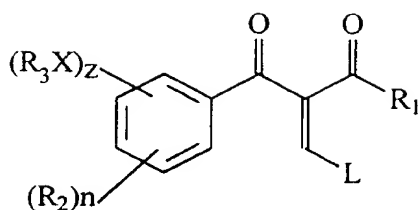
13. A process for the preparation of a phenyl derivative of formula (I) as defined in claim 1 which comprises:-

(a) where (I) conforms to a formula (Ia) as depicted in claim 2 or a formula (Ib):



(Ib)

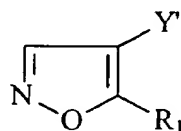
wherein R represents hydrogen and  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1; the reaction of a compound of formula (III):



(III)

wherein L is a leaving group and  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, with hydroxylamine or a salt of hydroxylamine;

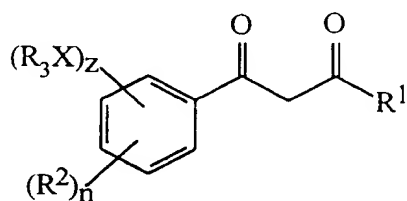
(b) where (I) conforms to formula (Ia) in which R represents hydrogen and  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, the reaction of a compound of formula (IV):



(IV)

wherein  $R^1$  is as defined in claim 1 and Y' represents a carboxy group or a reactive derivative thereof (such as a carboxylic acid chloride or carboxylic ester), or a cyano group, with an organometallic reagent;

(c) where (I) conforms to formula (Ia) or (Ib) in which R represents  $-\text{CO}_2\text{R}^4$  and  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, the reaction of a salt of a compound of formula (V):

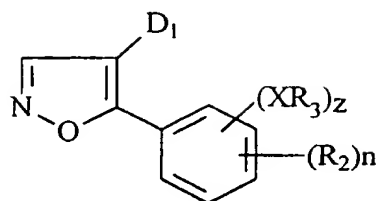


(V)

wherein  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, with a compound of formula  $\text{R}^4\text{O}_2\text{CC}(\text{Z}^1)=\text{NOH}$  wherein  $\text{R}^4$  is as defined in claim 1 and  $\text{Z}^1$  represents halogen;

(d) where (I) conforms to formula (Ib) in which R represents hydrogen and  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, the reaction of a compound of formula (VI):

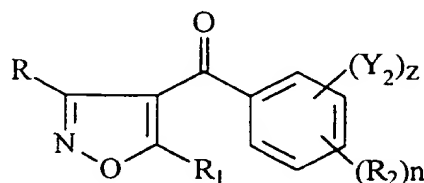




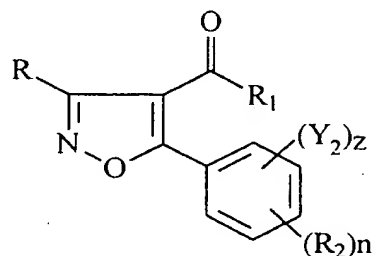
(VI)

in which  $D^1$  represents a carboxy group, or a reactive derivative thereof, or a cyano group, with an appropriate organometallic reagent of formula  $R^1M^1$  in which  $M^1$  is a metal halide or a metal;

(e) where (I) conforms to formula (Ia) or (Ib) in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as defined in claim 1, the reaction of a compound of formula (VII) or (VIII) respectively:



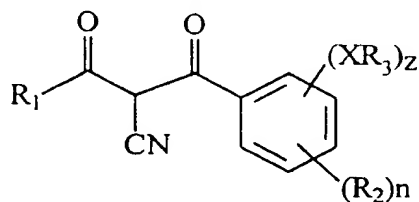
(VII)



(VIII)

wherein  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $z$  and  $n$  are as defined in claim 1 and  $Y^2$  represents a leaving group, with a compound of formula  $R^3-H$ ;

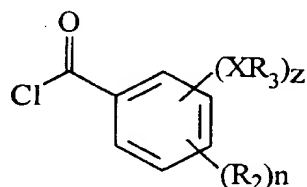
(f) where (I) conforms to formula (Ic):



(Ic)

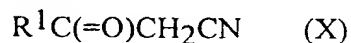
in which  $R^1$ ,  $R^2$ ,  $R^3$ ,  $z$  and  $n$  are as defined in claim 1;  $X$  represents  $-(CR^9R^{10})_v-$  and  $v$  represents zero; the reaction of the corresponding compound of formula (Ia) or (Ib) in which  $R$  represents hydrogen, with a base; or the hydrolysis of the corresponding compound of formula (Ia) or (Ib) in which  $R$  represents  $-CO_2R^4$ ;

(g) where (I) conforms to formula (Ic) in which  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, the reaction of a benzoyl chloride of formula (IX):



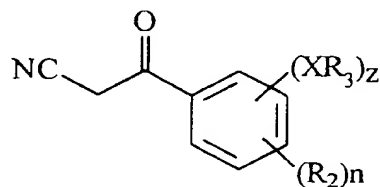
(IX)

wherein  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, with a beta-ketonitrile of formula (X):



wherein  $R^1$  is as defined in claim 1;

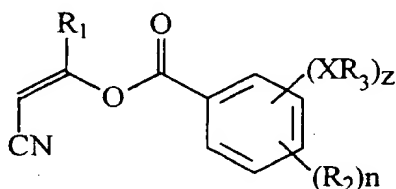
(h) where (I) conforms to a formula (Ic) in which  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, the reaction of an acid chloride of formula  $R^1COCl$  wherein  $R^1$  is as defined in claim 1, with a beta-ketonitrile of formula (XI):



(XI)

wherein  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1;

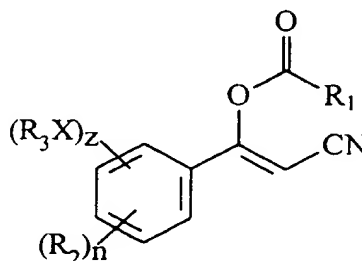
(i) where (I) conforms to formula (Ic) in which  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, the reaction of a benzoyl chloride of formula (IX) above wherein  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1, with a beta-ketonitrile of formula (X) wherein  $R^1$  is as defined in claim 1, via an intermediate of formula (XII):



(XII)

wherein  $R^1$ ,  $R^2$ ,  $R^3$ , X, z and n are as defined in claim 1;

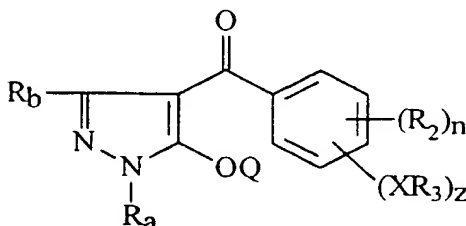
(j) where (I) conforms to formula (Ic) in which  $R^1$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as defined in claim 1, the reaction of an acid chloride of formula  $R^1COCl$  wherein  $R^1$  is as defined in claim 1, with a beta-ketonitrile of formula (XI) wherein  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as defined in claim 1 via an intermediate of formula (XIII):



(XIII)

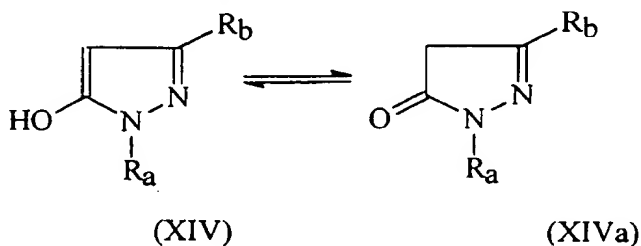
wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $z$  and  $n$  are as defined in claim 1;

(k) where (I) conforms to formula (Id):



(Id)

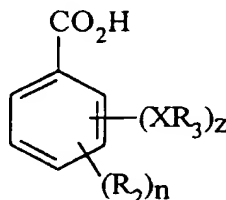
in which  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ ,  $X$ ,  $n$  and  $z$  are as defined in claim 1 and  $Q$  represents hydrogen, the reaction of a compound of formula (XIV):



(XIV)

(XIVa)

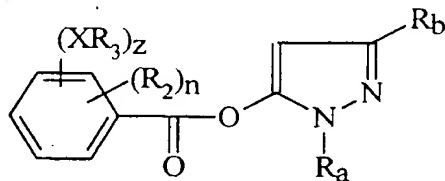
wherein  $R^a$  and  $R^b$  are as defined in claim 1, with a carboxylic acid of formula (XV):



(XV)

wherein  $R^2$ ,  $R^3$ , X, n and z are as defined in claim 1;

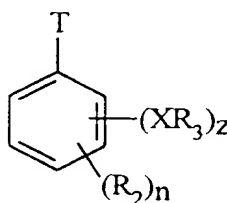
(l) where (I) conforms to formula (Id) in which  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ , X, n and z are as defined in claim 1 and Q represents hydrogen, the reaction of a compound of formula (XIV) or (XIVa) above with an acid chloride of formula (IX) wherein  $R^2$ ,  $R^3$ , X, n and z are as defined in claim 1, to give an ester of formula (XVI):



(XVI)

followed by rearrangement to give the compound of formula (Id);

(m) where (I) conforms to formula (Id) in which  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ , X, n and z are as defined in claim 1 and Q represents hydrogen, the reaction of a compound of formula (XIV) above with an aryl halide of formula (XVII):



(XVII)

wherein  $R^2$ ,  $R^3$ , X, n and z are as defined in claim 1 and T represents a bromine or iodine atom, in the presence of carbon monoxide and a palladium, nickel, cobalt or rhodium catalyst;

(n) where (I) conforms to formula (Id) in which  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ , X, n and z are as defined in claim 1 and Q represents lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl, lower haloalkynyl,  $-\text{CH}_2\text{CN}$ ,  $-(\text{C}_5\text{H}_2\text{S})\text{C}(\text{O})\text{R}^{12}$ ,  $-(\text{C}_u\text{H}_{2u})\text{C}(\text{O})\text{R}^{20}$ ,  $-\text{CH}_2\text{OCO}_2\text{R}^{12}$ ,  $-\text{CH}_2\text{NHC}(\text{O})\text{R}^{12}$ ,  $-\text{CH}_2\text{NHCO}_2\text{R}^{12}$  or lower alkyl substituted by a group selected from  $\text{CONR}^9\text{R}^{10}$ ,  $\text{OR}^9$ ,  $\text{NR}^5\text{R}^6$ ,  $\text{CO}_2\text{R}^9$ ,  $\text{R}^{20}$  and  $-\text{S}(\text{O})_p\text{R}^{12}$ , and wherein s and u represent one to six, the reaction of the corresponding compound of formula (Id) wherein Q

represents hydrogen, with an appropriately substituted alkyl, alkenyl or alkynyl halide;

(o) where (I) conforms to formula (Id) in which  $R^a$ ,  $R^b$ ,  $R^2$ ,  $R^3$ , X, n and z are as defined in claim 1 and Q represents  $-SO_2R^4$ ,  $-SO_2R^{20}$ ,  $-COR^{12}$ ,  $-COR^{20}$ ,  $-COCH_2OC(O)R^{16}$ ,  $-COCH_2OR^{12}$ ,  $-COCH_2OR^{20}$ ,  $-CONR^5R^6$ ,  $-CONR^{20}R^{21}$ ,  $-CONR^{22}R^{23}$ ,  $-CO_2R^{12}$ ,  $-CO_2R^{20}$  or  $-P(O)R^{5a}R^{5b}$ , reaction of the corresponding compound of formula (Id) wherein Q represents hydrogen, with an appropriately substituted sulphonyl halide, acyl halide, phosphonyl halide or phosphinyl halide;

(p) where p or q is one or two, the oxidation of the sulphur atom of the corresponding compounds in which p or q is 0 or 1.

# INTERNATIONAL SEARCH REPORT

Application No  
PCT/EP 98/04950

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D413/10 C07D403/10 C07D249/08 C07D233/61 A01N43/80  
A01N43/82

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D C07C A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 625 505 A (RHONE-POULENC AGRICULTURE LTD.) 23 November 1994 see claims ---	1-13
A	EP 0 524 018 A (RHONE-POULENC AGRICULTURE LTD.) 20 January 1993 cited in the application see claims ---	1-13
A	EP 0 496 630 A (RHONE-POULENC AGRICULTURE LTD.) 29 July 1992 cited in the application see claims ---	1-13
A	EP 0 487 357 A (RHONE-POULENC AGRICULTURE LTD.) 27 May 1992 cited in the application see claims ---	1-13
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Date of the actual completion of the international search

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Date of mailing of the international search report

15/10/1998

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Chouly, J

## INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 98/04950

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category "	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 282 944 A (NISSAN CHEMICAL INDUSTRIES LTD.) 21 September 1988 cited in the application see claims ---	1-13
A	DE 195 18 054 A (HOECHST SCHERING) 12 September 1996 cited in the application see claims ---	1-13
P, A	WO 97 28136 A (RHONE-POULENC AGRICULTURE LTD.) 7 August 1997 see claims -----	1-13

## INTERNATIONAL SEARCH REPORT

Information on patent family members

Application No

PCT/EP 98/04950

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 625505	A	23-11-1994	AU 680483 B	31-07-1997
			AU 6315694 A	24-11-1994
			BR 9401097 A	02-05-1995
			CA 2123859 A	19-11-1994
			CN 1100261 A	22-03-1995
			EP 0811611 A	10-12-1997
			FI 942291 A	19-11-1994
			HU 68202 A	28-06-1995
			JP 7070039 A	14-03-1995
			US 5804532 A	08-09-1998
			ZA 9403428 A	09-02-1995
EP 524018	A	20-01-1993	AU 649550 B	26-05-1994
			AU 1951592 A	21-01-1993
			BG 61263 B	30-04-1997
			CA 2074155 A	18-01-1993
			CN 1068567 A, B	03-02-1993
			EG 19781 A	31-01-1996
			FI 923264 A	18-01-1993
			HU 212608 B	30-09-1996
			IL 102488 A	31-03-1996
			JP 5186440 A	27-07-1993
			MX 9204137 A	01-01-1993
			NZ 243581 A	27-01-1995
			PL 168873 B	30-04-1996
			SK 224592 A	08-02-1995
			RU 2060251 C	20-05-1996
			TR 26180 A	15-02-1995
			US 5424276 A	13-06-1995
			US 5366957 A	22-11-1994
			ZA 9205376 A	02-04-1993
EP 496630	A	29-07-1992	AT 123489 T	15-06-1995
			AU 647874 B	31-03-1994
			AU 1041992 A	30-07-1992
			BG 60942 B	31-07-1996
			BG 95817 A	31-03-1994
			CA 2059609 A	26-07-1992
			CN 1066843 A, B	09-12-1992
			CS 9200205 A	12-08-1992



# INTERNATIONAL SEARCH REPORT

...ormat patent family members

Intern: Application No

PCT/EP 98/04950

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 496630 A		DE 69202772 D	13-07-1995
		DE 69202772 T	05-10-1995
		DK 496630 T	24-07-1995
		EG 19875 A	31-03-1996
		ES 2075604 T	01-10-1995
		FI 920333 A	26-07-1992
		GR 3017116 T	30-11-1995
		IE 76144 B	08-10-1997
		IL 100706 A	23-07-1996
		JP 4312565 A	04-11-1992
		MX 9200302 A	01-09-1992
		NZ 241376 A	25-02-1994
		OA 9532 A	15-11-1992
		RU 2051148 C	27-12-1995
		TR 26668 A	15-03-1995
		US 5804532 A	08-09-1998
-----			
EP 487357 A	27-05-1992	AT 154933 T	15-07-1997
		AU 642785 B	28-10-1993
		AU 8797791 A	28-05-1992
		BG 60585 B	29-09-1995
		CA 2056044 A	23-05-1992
		CN 1061596 A	03-06-1992
		CN 1183411 A	03-06-1998
		CS 9103527 A	17-06-1992
		DE 69126696 D	07-08-1997
		DE 69126696 T	18-12-1997
		DK 487357 T	29-09-1997
		EG 19891 A	31-03-1996
		ES 2103786 T	01-10-1997
		FI 915487 A	23-05-1992
		GR 3024000 T	31-10-1997
		HU 208963 B	28-02-1994
		IL 100046 A	19-01-1996
		JP 4300875 A	23-10-1992
		MX 9102172 A	01-06-1992
		NZ 240625 A	26-01-1994
		OA 9403 A	15-09-1992
		PT 99575 A	30-10-1992
		SK 278353 B	08-01-1997

# INTERNATIONAL SEARCH REPORT

Information on patent family members

Application No

PCT/EP 98/04950

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 487357 A		RU 2057750 C TR 25654 A US 5650533 A US 5656573 A	10-04-1996 01-07-1993 22-07-1997 12-08-1997
EP 282944 A	21-09-1988	AT 142624 T AU 599468 B AU 1309988 A CA 1328260 A CN 1023011 B DE 3855518 D DE 3855518 T DK 146488 A ES 2094719 T GR 3021596 T JP 2000173 A JP 2725274 B JP 10095702 A KR 9604862 B SU 1836018 A RU 2055836 C US 4948887 A US 5175299 A RO 105806 A US 4885022 A	15-09-1996 19-07-1990 15-09-1988 05-04-1994 08-12-1993 17-10-1996 20-02-1997 18-09-1988 01-02-1997 28-02-1997 05-01-1990 11-03-1998 14-04-1998 16-04-1996 23-08-1993 10-03-1996 14-08-1990 29-12-1992 30-12-1992 05-12-1989
DE 19518054 A	12-09-1996	NONE	
WO 9728136 A	07-08-1997	AU 1594997 A	22-08-1997